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* * * * * * * * * * Welcome to STN International * * * * * * * * *

| | |
|----------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| NEWS 1 | Web Page for STN Seminar Schedule - N. America |
| NEWS 2 OCT 04 | Precision of EMBASE searching enhanced with new
chemical name field |
| NEWS 3 OCT 06 | Increase your retrieval consistency with new formats or
for Taiwanese application numbers in CA/Caplus. |
| NEWS 4 OCT 21 | CA/Caplus kind code changes for Chinese patents
increase consistency, save time |
| NEWS 5 OCT 22 | New version of STN Viewer preserves custom
highlighting of terms when patent documents are
saved in .rtf format |
| NEWS 6 OCT 28 | INPADOCDB/INPAFAMDE: Enhancements to the US national
patent classification. |
| NEWS 7 NOV 03 | New format for Korean patent application numbers in
CA/Caplus increases consistency, saves time. |
| NEWS 8 NOV 04 | Selected STN databases scheduled for removal on
December 31, 2010 |
| NEWS 9 NOV 18 | PROUSDDR and STNTHLINE Scheduled for Removal
December 31, 2010 by Request of Frouz Science |
| NEWS 10 NOV 22 | Higher System Limits Increase the Power of STN
Substance-Based Searching |
| NEWS 11 NOV 24 | Search an additional 46,850 records with MEDLINE
backfile extension to 1946 |
| NEWS 12 DEC 14 | New PNK Field Allows More Precise Crossover among STN
Patent Databases |
| NEWS 13 DEC 18 | ReaxysFile available on STN |
| NEWS 14 DEC 21 | CAS Learning Solutions -- a new online training experience |
| NEWS 15 DEC 22 | Value-Added Indexing Improves Access to World Traditional
Medicine Patents in Caplus |
| NEWS 16 JAN 24 | The new and enhanced DPCI file on STN has been released |
| NEWS 17 JAN 26 | Improved Timeliness of CAS Indexing Adds Value to
USPATFULL and USPAT2 Chemistry Patents |
| NEWS 18 JAN 26 | Updated MeSH vocabulary, new structured abstracts, and
other enhancements improve searching in STN reload of
MEDLINE |
| NEWS 19 JAN 28 | CABA will be updated weekly |
| NEWS 20 FEB 23 | PCTFULL file on STN completely reloaded |
| NEWS 21 FEB 23 | STN AnaVist Test Projects Now Available for
Qualified Customers |
| NEWS 22 FEB 25 | LPCI will be replaced by LDPCI |
| NEWS 23 MAR 07 | Pricing for SELECTing Patent, Application, and Priority
Numbers in the USPAT and IFI Database Families is Now
Consistent with Similar Patent Databases on STN |
| NEWS 24 APR 26 | Expanded Swedish Patent Application Coverage in CA/Caplus
Provides More Current and Complete Information |
| NEWS 25 APR 28 | The DWPI (files WINDEX, WPIDS and WPIX) on STN have been
enhanced with thesauri for the European Patent Classifications |

NEWS 26 MAY 02 MEDLINE Improvements Provide Fast and Simple Access to DOI and Chemical Name Information
NEWS 27 MAY 12 European Patent Classification thesauri added to the INPADOC files, PCTFULL, GBFULL and FRFULL
NEWS 28 MAY 20 PATDPA database updates to end in June 2011
NEWS 29 MAY 23 STN biosequence searches with enhanced performance
NEWS 30 MAY 23 Free Trial of the Numeric Property Search Feature in PCTFULL on STN

NEWS EXPRESS 17 DECEMBER 2010 CURRENT WINDOWS VERSION IS V8.4.2 .1,
AND CURRENT DISCOVER FILE IS DATED 24 JANUARY 2011.

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FILE 'HOME' ENTERED AT 09:52:54 ON 25 MAY 2011

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=> file reg
COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                                ENTRY        SESSION
FULL ESTIMATED COST          0.23          0.23
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FILE 'REGISTRY' ENTERED AT 09:53:16 ON 25 MAY 2011
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STRUCTURE FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6
DICTIONARY FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6

CAS Information Use Policies apply and are available at: [http://www.cas.org/casinfo](#)

<http://www.cas.org/legal/inforpolicy.html>

TSCA INFORMATION NOW CURRENT THROUGH January 14, 2011.

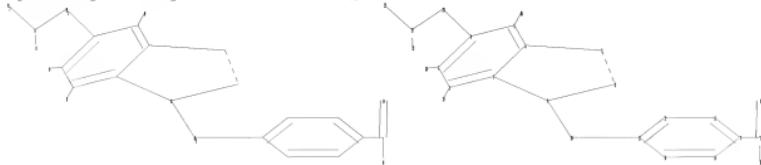
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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stnqgen/stndoc/properties.html>

二

Uploading C:\Program Files\STNEXP\Queries\10598281FOAM1.str



chain nodes :

10 17 18 19 20 21 22 23 24 25 26

ring nodes :

1 2 3 4 5 6 7 8 9 11 12 13 14 15 16

chain bonds :

2-25 3-24 4-20 5-26 9-10 10-11 14-17 17-18 17-19 20-21 21-22 21-23

ring bonds :

1-2 1-6 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9 11-12 11-16 12-13 13-14 14-15
15-16

exact/norm bonds :

1-9 6-7 7-8 8-9 17-18 17-19

exact bonds :

2-25 3-24 4-20 5-26 9-10 10-11 14-17 20-21 21-22 21-23

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS

20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

SAMPLE SEARCH INITIATED 09:53:36 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 215 TO ITERATE

100.0% PROCESSED 215 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3421 TO 5179
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 196.35 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 09:53:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4548 TO ITERATE

100.0% PROCESSED 4548 ITERATIONS 18 ANSWERS
SEARCH TIME: 00.00.01

L3 18 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
196.86 197.09

FILE 'CAPLUS' ENTERED AT 09:53:51 ON 25 MAY 2011
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FILE COVERS 1907 - 25 May 2011 VOL 154 ISS 22
FILE LAST UPDATED: 24 May 2011 (20110524/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2011
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2011

Cplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 1 L3

=> d ibib abs

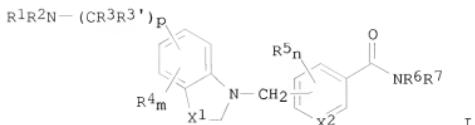
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2005:1042216 CAPLUS
DOCUMENT NUMBER: 143:347050
TITLE: Preparation of
4-(5-(aminomethyl)indole-1-ylmethyl)benzamide
derivatives as opioid receptor antagonists for the
treatment of obesity
INVENTOR(S): Benesh, Dana Rae; Blanco-Pillado, Maria-Jesus
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 2005090303 | A1 | 20050929 | WO 2005-US7702 | 20050309 |
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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG | | | | |
| CA 2558030 | A1 | 20050929 | CA 2005-2558030 | 20050309 |
| EP 1751103 | A1 | 20070214 | EP 2005-725070 | 20050309 |
| EP 1751103 | B1 | 20090114 | | |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| JP 2007529523 | T | 20071025 | JP 2007-503959 | 20050309 |
| AT 420858 | T | 20090115 | AT 2005-725070 | 20050309 |
| ES 2318472 | T3 | 20090501 | ES 2005-725070 | 20050309 |
| US 20070155793 | A1 | 20070705 | US 2006-598281 | 20060823 |
| PRIORITY APPLN. INFO.: | | | US 2004-553176P | P 20040315 |
| | | | WO 2005-US7702 | W 20050309 |

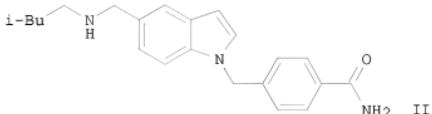
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:347050; MARPAT 143:347050

GI



I



II

AB Title compds. represented by the formula I [wherein X1 = CH2, CH or N; X2 = CH or N; R1, R2 = independently H, alkyl(aryl), alkenyl, etc.; R3, R3' = independently H, alkyl, alkynyl, etc.; R4, R5 = independently H, (halo)alkyl, aryl, etc.; m = 0-2; n = 0-2; p = 0-2; and pharmaceutically acceptable salts, solvates, prodrugs, enantiomers, racemates, diastereomers and diastereomeric mixture thereof] were prepared as opioid receptor antagonists. For example, II was provided in a multi-step synthesis starting from the reaction of 5-formylindole with 4-bromomethylbenzonitrile. I were tested for antagonistic activity of mu-, γ - and δ -opioid receptor in SPA-based GTP γ S binding assay, and their pharmaceutical formulations were also presented. Thus, I and their pharmaceutical compns. are useful as opioid receptor antagonists for the treatment of obesity (no data).

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| | SINCE FILE ENTRY | TOTAL SESSION |
|--------------------------------------------|------------------|---------------|
| COST IN U.S. DOLLARS | | |
| FULL ESTIMATED COST | 3.72 | 200.81 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -0.87 | -0.87 |

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STRUCTURE FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6
 DICTIONARY FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6

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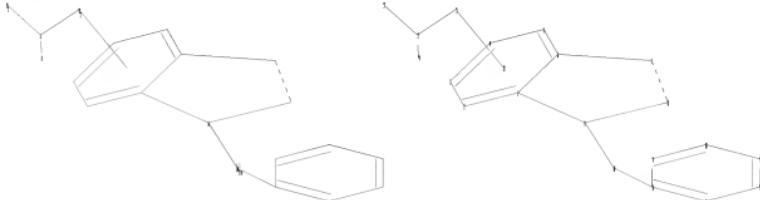
TSCA INFORMATION NOW CURRENT THROUGH January 14, 2011.

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<http://www.cas.org/support/stngen/stndoc/properties.html>

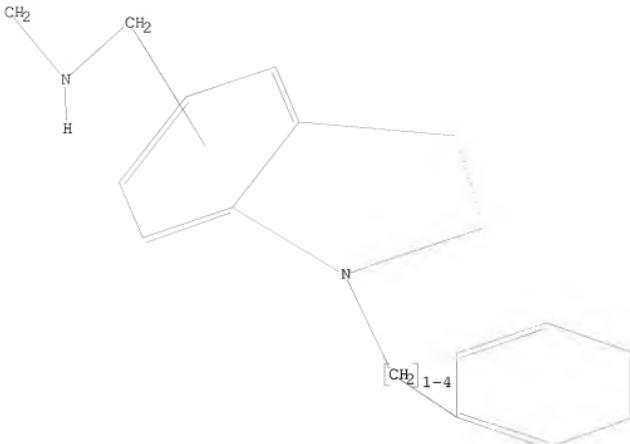
=>
Uploading C:\Program Files\STNEXP\Queries\10598281FOAM1a.str



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10 11 12 13 14
ring nodes :
1 2 3 4 5 6 7 8 9 15 16 17 18 19 20
chain bonds :
9-10 10-16 11-12 12-13 12-14
ring bonds :
1-2 1-6 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9 15-16 15-20 16-17 17-18 18-19
19-20
exact/norm bonds :
1-9 6-7 7-8 8-9
exact bonds :
9-10 10-16 11-12 12-13 12-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 23:Atom
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=> d 15
L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 15 sss sam
SAMPLE SEARCH INITIATED 09:57:04 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 8867 TO ITERATE

100.0% PROCESSED 8867 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 171695 TO 182985
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s 15 sss full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 196.35 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 09:57:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 176794 TO ITERATE

100.0% PROCESSED 176794 ITERATIONS 30 ANSWERS
SEARCH TIME: 00.00.01

L7 30 SEA SSS FUL L5

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION

| | | | |
|--------------------------------------------|------------|---------|--------|
| FULL ESTIMATED COST | | 198.90 | 399.71 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL | |
| | ENTRY | SESSION | |
| CA SUBSCRIBER PRICE | 0.00 | -0.87 | |

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FILE COVERS 1907 - 25 May 2011 VOL 154 ISS 22
 FILE LAST UPDATED: 24 May 2011 (20110524/ED)
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2011
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2011

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

CAS Information Use Policies apply and are available at:

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This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s 17
L8          9 L7

=> d ibib abs hitstr 1-9

L8  ANSWER 1 OF 9  CAPLUS  COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER:      2010:1400899  CAPLUS
DOCUMENT NUMBER:      153:996083
TITLE:                S1P3 receptor inhibitors for treating conditions of
                      the eye
INVENTOR(S):          Doneillo, John E.; Dibas, Mohammed I.; Beard, Richard
                      L.
PATENT ASSIGNEE(S):   Allergan, Inc., USA
SOURCE:               PCT Int. Appl., 108pp.
CODEN:                PIXXD2
DOCUMENT TYPE:        Patent
LANGUAGE:              English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
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| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2010129553 | A1 | 20101111 | WO 2010-US33553 | 20100504 |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, | | | | |

ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP,
 KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA,
 MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE,
 PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV,
 SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR,
 HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE,
 SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR,
 NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ,
 TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2009-175763P P 20090505

OTHER SOURCE(S): MARPAT 153:596083

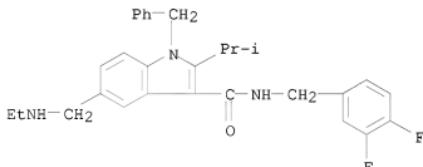
AB Disclosed herein are compns. and methods for treating conditions of the eye using S1P3 receptor inhibitors.

IT 1254474-63-9 1254474-64-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(S1P3 receptor inhibitors for treating conditions of eye)

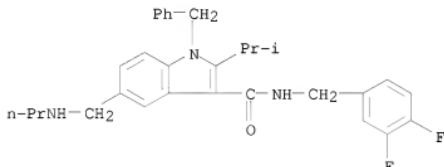
RN 1254474-63-9 CAPLUS

CN 1H-Indole-3-carboxamide, N-[(3,4-difluorophenyl)methyl]-5-[(ethylamino)methyl]-2-(1-methylethyl)-1-(phenylmethyl)- (CA INDEX NAME)



RN 1254474-64-0 CAPLUS

CN 1H-Indole-3-carboxamide, N-[(3,4-difluorophenyl)methyl]-2-(1-methylethyl)-1-(phenylmethyl)-5-[(propylamino)methyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:1163615 CAPLUS

DOCUMENT NUMBER: 151:396098

TITLE: S1P3 receptor inhibitors for treating inflammation
INVENTOR(S): Donello, John E.; Dibas, Mohammed I.

PATENT ASSIGNEE(S): Allergan, Inc., USA
SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 2009117335 | A2 | 20090924 | WO 2009-US37219 | 20090316 |
| WO 2009117335 | A3 | 20091210 | | |
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CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
ME, MG, MK, MN, MW, MY, NA, NG, NI, NO, NZ, OM, PG, PH,
PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN,
TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
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| AU 2009225747 | A1 | 20090924 | AU 2009-225747 | 20090316 |
| CA 2718705 | A1 | 20090924 | CA 2009-2718705 | 20090316 |
| EP 2262497 | A2 | 20101222 | EP 2009-722947 | 20090316 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
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SI, SK, TR, AL, BA, RS | | | | |
| JP 20111514385 | T | 20110506 | JP 2011-500883 | 20090316 |
| US 20110009453 | A1 | 20110113 | US 2010-922629 | 20100914 |
| PRIORITY APPLN. INFO.: | | | US 2008-37250P | P 20080317 |
| | | | WO 2009-US37219 | W 20090316 |

OTHER SOURCE(S):

MARPAT 151:396098

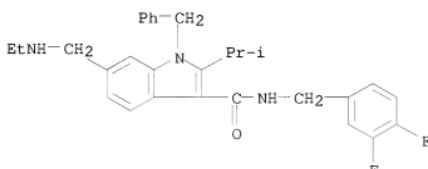
AB Disclosed herein are compns. and methods for treating inflammation using sphingosine-1-phosphate SIP3 receptor inhibitors.

IT 1040027-53-9 1040027-54-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(selective sphingosine-1-phosphate SIP3 receptor inhibitors for treating inflammation)

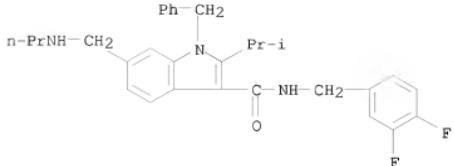
RN 1040027-53-9 CAPLUS

CN 1H-Indole-3-carboxamide, N-[(3,4-difluorophenyl)methyl]-6-[(ethylamino)methyl]-2-(1-methylethyl)-1-(phenylmethyl)- (CA INDEX NAME)



RN 1040027-54-0 CAPLUS

CN 1H-Indole-3-carboxamide, N-[(3,4-difluorophenyl)methyl]-2-(1-methylethyl)-1-(phenylmethyl)-6-[(propylamino)methyl]- (CA INDEX NAME)



L8 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2008:889426 CAPLUS
 DOCUMENT NUMBER: 149:176179
 TITLE: Preparation of 6-substituted indole-3-carboxylic acid amide compounds having sphingosine-1-phosphate (S1P) receptor agonist and/or antagonist biological activity
 INVENTOR(S): Beard, Richard L.; Yuan, Haiging
 PATENT ASSIGNEE(S): Allergan, Inc., USA
 SOURCE: PCT Int. Appl., 57pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2008089015 | A1 | 20080724 | WO 2008-US50695 | 20080110 |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2008206495 | A1 | 20080724 | AU 2008-206495 | 20080110 |
| CA 2674946 | A1 | 20080724 | CA 2008-2674946 | 20080110 |
| KR 2009101307 | A | 20090924 | KR 2009-7016762 | 20080110 |
| EP 2125723 | A1 | 20091202 | EP 2008-727502 | 20080110 |
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| JP 2010515750 | T | 20100513 | JP 2009-545669 | 20080110 |
| US 20080171772 | A1 | 20080717 | US 2008-13239 | 20080111 |
| AU 2008347006 | A1 | 20090716 | AU 2008-347006 | 20080710 |
| CA 2711815 | A1 | 20090716 | CA 2008-2711815 | 20080710 |
| WO 2009088531 | A1 | 20090716 | WO 2008-US69648 | 20080710 |
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
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 EP 2238109 A1 20101013 EP 2008-870363 20080710
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 SK, TR, AL, BA, MK, RS
 KR 2011005679 A 20110118 KR 2010-7017718 20080710
 MX 2009007334 A 20090715 MX 2009-7334 20090707
 IN 2009DN04500 A 20100514 IN 2009-DN4500 20090709
 CN 101668741 A 20100310 CN 2008-80007131 20090904
 MX 2010007588 A 20100806 MX 2010-7588 20100709
 IN 2010DN05120 A 20110225 IN 2010-DN5120 20100715
 PRIORITY APPLN. INFO.: US 2007-884470P P 20070111
 OTHER SOURCE(S): WO 2008-US50695 W 20080110
 GI US 2008-13239 A 20080111
 WO 2008-US69648 W 20080710

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 149:176179; MARPAT 149:176179
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compound I (R1-4 independently = H, alkyl, alkenyl, alkynyl, etc.; X and X1 independently = NR5, O or S; R5 = H, alkyl, cycloalkyl, Ph or alkylphenyl; Y = carbocyclic aryl or heterocyclic aryl; Z = O or S; n = 0-5; m = 0-3; p = 0-3; each q independently = 0-1; A, A1 and A2 independently = alkyl, cycloalkyl, alkenyl, alkynyl, etc.; B = H, OR6, COOR7, NR8R9, etc., wherein R6-9 independently = H, (un)substituted alkyl, alkenyl, alkynyl, carbocyclic hydrocarbon or heterocyclicl, and their pharmaceutically acceptable salts having sphingosine-1-phosphate receptor agonist and/or antagonist biol. activity, are prepared Thus, e.g., II was prepared by condensation reaction of 1-iodobutane with 1-benzyl-N-(3,4-difluorobenzyl)-6-hydroxy-2-isopropyl-1H-indole-3-carboxamide which was prepared from Me 6-methoxy-1H-indole-2-carboxylate with benzyl bromide in 7 steps. I were assessed for their ability to activate or block activation of the human S1P receptor in T24 cells. From the assay, I were found to have the activity to inhibit S1P receptor, e.g., II demonstrated IC50 of 3 nM with 100% inhibition. I should prove useful for treating a disease or condition selected from the group consisting of glaucoma, dry eye, angiogenesis, cardiovascular conditions and diseases, and wound healing.

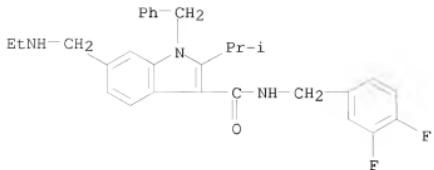
IT 1040027-53-9P 1040027-54-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

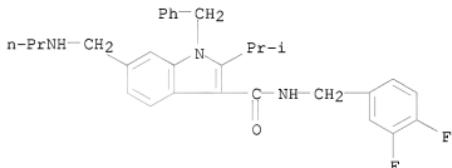
(preparation of indolecarboxamides as sphingosine-1-phosphate (S1P) receptor agonists and/or antagonists)

RN 1040027-53-9 CAPLUS

CN 1H-Indole-3-carboxamide, N-[(3,4-difluorophenyl)methyl]-6-[(ethylamino)methyl]-2-(1-methylethyl)-1-(phenylmethyl)- (CA INDEX NAME)

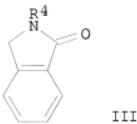
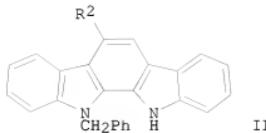
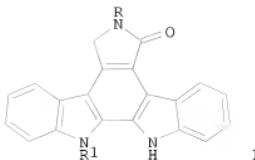


RN 1040027-54-0 CAPLUS
 CN 1H-Indole-3-carboxamide, N-[(3,4-difluorophenyl)methyl]-2-(1-methylethyl)-
 1-(phenylmethyl)-6-[(propylamino)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
 (1 CITINGS)
 REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2007:196791 CAPLUS
 DOCUMENT NUMBER: 146:441968
 TITLE: Synthesis of N-Protected Staurosporinones
 AUTHOR(S): Wada, Yasuhiro; Nagasaki, Hideo; Tokuda, Masao; Orito,
 Kazuhiko
 CORPORATE SOURCE: Laboratory of Organic Synthesis, Division of Molecular
 Chemistry, Graduate School of Engineering, Hokkaido
 University, Sapporo, 060-8628, Japan
 SOURCE: Journal of Organic Chemistry (2007), 72(6), 2008-2014
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 146:441968
 GI



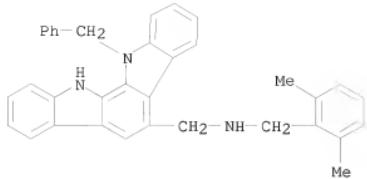
AB I [R = H, 2,6-Me₂C₆H₃CH₂, 2,4,6-(MeO)C₆H₂CH₂; R₁ = H, PhCH₂] are prepared from N-benzyl-3-indoleacetonitrile and 3-indolemethyltriammonium iodide using a sequential acid- and oxidant-mediated cyclocondensation and a palladium-catalyzed oxidative cyclocarbonylation as the key steps. Lithiation of N-benzyl-3-indoleacetonitrile and coupling to 3-indolemethyltriammonium iodide yields a bisindole which cyclizes in trifluoroacetic acid and undergoes dehydrogenation with DDQ to yield indolocarbazolecarbonitrile II (R₂ = CN); the use of either palladium-catalyzed cyclocondensation conditions or oxidation with chloranil followed by oxidative cyclocondensation with iodine and air yields II (R₂ = CN) in significantly lower yields. Cobalt-mediated reduction of II (R = CN) to the amine II (R = NH₂CH₂) and reductive amination with benzaldehydes RCHO [R₃ = 2,6-Me₂C₆H₃, 2,4,6-(MeO)C₆H₂] provides II [R₂ = R₃NHCH₂; R₃ = 2,6-Me₂C₆H₃, 2,4,6-(MeO)C₆H₂]. Oxidative cyclocarbonylation of II [R₂ = 2,6-Me₂C₆H₃CH₂NHCH₂, 2,4,6-(MeO)C₆H₂CH₂NHCH₂] with copper (II) acetate in the presence of palladium acetate in refluxing toluene or DMSO at 110° gives I [R = 2,6-Me₂C₆H₃CH₂, 2,4,6-(MeO)C₆H₂CH₂; R₁ = PhCH₂] in 15–50% yields; cleavage of the N-benzyl protecting groups of I [R = 2,6-Me₂C₆H₃CH₂, 2,4,6-(MeO)C₆H₂CH₂; R₁ = PhCH₂] with aluminum trichloride and anisole yields I (R = R₁ = H) in 71–99% yields. Two isoindolinones III (R₄ = PhCH₂, 2,6-Me₂C₆H₃CH₂) are prepared in 67% and 31% yields, resp., by oxidative carbonylation of PhCH₂NH₄ (R₄ = PhCH₂, 2,6-Me₂C₆H₃CH₂) with copper (II) acetate in the presence of palladium acetate in refluxing toluene.

IT 934506-85-1P 934506-86-2P

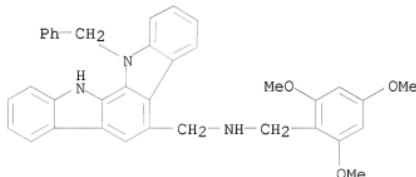
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of staurosporinones using oxidative acid-mediated
 cyclocondensation and oxidative cyclocarbonylation reactions as key

steps)

RN 934906-85-1 CAFOLUS
CN Indolo[2,3-a]carbazole-5-methanamine,
N-[(2,6-dimethylphenyl)methyl]-11,12-dihydro-12-(phenylmethyl)- (CA INDEX
NAME)



RN 934506-86-2 CAPLUS
 CN Indolo[2,3-a]carbazole-5-methanamine,
 11,12-dihydro-12-(phenylmethyl)-N-[(2,4,6-trimethoxyphenyl)methyl]- (CA
 INDEX NAME)

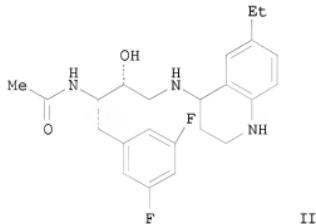


OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)
 REFERENCE COUNT: 135 THERE ARE 135 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2005:1103733 CAPLUS
 DOCUMENT NUMBER: 143:386930
 TITLE: Preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of $\text{A}\beta$ -peptide
 INVENTOR(S): Hom, Roy; Tucker, John; John, Varghese; Shah, Neerav
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
 SOURCE: PCT Int. Appl., 365 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------|-----------------|----------|
| WO 2005095326 | A2 | 20051013 | WO 2005-US9920 | 20050325 |
| WO 2005095326 | A3 | 20051110 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, | | | |

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
 SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
 MR, NE, SN, TD, TG
 CA 2560773 A1 20051013 CA 2005-2560773 20050325
 US 20050267199 A1 20051201 US 2005-905020 20050325
 US 7544717 B2 20090609
 EP 1751091 A2 20070214 EP 2005-741943 20050325
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 HR, LV, MK, YU
 BR 2005009186 A 20070828 BR 2005-9186 20050325
 JP 2007530583 T 20071101 JP 2007-505201 20050325
 MX 2006010899 A 20061215 MX 2006-10899 20060922
 PRIORITY APPLN. INFO.: US 2004-556461P P 20040325
 MARPAT 143:386930 WO 2005-US9920 W 20050325
 OTHER SOURCE(S):
 GI



AB Title compds. of formula Z-X-NHCH(R1)CH(Q)C(R2)(R3)N(R15)(Rc) (I) [Q = SH and derivs., NH and derivs.; Z = H, (un)substituted cycloalkylalk(en/yn)yl, cycloalkyl; X = CO, SO2; R1 = (un)substituted alkyl; R2, R3 = independently H, F, (un)substituted alk(en/yn)yl, heteroaryl, etc.; R2R3 = 3-7 membered carbocyclic ring with 1-3 C atoms optionally replaced by O, S, SO2, CO, NH and derivs.; R15 = H, (un)substituted alkyl, alkoxy, etc.; Rc = (un)substituted (CH2)n-cycloalkyl, etc.; n = 0-3] were prepared. Compds. disclosed herein are inhibitors of the β -secretase enzyme (no data) and are therefore useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal (no data). For example, II was prepared, in 4 steps, by reacting benzyl 4-amino-6-ethyl-3,4-dihydroquinoline-1(2H)-carboxylate with [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate, followed by Boc-deprotection, acetylation in the presence of N,N-diacyl-O-methylhydroxylamine/CH2Cl2, and Cbz-deprotection.
 IT 1044707-53-0 1044707-54-1

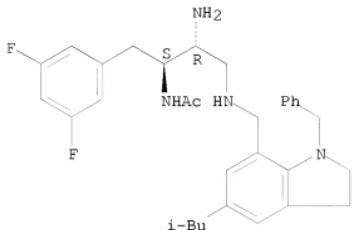
RL: PRPH (Prophetic)

(Preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

RN 1044707-53-0 CAPLUS

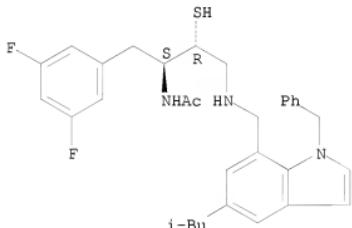
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



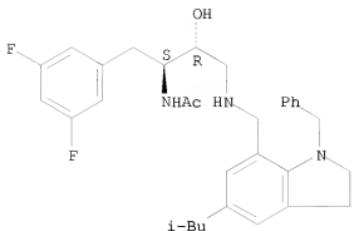
RN 1044707-54-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



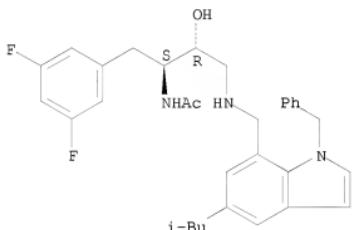
IT 676137-42-1P 676137-48-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)
RN 676137-42-1 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[2,3-dihydro-5-(2-methylpropyl)-1-(phenylmethyl)-1H-indol-7-yl]methyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 676137-48-7 CAPLUS
 CN Acetamide, N-[(1*S*,2*R*)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[[5-(2-methylpropyl)-1-(phenylmethyl)-1*H*-indol-7-yl]methyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

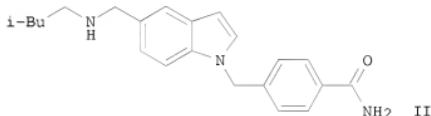
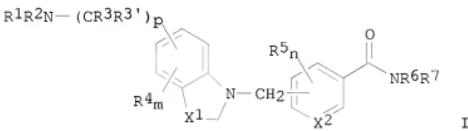
L8 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2005:1042216 CAPLUS
 DOCUMENT NUMBER: 143:347050
 TITLE: Preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivatives as opioid receptor antagonists for the treatment of obesity
 INVENTOR(S): Benesh, Dana Rae; Blanco-Pillado, Maria-Jesus
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 52 pp.
 CODEN: PIXDZ2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2005090303 | A1 | 20050929 | WO 2005-US7702 | 20050309 |

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 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
 SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
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 MR, NE, SN, TD, TG
 CA 2558030 A1 20050929 CA 2005-2558030 20050309
 EP 1751103 A1 20070214 EP 2005-725070 20050309
 EP 1751103 B1 20090114
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 IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
 JP 2007529523 T 20071025 JP 2007-503959 20050309
 AT 420858 T 20090115 AT 2005-725070 20050309
 ES 2318472 T3 20090501 ES 2005-725070 20050309
 US 20070155793 A1 20070705 US 2006-598281 20060823
 PRIORITY APPLN. INFO.: US 2004-553176P P 20040315
 WO 2005-US7702 W 20050309

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:347050; MARPAT 143:347050
GI

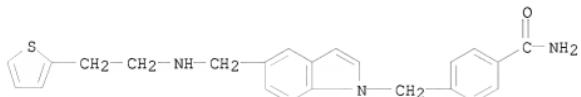


AB Title compds. represented by the formula I [wherein X1 = CH₂, CH or N; X2 = CH or N; R₁, R₂ = independently H, alkyl(aryl), alkenyl, etc.; R₃, R_{3'} = independently H, alkyl, alkenyl, etc.; R₄, R₅ = independently H, (halo)alkyl, aryl, etc.; m = 0-2; n = 0-2; p = 0-2; and pharmaceutically acceptable salts, solvates, prodrugs, enantiomers, racemates, diastereomers and diastereomeric mixture thereof] were prepared as opioid receptor antagonists. For example, II was provided in a multi-step synthesis starting from the reaction of 5-formylindole with 4-bromomethylbenzonitrile. I were tested for antagonistic activity of mu-, gamma- and delta-opioid receptor in SPA-based GTPyS binding assay, and their pharmaceutical formulations were also presented. Thus, I and their pharmaceutical compns. are useful as opioid receptor antagonists for the treatment of obesity (no data).

IT 865542-83-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

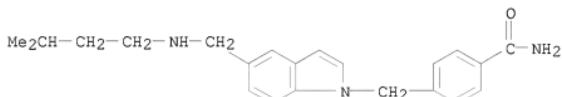
(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)
RN 865542-83-2 CAPLUS
CN Benzamide, 4-[(5-[(2-(2-thienyl)ethyl]amino)methyl]-1H-indol-1-yl)methyl]-(CA INDEX NAME)



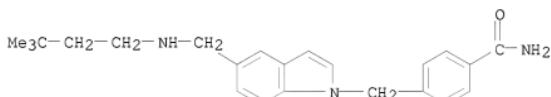
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| IT | 865542-80-9P | 865542-84-3P | 865542-85-4P |
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| | 865542-89-8P | 865542-90-1P | 865542-91-2P |
| | 865542-92-3P | 865542-93-4P | 865542-94-5P |
| | 865542-95-6P | 865542-96-7P | 865542-97-8P |
| | 865542-98-9P | 865542-99-0P | |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

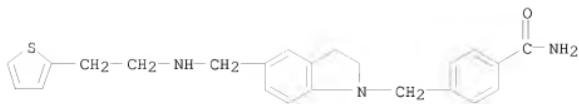
(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)
RN 865542-80-9 CAPLUS
CN Benzamide, 4-[(5-[(3-methylbutyl)amino)methyl]-1H-indol-1-yl)methyl]-(CA INDEX NAME)



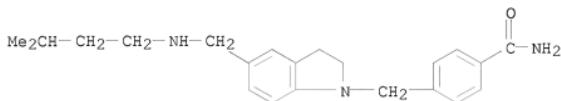
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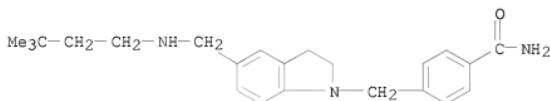
RN 865542-85-4 CAPLUS
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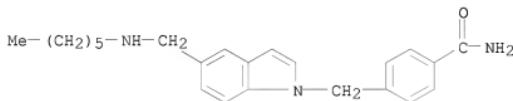
RN 865542-86-5 CAPLUS
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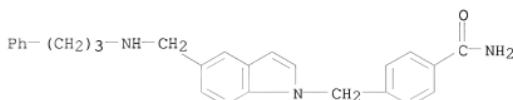
RN 865542-87-6 CAPLUS
 CN Benzamide, 4-[(5-[(3,3-dimethylbutyl)amino]methyl)-2,3-dihydro-1H-indol-1-yl]methanamine (CA INDEX NAME)



RN 865542-88-7 CAPLUS
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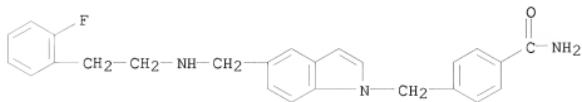


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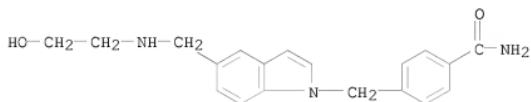


RN 865542-90-1 CAPLUS

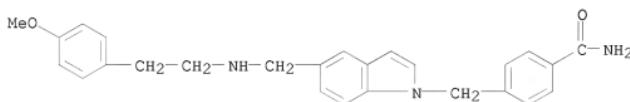
CN Benzamide, 4-[(5-[(2-(2-fluorophenyl)ethyl]amino)methyl]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



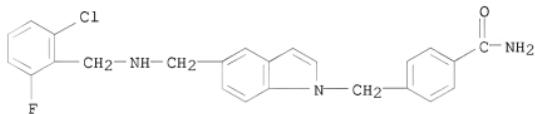
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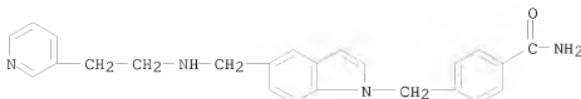
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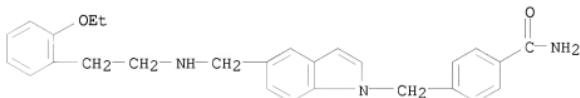
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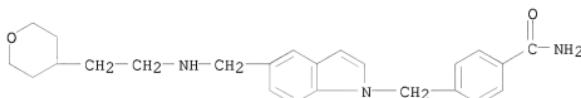
RN 865542-94-5 CAPLUS
CN Benzamide, 4-[(5-[(2-(3-pyridinyl)ethyl]amino)methyl]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



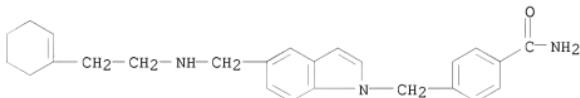
RN 865542-95-6 CAPLUS
CN Benzanide, 4-[(5-[(2-(2-ethoxyphenyl)ethyl]amino)methyl]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



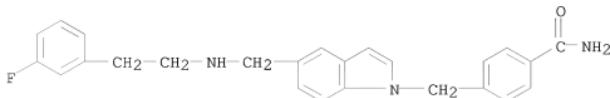
RN 865542-96-7 CAPLUS
CN Benzanide, 4-[(5-[(2-(tetrahydro-2H-pyran-4-yl)ethyl]amino)methyl]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



RN 865542-97-8 CAPLUS
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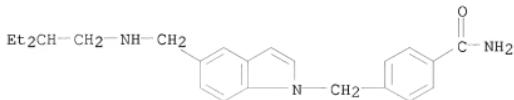


RN 865542-98-9 CAPLUS
CN Benzanide, 4-[(5-[(2-(3-fluorophenyl)ethyl]amino)methyl]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



RN 865542-99-0 CAPLUS

CN Benzamide, 4-[(5-[(2-ethylbutyl)amino]methyl]-1H-indol-1-yl)methyl] - (CA
INDEX NAME)



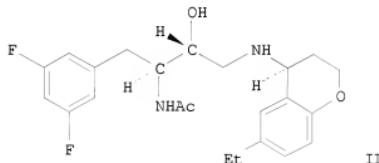
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2004:252298 CAPLUS
DOCUMENT NUMBER: 140:287268
TITLE: Preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of $\text{A}\beta$ -peptide
INVENTOR(S): Maillard, Michel; Baldwin, Eric T.; Beck, James T.; Hughes, Robert; John, Varghese; Pulley, Shon R.; Tenbrink, Ruth
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pfizer, Inc.; Pharmacia & Upjohn Company, LLC
SOURCE: PCT Int. Appl., 459 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2004024081 | A2 | 20040325 | WO 2003-US28503 | 20030910 |
| WO 2004024081 | A3 | 20050623 | | |
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| CA 2498248 | A1 | 20040325 | CA 2003-2498248 | 20030910 |
| AU 2003267132 | A1 | 20040430 | AU 2003-267132 | 20030910 |
| US 20040180939 | A1 | 20040916 | US 2003-658959 | 20030910 |
| US 7244725 | B2 | 20070717 | | |
| BR 2003014188 | A | 20050809 | BR 2003-14188 | 20030910 |
| EP 1565443 | A2 | 20050824 | EP 2003-749607 | 20030910 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| CN 1694870 | A | 20051109 | CN 2003-824988 | 20030910 |
| CN 100384824 | C | 20080430 | | |
| JP 2006504793 | T | 20060209 | JP 2004-571986 | 20030910 |
| NZ 539095 | A | 20070427 | NZ 2003-539095 | 20030910 |
| TW 336320 | B | 20110121 | TW 2003-125081 | 20030910 |

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| NO | 2005001239 | A | 20050606 | NO | 2005-1239 | | 20050310 |
| MX | 2005002695 | A | 20050908 | MX | 2005-2695 | | 20050310 |
| KR | 2006057520 | A | 20060526 | KR | 2005-7004161 | | 20050310 |
| IN | 2005KN00409 | A | 20060421 | IN | 2005-KN409 | | 20050314 |
| IN | 225649 | A1 | 20081121 | | | | |
| ZA | 2005001991 | A | 20050309 | ZA | 2005-1991 | | 20051020 |
| US | 20070293483 | A1 | 20071220 | US | 2006-447789 | | 20060606 |
| US | 7645780 | B2 | 20100112 | | | | |
| US | 20100145056 | A1 | 20100610 | US | 2009-624100 | | 20091123 |
| JP | 2011084568 | A | 20110428 | JP | 2010-273586 | P | 20101208 |
| PRIORITY APPLN. INFO.: | | | | US | 2002-409453P | P | 20020910 |
| | | | | US | 2003-452231P | P | 20030305 |
| | | | | US | 2003-491757P | P | 20030801 |
| | | | | JP | 2004-571986 | A3 | 20030910 |
| | | | | US | 2003-658959 | A1 | 20030910 |
| | | | | WO | 2003-US28503 | W | 20030910 |
| | | | | US | 2006-447789 | A3 | 20060606 |

OTHER SOURCE(S): MARPAT 140:287268
GI



AB Disclosed are Z-X-NHCH(R1)CH(OH)C(R2)(R3)N(R15)(Rc) (I; variables defined below; e.g. II). Compds. disclosed herein are inhibitors of the beta-secretase enzyme (no data) and are therefore useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal (no data). An unspecified method of preparation is claimed and >100 example preps. of intermediates and I are included. For example, II was prepared in 4 steps starting with preparation of (6-iodochroman-4-yl)amine from 6-iodo-4-chromanol followed by reaction with tert-Bu [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate to give tert-Bu [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(6-iodo-3,4-dihydro-2H-chromen-4-yl)amino]propyl]carbamate, followed by ethylation. For I: Z is H, (C3-C7 cycloalkyl)-0-1(C1-C6 alkyl)-, (C3-C7 cycloalkyl)0-1(C2-C6 alkenyl)-, (C3-C7 cycloalkyl)-0-1(C2-C6 alkynyl)- or (C3-C7 cycloalkyl)-; X = C(O), SO2; R1 is C1-C10 alkyl (un)substituted with 1, 2, or 3 halogen, -OH, -O-, -SH, -CN, -CF3, -C3-7 cycloalkyl, -Cl-C4 alkoxy, amino, mono- or dialkylamino, aryl, heteroaryl, and heterocycloalkyl; R2 and R3 = H; F; -C1-C6 alkyl (un)substituted with -F, -OH, -CN, -CF3, Cl-C3 alkoxy, oxo-NR5R6; -(CH2)0-2-R1'; -(CH2)0-2-R18; -C2-C6 alkenyl or C2-C6 alkynyl; R15 = H, Cl-C6 alkyl, Cl-C6 alkoxy, Cl-C6 alkoxy Cl-C6 alkyl, hydroxy Cl-C6 alkyl, halo Cl-C6 alkyl; R2, R3 and the C to which they are attached can form a C3-C7 carbocycle, wherein 1-3 C atoms are optionally replaced by -O-, -S-, -SO2-, -C(O)-, or -NR7-; Rc = -(CH2)0-3-(C3-C8) cycloalkyl, etc.; addnl. details are given in the claims.

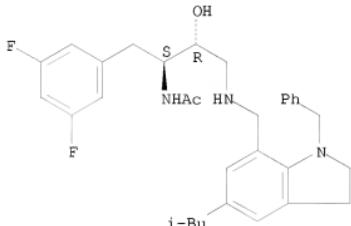
IT 676137-42-1P 676137-48-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of ring-containing N-acetyl

2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

RN 676137-42-1 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[2,3-dihydro-5-(2-methylpropyl)-1-(phenylmethyl)-1H-indol-7-yl]methyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

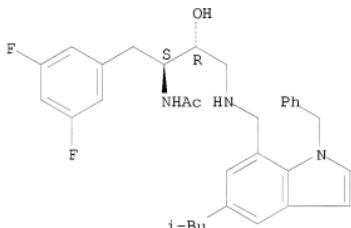
Absolute stereochemistry.



RN 676137-48-7 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[5-(2-methylpropyl)-1-(phenylmethyl)-1H-indol-7-yl]methyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1991:62458 CAPLUS

DOCUMENT NUMBER: 114:62458

ORIGINAL REFERENCE NO.: 114:10727a,10730a

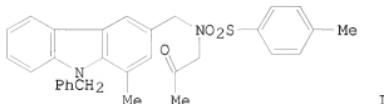
TITLE: Attempted synthesis of olivacine isomers

AUTHOR(S): Kasturi, T. R.; Mathew, Lata; Sattigeri, J. A.

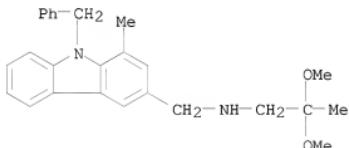
CORPORATE SOURCE: Dep. Org. Chem., Indian Inst. Sci., Bangalore, 560 012, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1990),

DOCUMENT TYPE: 29B(11), 1004-6
 LANGUAGE: CODEN: IJSBDB; ISSN: 0376-4699
 OTHER SOURCE(S): Journal
 GI English
 CASREACT 114:62458

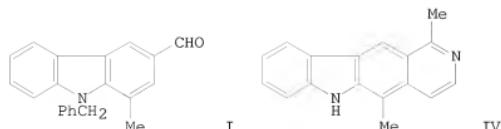


AB Attempted cyclization of tosyl lactone I with HCl/dioxane or P2O5/benzene gave, instead of olivacine isomers, only the cleaved product N-tosyldiaminoacetone.
IT 131713-52-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and N-tosylation of)
RN 131713-52-5 CAPLUS
CN 9H-Carbazole-3-methanamine, N-(2-(dimethoxypropyl)-1-methyl-9-(phenylmethyl))- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
 (6 CITINGS)

L8 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 1990:572402 CAPLUS
 DOCUMENT NUMBER: 113:172402
 ORIGINAL REFERENCE NO.: 113:29249a,29252a
TITLE: Synthetic studies of indoles and related compounds.
 Part 22. The Vilsmeier-Haack reaction of
 N-benzy1-1,2,3,4-tetrahydrocarbazoles and its
 synthetic application to olivacine and ellipticine
 Yokoyama, Yuusaku; Okuyama, Naomi; Iwadate, Shinji;
 Momoi, Tokuko; Murakami, Yasuoki
AUTHOR(S):
CORPORATE SOURCE: Sch. Pharm. Sci., Toho Univ., Funabashi, 274, Japan
SOURCE: Journal of the Chemical Society, Perkin Transactions
 1: Organic and Bio-Organic Chemistry (1972-1999)
 (1990), (5), 1319-29
 CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:172402
GI



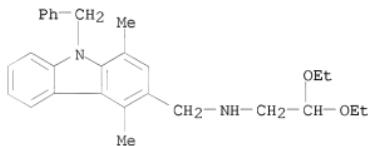
AB Vilsmeier-Haack reaction of 9-benzyl-1,2,3,4-tetrahydrocarbazole at 120 °C gave 9-benzyl-1-methylcarbazole-3-carbaldehyde (I) and 9-benzyl-1-[N,N-(dimethylamino)methyl]carbazole-3-carbaldehyde in moderate yields, whereas, the same reaction at 0 °C gave 9-benzyl-1,2,3,4-tetrahydrocarbazole-1-carbaldehyde (II) in very good yield. II was converted into 9-benzyl-1-methylcarbazole by another Vilsmeier-Haack reaction. This carbazole unexpectedly underwent non-regioselective formylation under similar reaction conditions to give a mixture of compound I and 9-benzyl-8-methylcarbazole-3-carbaldehyde. On the basis of the above results, a mechanism of the formation of the aromatic aldehyde I was proposed, which involves 1,5-sigmatropic rearrangement of an N-methyldiene dimethylammonium cation from the 4a-position to the 3-position as a key step. Vilsmeier-Haack reaction of 9-benzyl-1,2,3,4-tetrahydro-4-methylcarbazole at 100 °C also gave 9-benzyl-1,4-dimethylcarbazole-3-carbaldehyde (III) in moderate yield. The total synthesis of two antitumor alkaloids, olivacine (IV) and ellipticine, were achieved by utilizing compds. I and III as key intermediates.

IT 129868-53-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and tosylation of)

RN 129868-53-7 CAPLUS

CN 9H-Carbazole-3-methanamine, N-(2,2-diethoxyethyl)-1,4-dimethyl-9-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)

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| COST IN U.S. DOLLARS | | SINCE FILE | TOTAL |
| FULL ESTIMATED COST | | ENTRY | SESSION |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | | SINCE FILE | TOTAL |
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DICTIONARY FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6

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experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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| COST IN U.S. DOLLARS | SINCE FILE | TOTAL | |
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STN INTERNATIONAL SESSION SUSPENDED AT 09:57:45 ON 25 MAY 2011

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Welcome to STN International! Enter x:x

LOGINID:SSPTACDR1614

PASSWORD:
* * * * * RECONNECTED TO STN INTERNATIONAL * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 10:01:54 ON 25 MAY 2011
FILE 'REGISTRY' ENTERED AT 10:01:54 ON 25 MAY 2011
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| FULL ESTIMATED COST | 0.51 | 454.38 | |
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| | ENTRY | SESSION | |
| CA SUBSCRIBER PRICE | 0.00 | -8.70 | |

=> file reg

COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE

ENTRY

0.51

TOTAL

SESSION

454.38

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

ENTRY

0.00

TOTAL

SESSION

-8.70

CA SUBSCRIBER PRICE

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STRUCTURE FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6

DICTIONARY FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6

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<http://www.cas.org/legal/infopolicy.html>

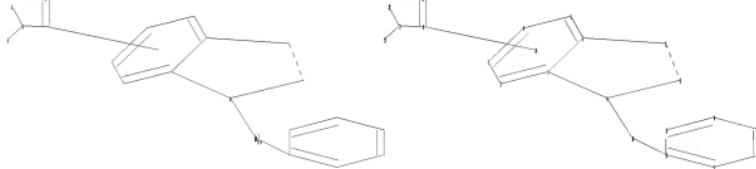
TSCA INFORMATION NOW CURRENT THROUGH January 14, 2011.

Please note that search-term pricing does apply when
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Program Files\STNEXP\Queries\10598281FOAM1b.str



chain nodes :
10 19 20 21 22 23

ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15 16
chain bonds :
9-10 10-12 19-20 19-21 21-22 21-23
ring bonds :
1-2 1-6 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9 11-12 11-16 12-13 13-14 14-15
15-16
exact/norm bonds :
1-9 6-7 7-8 8-9 19-20 19-21
exact bonds :
9-10 10-12 21-22 21-23
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 19:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:Atom

L9 STRUCTURE UPLOADED

=> d 19
L9 HAS NO ANSWERS
L9 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
Structure attributes must be viewed using STN Express query preparation.

=> s 19 sss sam
SAMPLE SEARCH INITIATED 10:02:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 45563 TO ITERATE

100.0% PROCESSED 45563 ITERATIONS 24 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 898500 TO 924020
PROJECTED ANSWERS: 187 TO 773

L10 24 SEA SSS SAM L9

=> s 19 sss full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 196.35 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 10:02:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 914454 TO ITERATE

100.0% PROCESSED 914454 ITERATIONS 446 ANSWERS
SEARCH TIME: 00.00.02

L11 446 SEA SSS FUL L9

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION

| | | | |
|--------------------------------------------|--|------------------|---------------|
| FULL ESTIMATED COST | | 196.86 | 651.24 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | | 0.00 | -8.70 |

FILE 'CAPLUS' ENTERED AT 10:02:39 ON 25 MAY 2011
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FILE COVERS 1907 - 25 May 2011 VOL 154 ISS 22
 FILE LAST UPDATED: 24 May 2011 (20110524/ED)
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2011
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2011

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

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<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

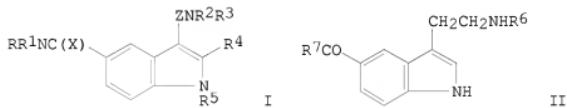
=> s l11
 L12 55 L11

=> d ibib abs hitstr 55

L12 ANSWER 55 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 1980:532369 CAPLUS
 DOCUMENT NUMBER: 93:132369
 ORIGINAL REFERENCE NO.: 93:21105a,21108a
 TITLE: Indole compounds and pharmaceutical compositions containing them
 INVENTOR(S): Webb, Colin Frederick
 PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
 SOURCE: Ger. Offen., 102 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| DE 2940687 | A1 | 19800430 | DE 1979-2940687 | 19791008 |
| DE 2940687 | C2 | 19910801 | | |
| ZA 7905239 | A | 19801126 | ZA 1979-5239 | 19791002 |

| | | | | | | |
|------------------------|----------|--------|-----------|----|-------------|------------|
| FI | 7903071 | A | 19800413 | FI | 1979-3071 | 19791004 |
| DK | 7904255 | A | 19800413 | DK | 1979-4255 | 19791009 |
| AU | 7951657 | A | 19800417 | AU | 1979-51657 | 19791010 |
| AU | 531783 | B2 | 19830908 | | | |
| GB | 2035310 | A | 19800618 | GB | 1979-35208 | 19791010 |
| GB | 2035310 | B | 19821222 | | | |
| US | 4252803 | A | 19810224 | US | 1979-83343 | 19791010 |
| AT | 7906605 | A | 19840815 | AT | 1979-6605 | 19791010 |
| AT | 377511 | B | 19850325 | | | |
| SE | 7908443 | A | 19800413 | SE | 1979-8443 | 19791011 |
| SE | 448628 | B | 19870309 | | | |
| SE | 448628 | C | 19870618 | | | |
| CH | 646151 | A5 | 19841115 | CH | 1979-9194 | 19791011 |
| BE | 879381 | A1 | 19800201 | BB | 1979-197621 | 19791012 |
| NL | 7907583 | A | 19800415 | NL | 1979-7583 | 19791012 |
| FR | 2438651 | A1 | 19800509 | FR | 1979-25446 | 19791012 |
| FR | 2438651 | B1 | 19830304 | | | |
| JP | 55062063 | A | 19800510 | JP | 1979-130944 | 19791012 |
| JP | 63058817 | B | 19881117 | | | |
| CA | 1146550 | A1 | 19830517 | CA | 1979-337443 | 19791012 |
| PRIORITY APPLN. INFO.: | | | | GB | 1978-40279 | A 19781012 |
| OTHER SOURCE(S): | | MARPAT | 93:132369 | | | |
| GI | | | | | | |



AB The indole derivs. I [R, R₁, R₂, R₃ = H, (substituted) alkyl, cycloalkyl, aryl, or aralkyl; RR₁N, and R₂R₃N = ring; R₄ = H, Cl-3 alkyl, aryl; R₅ = H, alkyl, aralkyl; Z = Cl-4 alkylenne; X = O, S] and their salts were prepared for use in treatment of hypertension and migraines (no data). Thus, II (R₆ = CO₂CH₂Ph, R₇ = OH) reacted with PhCH₂NH₂ in the presence of 2-chloro-1-methylpyridinium iodide to give II (R₆ = CO₂CH₂Ph, R₇ = NHCH₂Ph), which was hydrogenated over Pd-C to give I (R₆ = H, R₇ = NHCH₂Ph). isolated as compound with creatinine sulfate.

NAOMI H., 1
IT 74885-49-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

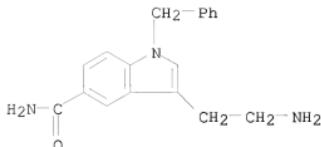
RN 74885-49-7 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(2-aminoethyl)-1-(phenylmethyl)-,
(2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 74885-48-6

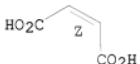
CMF C18 H19 N3 O



CM 2

CRN 110-16-7
CMF C4 H4 O4

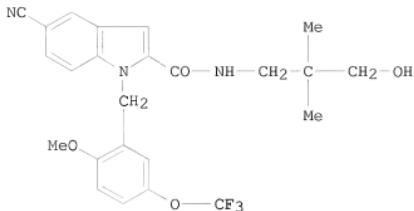
Double bond geometry as shown.



OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (30 CITINGS)

=> d ibib abs hitstr 1-55

L12 ANSWER 1 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2010:1609367 CAPLUS
 DOCUMENT NUMBER: 154:173387
 TITLE: The discovery of novel indole-2-carboxamides as cannabinoid CB₁ receptor antagonists
 AUTHOR(S): Cowley, Phillip M.; Baker, James; Barn, David R.; Buchanan, Kirsteen I.; Carlyle, Ian; Clark, John K.; Clarkson, Thomas R.; Deehan, Maureen; Edwards, Darren; Goodwin, Richard R.; Jaap, David; Kiyoi, Yasuko; Mort, Chris; Palin, Ronald; Prosser, Alan; Walker, Glenn; Ward, Nick; Wishart, Grant; Young, Trevor
 CORPORATE SOURCE: Department of Chemistry, MSD, Newhouse, Lanarkshire, ML1 5SH, UK
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2011), 21(1), 497-501
 CODEN: BMCLB8; ISSN: 0960-894X
 PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



I

AB The discovery and structure-activity relationship of a novel series of indole-2-carboxamide antagonists of the cannabinoid CB₁ receptor is disclosed. Compound 26i (I) was found to be a high potency, selective cannabinoid CB₁ antagonist.

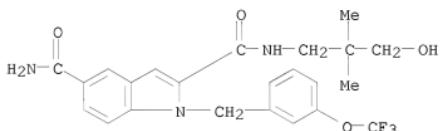
IT 1262836-12-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(indolecarboxamides as cannabinoid CB₁ receptor antagonists)

RN 1262836-12-3 CAPLUS

CN 1H-Indole-2,5-dicarboxamide, N2-(3-hydroxy-2,2-dimethylpropyl)-1-[(3-(trifluoromethoxy)phenyl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2010:1342793 CAPLUS

DOCUMENT NUMBER: 153:54916

TITLE: A process for the preparation of frovatriptan and frovatriptan succinate and their intermediates

INVENTOR(S): Gore, Vinayak Govind; Gadkar, Maheshkumar; Tripathi, Anilkumar; Mankar, Viraj

PATENT ASSIGNEE(S): Generics UK Limited, UK; Mylan India Private Limited

SOURCE: PCT Int. Appl., 34pp.

CODEN: PIXXDD2

DOCUMENT TYPE: Patent

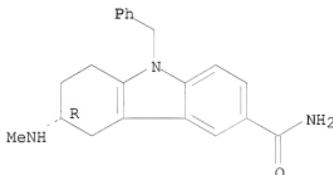
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------|-----------------|------------|
| WO 2010122343 | A1 | 20101028 | WO 2010-GB50658 | 20100422 |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG,
ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP,
KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA,
MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE,
PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV,
SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI,
SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, NO, SD, SL, SZ, TZ,
UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| IN 2009K00657 | A | 20101029 | IN 2009-KO657 | 20090423 |
| PRIORITY APPLN. INFO.: | | | IN 2009-KO657 | A 20090423 |
| OTHER SOURCE(S): | CASREACT 153:554916 | | | |
| AB | A process for preparation of 6-carboxamido-3-phthalimido-1,2,3,4-tetrahydrocarbazole comprises reaction of 4-aminobenzamide with nitrite in the presence of mineral acid and a sulfonic acid, reduction of the resulting diazonium salt, and addition of (protected) 4-phthalimidocyclohexanone. Thus, 4-aminobenzamide in H ₂ O was treated sequentially with aqueous HCl, p-toluenesulfonic acid, aqueous NaNO ₂ , aqueous Na ₂ SO ₃ , MeOH, and 4-phthalimidocyclohexanone under cooling followed by heating at 75° for 8 h to give 70% 6-carboxamido-3-phthalimido-1,2,3,4-tetrahydrocarbazole. | | | |
| IT | 1253121-63-9P | | | |
| | RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) | | | |
| | (preparation of frovatriptan and frovatriptan succinate and their intermediates) | | | |
| RN | 1253121-63-9 CAPLUS | | | |
| CN | 1H-Carbazole-6-carboxamide, 2,3,4,9-tetrahydro-3-(methylamino)-9-(phenylmethyl)-, (3R)- (CA INDEX NAME) | | | |

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:268662 CAPLUS

DOCUMENT NUMBER: 150:298998

TITLE: Use of secretory phospholipase A2 (SPLA2) inhibitors to decrease SPLA2 levels

INVENTOR(S): Trias, Joaquim; Hislop, Colin

PATENT ASSIGNEE(S): Anthera Pharmaceuticals, Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 48 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 20090062369 | A1 | 20090305 | US 2007-849243 | 20070831 |
| PRIORITY APPLN. INFO.: | | | US 2007-849243 | 20070831 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Administration of sPLA2 inhibitors has been found to decrease sPLA2 levels in human serum. Provided herein are methods of decreasing serum sPLA2 levels in a subject in need thereof, as well as methods for accurately measuring sPLA2 levels in a serum sample.

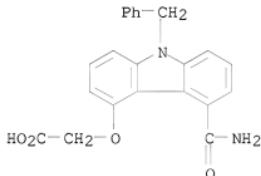
IT 246513-34-8 246513-34-8D, salts and prodrug derivs.

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of secretory phospholipase A2 (sPLA2) inhibitors to decrease sPLA2 levels)

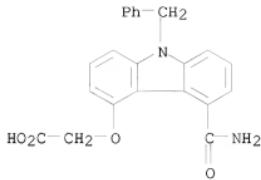
RN 246513-34-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 246513-34-8 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

L12 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESION NUMBER: 2009:86451 CAPLUS
 DOCUMENT NUMBER: 150:160095
 TITLE: Use of adenosine A2A receptor agonists and phosphodiesterase (PDE) inhibitors for the treatment of B-cell proliferative disorders, and combinations with other agents

INVENTOR(S): Rickles, Richard; Lee, Margaret S.
 PATENT ASSIGNEE(S): CombinatoRx, Incorporated, USA

SOURCE: PCT Int. Appl., 70 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|-----------------|-----------------|----------|
| WO 2009011893 | A2 | 20090122 | WO 2008-US8758 | 20080717 |
| WO 2009011893 | A3 | 20090319 | | |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA,UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BE, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| AU 2008276451 | A1 | 20090122 | AU 2008-276451 | 20080717 |
| CA 2694983 | A1 | 20090122 | CA 2008-2694983 | 20080717 |
| US 20090053168 | A1 | 20090226 | US 2008-175219 | 20080717 |
| EP 2178369 | A2 | 20100428 | EP 2008-780231 | 20080717 |
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| PRIORITY APPLN. INFO.: | | | | |
| | | US 2007-950307P | P | 20070717 |
| | | US 2007-965587P | P | 20070821 |
| | | WO 2008-US8758 | W | 20080717 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention provides compns. and methods for the treatment of B-cell

proliferative disorders that employ an A2A receptor agonist or one or more PDE inhibitors. The methods and compns. may further include an antiproliferative compound

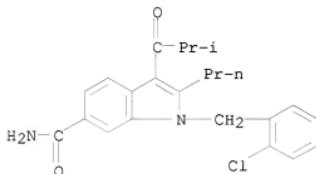
IT 184147-65-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(FR 181074; adenosine A2A receptor agonists and phosphodiesterase inhibitors for treatment of B-cell proliferative disorders, and combinations with other agents)

RN 184147-65-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-((2-chlorophenyl)methyl)-3-(2-methyl-1-oxopropyl)-2-propyl (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L12 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:83374 CAPLUS

DOCUMENT NUMBER: 150:160094

TITLE: Combinations for the treatment of B-cell proliferative disorders

INVENTOR(S): Rickles, Richard; Pierce, Laura; Lee, Margaret S.

PATENT ASSIGNEE(S): Combinatorix, Incorporated, USA

SOURCE: PCT Int. Appl., 79pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2009011897 | A1 | 20090122 | WO 2008-US8764 | 20080717 |
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| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BP, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2008276455 | A1 | 20090122 | AU 2008-276455 | 20080717 |
| CA 2694987 | A1 | 20090122 | CA 2008-2694987 | 20080717 |
| US 2009047243 | A1 | 20090219 | US 2008-175121 | 20080717 |
| EP 2178370 | A1 | 20100428 | EP 2008-780237 | 20080717 |

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI,
SK, TR, AL, BA, MK, RS

PRIORITY APPLN. INFO.: US 2007-959877P P 20070717
US 2007-965595P P 20070821
WO 2008-US8764 W 20080717

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

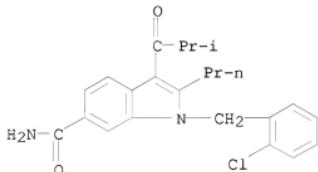
AB The invention features compns. and methods employing combinations of an A2A receptor agonist and a PDE (phosphodiesterase) inhibitor for the treatment of a B-cell proliferative disorder, e.g., multiple myeloma. In at least one embodiment, the compns. of the invention comprise a PDE inhibitor active against at least two of PDE 2, 3, 4, and 7. In at least one embodiment, the compns. of the invention comprises further administering an antiproliferative compound

IT 184147-65-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(FR 181074; combinations for treatment of B-cell proliferative disorders using PDE inhibitors and A2A receptor agonists and antiproliferative compds.)

RN 184147-65-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:1099326 CAPLUS

DOCUMENT NUMBER: 148:253384

TITLE: Design and bioassay of non-peptidic inhibitors of SARS coronavirus 3C-like proteinase

AUTHOR(S): Liu, Ying; Zheng, Teng-Fei; Jin, Feng; Zhou, Lu; Liu, Zhen-Ming; Wei, Ping; Lai, Lu-Hua

CORPORATE SOURCE: Beijing National Laboratory for Molecular Sciences, State Key Laboratory for Structural Chemistry of Unstable and Stable Species, College of Chemistry and Molecular Engineering, Peking University, Beijing, 100871, Peop. Rep. China

SOURCE: Huaxue Xuebao (2007), 65(16), 1707-1712

CODEN: HHHPA4; ISSN: 0567-7351

PUBLISHER: Huaxue Xuebao Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

OTHER SOURCE(S): CASREACT 148:253384

AB Severe acute respiratory syndrome (SARS) coronavirus 3C-like proteinase is the key enzyme for the maturation of the virus and has been proposed to be

a key target for structure based drug design against SARS. In this paper, based on the three-dimensional structure of SARS coronavirus 3C-like proteinase, the available chemical database (ACD) and clin. drug database were used for virtual screening, and the candidate non-peptidic compds. were purchased or synthesized. Several human rhinovirus (HRV) 3C protease inhibitors were also synthesized. All the compds. were tested against SARS 3C-like proteinase bioassay. Two types of compds. including hydroxyzine dihydrochloride, a well known antihistamine, were found to inhibit the enzyme and SARS virus in cell cultivating; one of the isatin compds. shows significant inhibition with an IC₅₀ of (0.76±0.02) μmol•L⁻¹. The primary result suggested that drugs in clin. usage can be developed for new purpose.

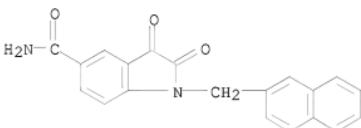
IT 184904-82-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(design and bioassay of non-peptidic inhibitors of SARS coronavirus 3C-like proteinase)

RN 184904-82-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-(2-naphthalenylmethyl)-2,3-dioxo- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L12 ANSWER 7 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:410811 CAPLUS

DOCUMENT NUMBER: 146:421837

TITLE: Preparation of fused pyrrole derivatives as GR modulators

INVENTOR(S): Sone, Toshihiko; Sawaki, Rieko; Nakajima, Tomoko

PATENT ASSIGNEE(S): Dainippon Sumitomo Pharma Co., Ltd., Japan

SOURCE: PCT Int. Appl., 403pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

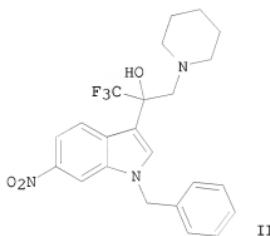
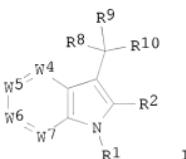
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------|------------------|----------|
| WO 2007040166 | A1 | 20070412 | WO 2006-JP319426 | 20060929 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, | | | |

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 AU 2006298164 A1 20070412 AU 2006-298164 20060929
 CA 2623154 A1 20070412 CA 2006-2623154 20060929
 EP 1930320 A1 20080611 EP 2006-810832 20060929
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 KR 2008063288 A 20080703 KR 2008-7007427 20080327
 IN 2008DN02633 A 20080704 IN 2008-DN2633 20080328
 US 20100190768 A1 20100729 US 2008-88658 20080328
 CN 101321726 A 20081210 CN 2006-80044619 20080528
PRIORITY APPLN. INFO.: JP 2005-286576 A 20050930
 WO 2006-JP319426 W 20060929

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 146:421837

GI



AB Title compds. I [R1 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R2 = H, halo, carboxyl, etc.; -W4:W5-W6:W7 = -CR4:CR5-CR6:CR7-, -N:CR5-CR6:CR7-, -CR4:N-CR6:CR7-, etc.; R4-R7 = -E-A; E = single bond, -O-, -CO-, etc.; when E is a single bond, A is H, halo, cyano, etc.; when E is =O-, -CO-, etc., A is H, (un)substituted alkyl, (un)substituted cycloalkyl, etc.; R8 = -OR11, -SR11, -N(R11)R12; R11, R12 = H, (un)substituted alkyl; R9 = alkyl substituted with halo, cycloalkyl substituted with halo; R10 = -[C(R13)R14]n-R15; R13, R14 = H, alkyl, halo; R13 and R14 may combine to form a oxo group; or R13 and R14, together with the carbon atom to which they are attached, form a cycloalkane (one or two -CH2- in cycloalkane may be replaced with -NH-, -S-, -S(:O)-, etc.); n = 0-10; R15 = hydroxy, (un)substituted alkyl, (un)substituted alkenyl, etc.], prodrugs or pharmaceutically acceptable salts were prepared. For example, reaction of 1-(1-benzyl-6-nitro-1H-indol-3-yl)-2,2-trifluoroethanone, e.g., prepared from 6-nitroindole in 2 steps, with trimethylphosphonium iodide followed by treatment with piperidine afforded

compound II. In glucocorticoid receptor (GR) binding assays, compound II exhibited the inhibitory activity of 92% at 100 nM. Compds. I are claimed useful for the treatment of inflammation and diabetes.

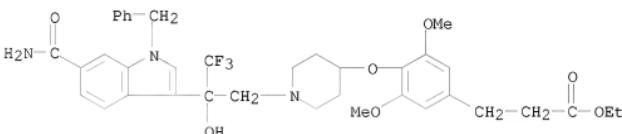
IT 934226-80-9P 934230-02-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused pyrrole derivs. as GR modulators for treatment of inflammation and diabetes)

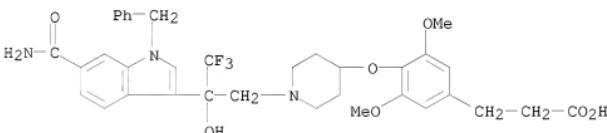
RN 934226-80-9 CAPLUS

CN Benzenepropanoic acid, 4-[(1-[2-[6-(aminocarbonyl)-1-(phenylmethyl)-1H-indol-3-yl]-3,3,3-trifluoro-2-hydroxypropyl]-4-piperidinyl)oxy]-3,5-dimethoxy-, ethyl ester (CA INDEX NAME)



RN 934230-02-1 CAPLUS

CN Benzenepropanoic acid, 4-[(1-[2-[6-(aminocarbonyl)-1-(phenylmethyl)-1H-indol-3-yl]-3,3,3-trifluoro-2-hydroxypropyl]-4-piperidinyl)oxy]-3,5-dimethoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 200711294 CAPLUS

DOCUMENT NUMBER: 1461142499

TITLE: Preparation of tetrahydrocarbazole derivatives useful as androgen receptor modulators

INVENTOR(S): Fales, Kevin Robert; Green, Jonathan Edward; Jadhav, Prabhakar Kondaji; Matthews, Donald Paul; Neel, David Andrew; Smith, Edward C R.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 218 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

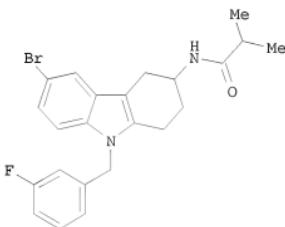
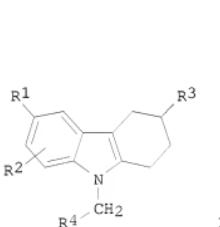
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------|------------------|------------|
| WO 2007002181 | A2 | 20070104 | WO 2006-US24122 | 20060621 |
| WO 2007002181 | A3 | 20070301 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| AU 2006262283 | A1 | 20070104 | AU 2006-262283 | 20060621 |
| CA 2612723 | A1 | 20070104 | CA 2006-2612723 | 20060621 |
| EP 1902026 | A2 | 20080326 | EP 2006-785258 | 20060621 |
| EP 1902026 | B1 | 20100217 | | |
| R: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | |
| JP 2008546791 | T | 20081225 | JP 2008-518350 | 20060621 |
| AT 457979 | T | 20100315 | AT 2006-785258 | 20060621 |
| PT 1902026 | E | 20100317 | PT 2006-785258 | 20060621 |
| ES 2339480 | T3 | 20100520 | ES 2006-785258 | 20060621 |
| IN 2007-KN04710 | A | 20080627 | IN 2007-KN4710 | 20071205 |
| IN 244647 | A1 | 20101224 | | |
| MX 2007015905 | A | 20080306 | MX 2007-15905 | 20071213 |
| US 20100022550 | A1 | 20100128 | US 2007-917398 | 20071213 |
| US 7935722 | B2 | 20110503 | | |
| CN 101203491 | A | 20080618 | CN 2006-80022629 | 20071224 |
| PRIORITY APPLN. INFO.: | | | US 2005-693604P | P 20050624 |
| | | | WO 2006-US24122 | W 20060621 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 146:142499; MARPAT 146:142499

GI



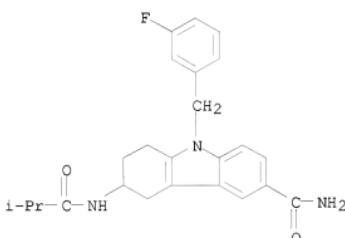
AB Title compds. I [R1 = H, OH, CN, halo, etc.; R2 = H, halo, alkyl or alkoxy, or R1 and R2 together form -OCH2O- or -OCF2O-; R3 = NHCOR5 or NHSO2R6; R4 = (un)substituted Ph or heteroaryl; R5 and R6 independently = alkyl, haloalkyl, alkoxy, etc.] and pharmaceutically acceptable salts were prepared as androgen receptor modulators. Thus, reacting p-bromophenylhydrazine hydrochloride with N-(4-oxocyclohexyl)isobutyramide (preparation given) in saturated ethanolic HCl at reflux for 18 h, followed by

alkylation with 3-fluorobenzyl bromide gave tetrahydrocarbazole II. II showed Ki of 2.6 nM in steroid hormone nuclear receptor binding assay and EC50 of 2.3 nM with 74.1% efficacy in C2C12 AR/ARE reporter assay. Tetrahydrocarbazoles I, and their pharmaceutical compns., are useful for treating physiol. disorders, particularly frailty, osteoporosis, osteopenia, and male and female sexual dysfunction.

IT 918791-04-5P, 9-(3-Fluorobenzyl)-6-(isobutanoyleamino)-6,7,8,9-tetrahydro-5H-carbazole-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of tetrahydrocarbazoles as androgen receptor modulators)

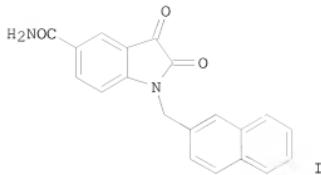
RN 918791-04-5 CAPLUS

CN 1H-Carbazole-6-carboxamide, 9-[(3-fluorophenyl)methyl]-2,3,4,9-tetrahydro-3-[(2-methyl-1-oxopropyl)amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2006:455326 CAPLUS
DOCUMENT NUMBER: 145:145490
TITLE: Isatin Compounds as Noncovalent SARS Coronavirus 3C-like Protease Inhibitors
AUTHOR(S): Zhou, Lu; Liu, Ying; Zhang, Weilin; Wei, Ping; Huang, Changkang; Pei, Jianfeng; Yuan, Yaxia; Lai, Luhua
CORPORATE SOURCE: State Key Laboratory for Structural Chemistry of Unstable and Stable Species, College of Chemistry and Molecular Engineering, Peking University, Beijing, 100871, Peop. Rep. China
SOURCE: Journal of Medicinal Chemistry (2006), 49(12), 3440-3443
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:145490
GI



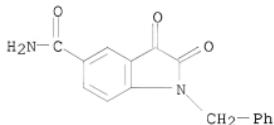
AB A series of isatin derivs. were synthesized and tested against SARS CoV 3C-like protease. Substitutions at the N-1 and C-5 positions were examined to elucidate the differences in substrate binding sites of the rhinovirus 3C protease and SARS CoV 3C-like protease. Isatin I shows significant inhibition with an IC₅₀ of 0.37 μM. Further study showed that, unlike the irreversible covalent binding of isatin derivs. to human rhinovirus 3C protease, the compds. tested in this study are all noncovalent reversible inhibitors.

IT 184904-80-1P 184904-82-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of isatin derivs. as noncovalent SARS coronavirus 3C-like protease inhibitors)

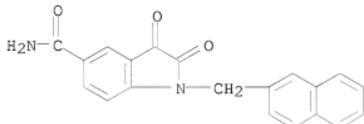
RN 184904-80-1 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-2,3-dioxo-1-(phenylmethyl)- (CA INDEX NAME)



RN 184904-82-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-(2-naphthalenylmethyl)-2,3-dioxo- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

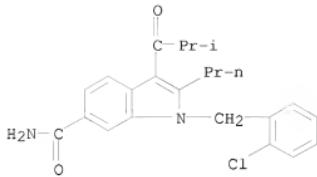
L12 ANSWER 10 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2006:167023 CAPLUS

DOCUMENT NUMBER: 144:247226
 TITLE: Use of phosphodiesterase 5 (PDE5) inhibitor for
 treating and preventing hypopigmentary disorders
 INVENTOR(S): Peuker, Heidemarie
 PATENT ASSIGNEE(S): Switch Biotech A.-G., Germany
 SOURCE: PCT Int. Appl., 48 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------|-----------------|------------|
| WO 2006018088 | A1 | 20060223 | WO 2005-EP7747 | 20050715 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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| EP 1759700 | A1 | 20070307 | EP 2004-19695 | 20040819 |
| EP 1759700 | B1 | 20090805 | | |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK | | | | |
| AT 438403 | T | 20090815 | AT 2004-19695 | 20040819 |
| ES 2330934 | T3 | 20091217 | ES 2004-19695 | 20040819 |
| AU 2005274546 | A1 | 20060223 | AU 2005-274546 | 20050715 |
| AU 2005274546 | B2 | 20110203 | | |
| CA 2619779 | A1 | 20060223 | CA 2005-2619779 | 20050715 |
| JP 2008050994 | T | 20080403 | JP 2007-526325 | 20050715 |
| US 20080051408 | A1 | 20080228 | US 2007-660351 | 20070705 |
| PRIORITY APPLN. INFO.: | | | EP 2004-19695 | A 20040819 |
| | | | US 2004-603069P | P 20040819 |
| | | | WO 2005-EP7747 | W 20050715 |

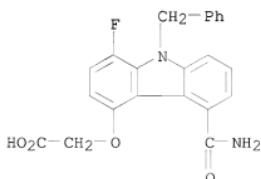
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention discloses the use of PDE5 inhibitors, preferably sildenafil or tadalafil, optionally in combination with a further active ingredient, for treating and/or preventing hypopigmentary disorders.
 IT 184147-65-7, FR 181074
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (phosphodiesterase 5 inhibitor for treatment and prevention of hypopigmentary disorder)
 RN 184147-65-7 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-((2-chlorophenyl)methyl)-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)
 REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2006:81629 CAPLUS
 DOCUMENT NUMBER: 144:311874
 TITLE: An efficient synthesis of carbazole-based secretory phospholipase A2 (sPLA2) inhibitors LSN433771 and LSN426891
 AUTHOR(S): May, Scott A.; Wilson, Thomas M.; Fields, Allison L.
 CORPORATE SOURCE: Chemical Product Research and Development, Eli Lilly and Company, Indianapolis, IN, 46285-4813, USA
 SOURCE: Tetrahedron Letters (2006), 47(8), 1351-1353
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 144:311874
 AB The flexible and efficient synthesis of two structurally similar carbazole derivs. is described. This general strategy features an intramol. palladium-mediated biaryl coupling reaction to join two aromatic domains of the target mols. Formation of the carbazole core is accomplished via nitrene insertion. The synthesis of secretory phospholipase A2 (sPLA2) inhibitors LSN433771 and LSN426891 is detailed.
 IT 220862-61-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of carbazole-based secretory phospholipase A2 inhibitors via intramol. palladium-mediated biaryl coupling reaction and nitrene insertion)
 RN 220862-61-3 CAPLUS
 CN Acetic acid, 2-[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

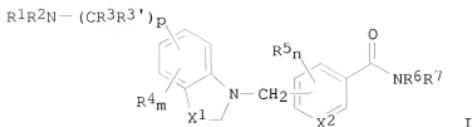
L12 ANSWER 12 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2005:1042216 CAPLUS
 DOCUMENT NUMBER: 143:347050
 TITLE: Preparation of
 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide
 derivatives as opioid receptor antagonists for the
 treatment of obesity
 INVENTOR(S): Benesh, Dana Rae; Blanco-Pillado, Maria-Jesus
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 52 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 2005090303 | A1 | 20050929 | WO 2005-US7702 | 20050309 |
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG | | | | |
| CA 2558030 | A1 | 20050929 | CA 2005-2558030 | 20050309 |
| EP 1751103 | A1 | 20070214 | EP 2005-725070 | 20050309 |
| EP 1751103 | B1 | 20090114 | | |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| JP 2007529523 | T | 20071025 | JP 2007-503959 | 20050309 |
| AT 420858 | T | 20090115 | AT 2005-725070 | 20050309 |
| ES 2318472 | T3 | 20090501 | ES 2005-725070 | 20050309 |
| US 20070155793 | A1 | 20070705 | US 2006-598281 | 20060823 |
| PRIORITY APPLN. INFO.: | | | US 2004-553176P | P 20040315 |
| | | | WO 2005-US7702 | W 20050309 |

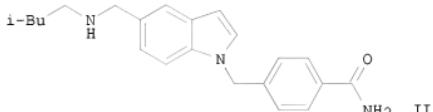
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:347050; MARPAT 143:347050

GI



I



II

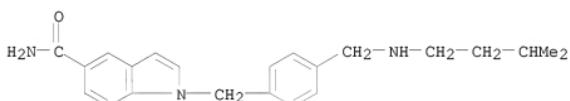
AB Title compds. represented by the formula I (wherein X1 = CH2, CH or N; X2 = CH or N; R1, R2 = independently H, alkyl(aryl), alkenyl, etc.; R3, R3' = independently H, alkyl, alkynyl, etc.; R4, R5 = independently H, (halo)alkyl, aryl, etc.; m = 0-2; n = 0-2; p = 0-2; and pharmaceutically acceptable salts, solvates, prodrugs, enantiomers, racemates, diastereomers and diastereomeric mixture thereof) were prepared as opioid receptor antagonists. For example, II was provided in a multi-step synthesis starting from the reaction of 5-formylindole with 4-bromomethylbenzonitrile. I were tested for antagonistic activity of mu-, γ - and δ -opioid receptor in SPA-based GTP γ S binding assay, and their pharmaceutical formulations were also presented. Thus, I and their pharmaceutical compns. are useful as opioid receptor antagonists for the treatment of obesity (no data).

IT 865543-00-6P 865543-03-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

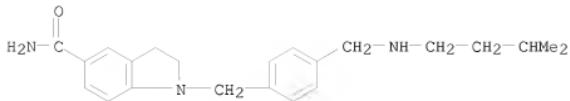
RN 865543-00-6 CAPLUS

CN 1H-Indole-5-carboxamide, 1-[(4-[(3-methylbutyl)amino)methyl]phenyl)methyl]- (CA INDEX NAME)



RN 865543-03-9 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-[(4-[(3-methylbutyl)amino)methyl]phenyl)methyl]- (CA INDEX NAME)



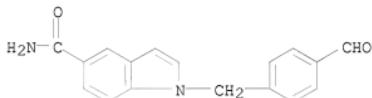
IT 865543-02-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

RN 865543-02-8 CAPLUS

CN 1H-Indole-5-carboxamide, 1-[(4-formylphenyl)methyl]- (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:348093 CAPLUS

DOCUMENT NUMBER: 143:43840

TITLE: Regioselective cyclization of unsymmetrical dicyanoanilines to novel 2,3-bifunctionalized indole regiosomers and their use in the synthesis of 4,5-dihydro[1,3]oxazino[5,4-b]indole-6-carbonitriles
Maitraie, D.; Reddy, G. Venkat; Rao, V. V. V. N. S.
Rama; Ravikanth, S.; Narsaiah, B.; Rao, P. Shanthan;
Ravikumar, K.; Sridhar, B.

AUTHOR(S):

CORPORATE SOURCE: Fluoroorganic Division, Indian Institute of Chemical Technology, Hyderabad, 500007, India

SOURCE: Tetrahedron (2005), 61(16), 3999-4008
CODEN: TETRAB; ISSN: 0040-4020

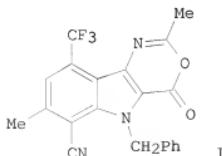
PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

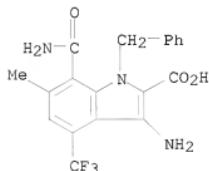
OTHER SOURCE(S): CASREACT 143:43840

GI

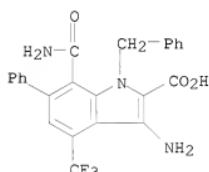


I

AB Synthesis of 2,3-bifunctionalized indole regioisomers from unsym.
 dicyanoanilines by regioselective cyclization in two independent ways.
 One of the regioisomers were further utilized in synthesis of
 4,5-dihydro[1,3]-oxazino[5,4-b] indole-6-carbonitriles, e.g., I.
 IT 853053-03-9P 853053-06-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of dihydrooxazinoindolecarbonitriles via hydrolysis of
 indolecarboxylate followed by cyclization)
 RN 853053-03-9 CAPLUS
 CN 1H-Indole-2-carboxylic acid, 3-amino-7-(aminocarbonyl)-6-methyl-1-
 (phenylmethyl)-4-(trifluoromethyl)- (CA INDEX NAME)



RN 853053-06-2 CAPLUS
 CN 1H-Indole-2-carboxylic acid, 3-amino-7-(aminocarbonyl)-6-phenyl-1-
 (phenylmethyl)-4-(trifluoromethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
 (8 CITINGS)
 REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2004:1124642 CAPLUS
 DOCUMENT NUMBER: 142:79915
 TITLE: Composition comprising a pulmonary surfactant and a
 pde5 inhibitor for the treatment of lung diseases
 Wollin, Stefan-Lutz
 INVENTOR(S):
 PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
 SOURCE: PCT Int. Appl., 32 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2004110450 | A1 | 20041223 | WO 2004-EP51120 | 20040615 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2529007 | A1 | 20041223 | CA 2004-2529007 | 20040615 |
| EP 1638567 | A1 | 20060329 | EP 2004-741805 | 20040615 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| JP 2006527737 | T | 20061207 | JP 2006-516154 | 20040615 |
| US 20060148693 | A1 | 20060706 | US 2005-560116 | 20051209 |
| US 7238664 | B2 | 20070703 | | |

PRIORITY APPLN. INFO.: EP 2003-13615 A 20030616
WO 2004-EP51120 W 20040615

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

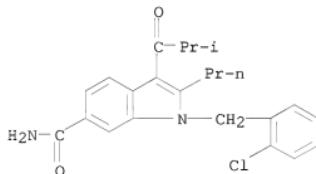
AB The invention relates to the combined administration of a pulmonary surfactant and a PDE5 inhibitor for the treatment of a disease in which pulmonary surfactant malfunction and/or phosphodiesterase 5 (PDE5) activity is detrimental. For example, a suspension for intrabronchial instillation contained Sildenafil 0.79mg and Lusupultide 15.34g.

IT 184147-65-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical composition comprising pulmonary surfactants in combination with phosphodiesterase 5 inhibitors for the treatment of lung diseases)

RN 184147-65-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2004:1036929 CAPLUS

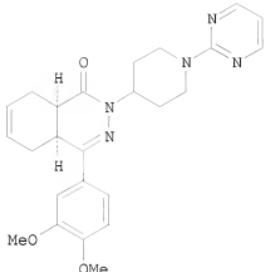
DOCUMENT NUMBER: 142:16825

TITLE: Composition comprising a PDE4 inhibitor and a PDE5 inhibitor

INVENTOR(S): Dunkern, Thorsten; Hatzelmann, Armin; Schudt, Christian; Grimminger, Friedrich; Ghofrani, Hossein

| PATENT ASSIGNEE(S): | Ardeschir
Altana Pharma A.-G., Germany | | | |
|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------|----------|------------------|-------------|
| SOURCE: | PCT Int. Appl., 43 pp. | | | |
| DOCUMENT TYPE: | Patent | | | |
| LANGUAGE: | English | | | |
| FAMILY ACC. NUM. COUNT: | 1 | | | |
| PATENT INFORMATION: | | | | |
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| ----- | ----- | ----- | ----- | ----- |
| WO 2004103407 | A2 | 20041202 | WO 2004-EP50869 | 20040519 |
| WO 2004103407 | A3 | 20050217 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG | | | | |
| AU 2004241749 | A1 | 20041202 | AU 2004-241749 | 20040519 |
| AU 2004241749 | B2 | 20100325 | | |
| CA 2525946 | A1 | 20041202 | CA 2004-2525946 | 20040519 |
| EP 1628682 | A2 | 20060301 | EP 2004-766017 | 20040519 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| BR 2004010326 | A | 20060523 | BR 2004-10326 | 20040519 |
| CN 1791429 | A | 20060621 | CN 2004-80013349 | 20040519 |
| JP 2006528229 | T | 20061214 | JP 2006-530210 | 20040519 |
| NZ 544040 | A | 20090331 | NZ 2004-544040 | 20040519 |
| ZA 2005008116 | A | 20070131 | ZA 2005-8116 | 20051007 |
| MX 2005012302 | A | 20060130 | MX 2005-12302 | 20051115 |
| US 20060094723 | A1 | 20060504 | US 2005-556888 | 20051115 |
| IN 2005MN01393 | A | 20070706 | IN 2005-MN1393 | 20051213 |
| IN 234325 | A1 | 20090710 | | |
| NO 2005005941 | A | 20051214 | NO 2005-5941 | 20051214 |
| US 20100234382 | A1 | 20100916 | US 2010-785973 | 20100524 |
| PRIORITY APPLN. INFO.: | | | EP 2003-11609 | A 20030522 |
| | | | WO 2004-EP50869 | W 20040519 |
| | | | US 2005-556888 | A1 20051115 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
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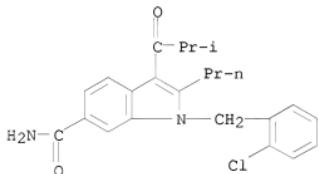


AB The invention relates to the combined administration of a PDE4 inhibitor and a PDE5 inhibitor for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or phosphodiesterase 5 (PDE5) activity is detrimental. Patients were administered orally one tablet of Roflumilast and once daily a tablet of Viagra. An example of another selected PDE4 inhibitor is I.

IT 184147-65-7, FR 181074
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (composition comprising a PDE4 inhibitor and a PDE5 inhibitor)

RN 184147-65-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



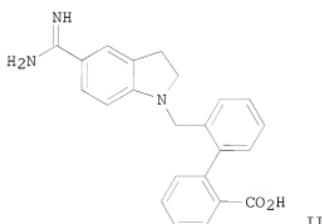
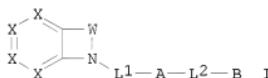
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 16 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2004:927166 CAPLUS
DOCUMENT NUMBER: 141:395428
TITLE: Biaryl methyl indolines, indoles, and tetrahydroquinolines, useful as serine protease inhibitors, and particularly as anticoagulants, and their preparation, pharmaceutical compositions, and use.
INVENTOR(S): Smallheer, Joanne M.; Quan, Mimi L.; Wang, Shuaige; Bisacchi, Gregory S.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 153 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 2004094372 | A2 | 20041104 | WO 2004-US11856 | 20040415 |
| WO 2004094372 | A3 | 20050602 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG | | | | |
| US 20040220206 | A1 | 20041104 | US 2004-824025 | 20040414 |
| US 7129264 | B2 | 20061031 | | |
| EP 1633716 | A2 | 20060315 | EP 2004-750251 | 20040415 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| JP 2006523716 | T | 20061019 | JP 2006-513080 | 20040415 |
| PRIORITY APPLN. INFO.: | | | US 2003-463452P | P 20030416 |
| | | | US 2004-824025 | A 20040414 |
| | | | WO 2004-US11856 | W 20040415 |

OTHER SOURCE(S): MARPAT 141:395428
 GI



AB The invention provides compds. I or stereoisomers, pharmaceutically

acceptable salts or hydrates, or prodrugs thereof [wherein: W = (un)substituted CH₂CH₂, CH:CH, CH:N, or CH₂CH₂CH₂; L1 = CH₂, CH₂CH₂, CH₂S(O)0-2, or CH₂C(O); L2 = bond, (un)substituted CH₂, CH₂CH₂, O, NH, C(O), S(O)0-2, CH₂C(O), C(O)CH₂, CH₂O, OCH₂, CH₂NH, NHCH₂, CH₂S(O)0-2, S(O)0-2CH₂, C(O)O, OC(O), C(O)NH, NHC(O), S(O)NH, S(O)2NH, NHS(O), or NHS(O)2; A = (un)substituted C₃-10 carbocycle or 5- to 12-membered heterocycle with 1-4 N/O/S(O)0-2 heteroatoms; B = (un)substituted alk(en/ynyl), C₃-10 carbocycle, or 5- to 12-membered heterocycle with 1-4 N/O/S(O)0-2 heteroatoms; X = (independently) (un)substituted CH or NJ]. I are useful as selective inhibitors of serine protease enzymes of the coagulation cascade and/or contact activation system; for example thrombin, factor Xa, factor XIa, factor VIIa and/or plasma kallikrein. In particular, the invention relates to compds. that are selective factor XIa inhibitors. This invention also relates to pharmaceutical compns. comprising I, and methods of treating thromboembolic and/or inflammatory disorders using I. I had Ki values of $\leq 15 \mu\text{M}$ in assays for Factor XIa and plasma kallikrein, thereby confirming their utility as effective inhibitors of these entities. Approx. 115 compds. I and various intermediates were prepared. For instance, 5-cyanoindole was reduced to 5-cyanoindoline with NaBH₃CN (40%) or with Et₃SiH (77%). Then, Suzuki coupling of 2-IC₆H₄CO₂Me with 2-OCHC₆H₄B(OH)₂ gave 83% 2-OCHC₆H₄-C₆H₄CO₂Me-2, which underwent reductive alkylation with 5-cyanoindoline (86%). The obtained 1-substituted 5-cyanoindoline was converted to the corresponding 5-amidoxime, which was reduced by Zn in AcOH to give the 5-amidine (18.5%). Alkaline saponification of the ester moiety gave

invention compound II, isolated as the bis(trifluoroacetate) salt.

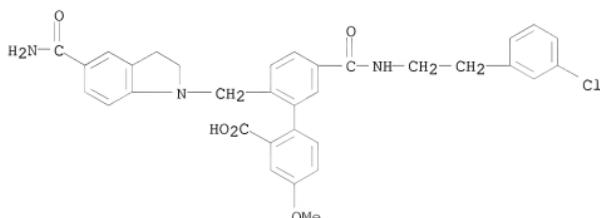
IT 787631-36-1P, 2'-(5-Carbamoyl-2,3-dihydroindol-1-ylmethyl)-5'-[(3-chlorophenyl)carbamoyl]-4-methoxybiphenyl-2-carboxylic acid
787631-37-2P, 5'-(Benzylcarbamoyl)-2'-(5-carbamoyl-2,3-dihydroindol-1-ylmethyl)-4-methoxybiphenyl-2-carboxylic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of biaryl methyl indolines, indoles, and tetrahydroquinolines as serine protease inhibitors and anticoagulants)

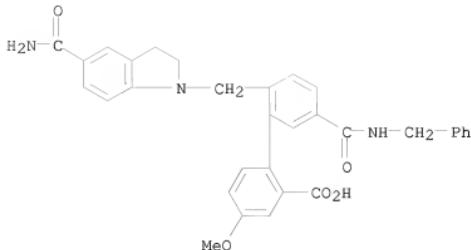
RN 787631-36-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid,
2'-[{5-(aminocarbonyl)-2,3-dihydro-1H-indol-1-yl}methyl]-5'-[[(2-(3-chlorophenyl)ethyl]amino]carbonyl]-4-methoxy- (CA INDEX NAME)



RN 787631-37-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid,
2'-[{5-(aminocarbonyl)-2,3-dihydro-1H-indol-1-yl}methyl]-4-methoxy-5'-[(phenylmethyl)amino]carbonyl- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
 (7 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2004:412918 CAPLUS
 DOCUMENT NUMBER: 140:423584
 TITLE: A preparation of indole derivatives useful in the treatment of androgen-receptor related diseases
 Hermkens, Pedro Harold Han; Stock, Herman Thijs;
 Teerhuis, Neeltje Miranda; Lommerse, Johannes Petrus
 Maria; Van der Louw, Jaap
 PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
 SOURCE: PCT Int. Appl., 75 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

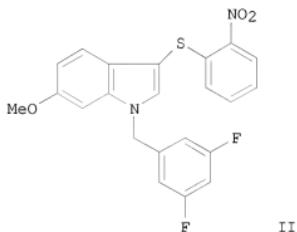
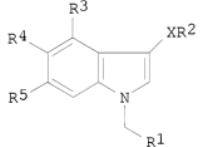
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|------------------|----------|
| WO 2004041782 | A1 | 20040521 | WO 2003-EP50783 | 20031103 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| TW 310032 | B | 20090521 | TW 2003-130277 | 20031030 |
| CA 2504907 | A1 | 20040521 | CA 2003-2504907 | 20031103 |
| AU 2003301853 | A1 | 20040607 | AU 2003-301853 | 20031103 |
| AU 2003301853 | B2 | 20100218 | | |
| BR 2003016020 | A | 20050920 | BR 2003-16020 | 20031103 |
| EP 1585727 | A1 | 20051019 | EP 2003-810458 | 20031103 |
| EP 1585727 | B1 | 20100526 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| CN 1714078 | A | 20051228 | CN 2003-80103950 | 20031103 |
| CN 100391944 | C | 20080604 | | |
| JP 2006507293 | T | 20060302 | JP 2004-549180 | 20031103 |

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| JP 4643989 | B2 | 20110302 | | |
| NZ 539657 | A | 20080430 | NZ 2003-539657 | 20031103 |
| RU 2328484 | C2 | 20080710 | RU 2005-117374 | 20031103 |
| AT 469128 | T | 20100615 | AT 2003-810458 | 20031103 |
| ES 2344836 | T3 | 20100908 | ES 2003-810458 | 20031103 |
| NO 2005002012 | A | 20050526 | NO 2005-2012 | 20050425 |
| NO 329778 | B1 | 20101213 | | |
| HR 2005000396 | A2 | 20050630 | HR 2005-396 | 20050503 |
| ZA 2005003559 | A | 20060830 | ZA 2005-3559 | 20050504 |
| IN 2005CN00826 | A | 20070817 | IN 2005-CN826 | 20050504 |
| IN 225099 | A1 | 20081226 | | |
| MX 2005004929 | A | 20050818 | MX 2005-4929 | 20050506 |
| US 20060128722 | A1 | 20060615 | US 2005-534945 | 20050506 |
| US 7795280 | B2 | 20100914 | | |
| LV 13359 | B | 20060320 | LV 2005-68 | 20050607 |
| HK 1078875 | A1 | 20100903 | HK 2006-101557 | 20060206 |
| US 20110065768 | A1 | 20110317 | US 2010-875295 | 20100903 |
| PRIORITY APPLN. INFO.: | | | EP 2002-79648 | A 20021107 |
| | | | US 2002-424579P | P 20021107 |
| | | | WO 2003-EP50783 | W 20031103 |
| | | | US 2005-534945 | A3 20050506 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:423584

GI



AB The invention relates to a preparation of indole derivs. of formula I [wherein: X = S, S(O), SO₂; R1 is (un)substituted 5- or 6-membered monocyclic, (hetero/homo)cyclic ring; R2 is 2-O₂NC₆H₄, 2-cyanophenyl, 2-hydroxymethylphenyl, pyridin-2-yl, pyridin-2-yl-N-oxide, etc.; R3 is H, halogen or Cl-4alkyl; R4 is H, OH, Cl-alkoxy, or halogen; R5 is H, OH, Cl-alkoxy, NH₂, CN, halogen, Cl-4fluoroalkyl, or NO₂, etc.], useful for the treatment of androgen-receptor related diseases. Anti-androgenic activity of the invented compds. was determined in an in vitro bioassay of Chinese hamster ovary (CHO) cells stably transfected with the human androgen receptor expression plasmid and a reporter plasmid in which the MMTV-promoter was linked to the luciferase reporter gene. For instance, indole derivs. II (EC50 < 5 nM; efficacy > 0.8) was prepared via N-benzylation of 6-methoxyindole by 3,5-difluorobenzyl bromide, and subsequent addition of the obtained 1-(3,5-difluorobenzyl)-6-methoxy-1H-indole to 2-nitrobenzenesulfenyl chloride (example 1).

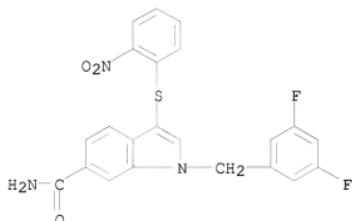
IT 691399-73-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indole derivs. useful in the treatment of androgen-receptor
related diseases)

RN 691399-73-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(3,5-difluorophenyl)methyl]-3-[(2-nitrophenyl)thio]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 18 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2003:770917 CAPLUS

DOCUMENT NUMBER: 1401228430

TITLE: Discovery of Inhibitors that Elucidate the Role of UCH-L1 Activity in the H1299 Lung Cancer Cell Line

AUTHOR(S): Liu, Yichin; Lashuel, Hilal A.; Choi, Sungwoon; Xing, Xuechao; Case, April; Ni, Jake; Yeh, Li-An; Cuny, Gregory D.; Stein, Ross L.; Lansbury, Peter T.

CORPORATE SOURCE: Center for Neurologic Diseases, Brigham and Women's Hospital, Cambridge, MA, 02139, USA

SOURCE: Chemistry & Biology (2003), 10(9), 837-846
CODEN: CBOLE2; ISSN: 1074-5521

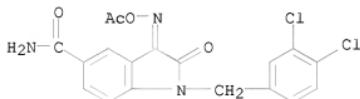
PUBLISHER: Cell Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Neuronal ubiquitin C-terminal hydrolase (UCH-L1) has been linked to Parkinson's disease (PD), the progression of certain nonneuronal tumors, and neuropathic pain. Certain lung tumor-derived cell lines express UCH-L1 but it is not expressed in normal lung tissue, suggesting that this enzyme plays a role in tumor progression, either as a trigger or as a response. Small-mol. inhibitors of UCH-L1 would be helpful in distinguishing between these scenarios. By utilizing high-throughput screening (HTS) to find inhibitors and traditional medicinal chemical to optimize their affinity and specificity, we have identified a class of isatin O-acyl oximes that selectively inhibit UCH-L1 as compared to its systemic isoform, UCH-L3. Three representatives of this class (30, 50, 51) have IC₅₀ values of 0.80-0.94 μM for UCH-L1 and 17-25 μM for UCH-L3. The Ki of 30 toward UCH-L1 is 0.40 μM and inhibition is reversible, competitive, and active site directed. Two isatin oxime inhibitors increased proliferation of the H1299 lung tumor cell line but had no effect on a lung tumor line that does not express UCH-L1. Inhibition of UCH-L1 expression in the H1299 cell line using RNAi had a similar proproliferative effect, suggesting that the UCH-L1 enzymic activity is antiproliferative and that UCH-L1 expression may be a response

to tumor growth. The mol. mechanism of this response remains to be determined
 IT 668468-14-2
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (discovery of inhibitors that elucidate role of UCH-L1 activity in H1299 lung cancer)
 RN 668468-14-2 CAPLUS
 CN 1H-Indole-5-carboxamide, 3-[(acetoxyimino)-1-[(3,4-dichlorophenyl)methyl]-2,3-dihydro-2-oxo- (CA INDEX NAME)



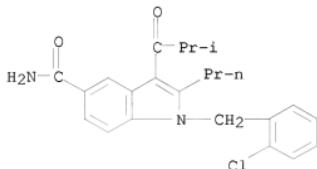
OS.CITING REF COUNT: 76 THERE ARE 76 CAPLUS RECORDS THAT CITE THIS RECORD (78 CITINGS)
 REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 19 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2003:491029 CAPLUS
 DOCUMENT NUMBER: 139:63337
 TITLE: Use of selective phosphodiesterase 5 (PDE5) inhibitors in the treatment of pulmonary diseases having a ventilation-perfusion mismatch
 INVENTOR(S): Ghofrani, Ardeschir; Grimminger, Friedrich Josef; Schudt, Christian
 PATENT ASSIGNEE(S): Altana Pharma AG, Germany
 SOURCE: PCT Int. Appl., 32 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------------------------------------------------------------------------------------------------------------------------------|------|-----------------|-----------------|----------|
| WO 2003051346 | A2 | 20030626 | WO 2002-EP14279 | 20021214 |
| WO 2003051346 | A3 | 20040212 | | |
| W: AB, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, TN, UA, US, VN, YU, ZA, ZW | | | | |
| RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR | | | | |
| CA 2470210 | A1 | 20030626 | CA 2002-2470210 | 20021214 |
| AU 2002361417 | A1 | 20030630 | AU 2002-361417 | 20021214 |
| EP 1461022 | A2 | 20040929 | EP 2002-796635 | 20021214 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| JP 2005513060 | T | 20050512 | JP 2003-552279 | 20021214 |
| US 20050107394 | A1 | 20050519 | US 2005-499215 | 20050104 |
| PRIORITY APPLN. INFO.: | | | | |
| | | EP 2001-129951 | A | 20011217 |
| | | EP 2002-9555 | A | 20020426 |
| | | EP 2002-23936 | A | 20021025 |
| | | WO 2002-EP14279 | W | 20021214 |

AB The invention discloses the use of PDE5 inhibitors for the treatment of patients having a pulmonary disorder in which a pulmonary

ventilation-pulmonary perfusion mismatch is present.
IT 184150-13-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(phosphodiesterase 5 inhibitors for treatment of pulmonary disease with
ventilation-perfusion mismatch)
RN 184150-13-8 CAPLUS
CN 1H-Indole-5-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-
oxopropyl)-2-propyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 20 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2002:777892 CAPLUS
DOCUMENT NUMBER: 1371279090
TITLE: Substituted carbazoles as inhibitors of sPLA2
INVENTOR(S): Harper, Richard Waltz; Lin, Ho-Shen; Richett, Michael
Enrico
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2002079154 | A1 | 20021010 | WO 2002-USG636 | 20020315 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2441077 | A1 | 20021010 | CA 2002-2441077 | 20020315 |
| AU 2002244246 | A1 | 20021015 | AU 2002-244246 | 20020315 |
| EP 1395554 | A1 | 20040310 | EP 2002-709779 | 20020315 |
| EP 1395554 | B1 | 20070214 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004525154 | T | 20040819 | JP 2002-577781 | 20020315 |
| AT 353876 | T | 20070315 | AT 2002-709779 | 20020315 |

US 20040087796
PRIORITY APPLN. INFO.:

A1 20040506

US 2003-467965

20030814

US 2001-279300P

P 20010328

WO 2002-US6636

W 20020315

OTHER SOURCE(S): CASREACT 137:279090; MARPAT 137:279090

AB Carbazoles with hydroxy-functional amide (hydroxamic or esters) are disclosed together with using such compds. for inhibiting sPLA2 mediated release of fatty acids for treatment of conditions such as septic shock. Seven carbazoles, N-alkoxy-N-(5-carbamoyl-9-benzyl-4-carbazolyl)acetamides (alkoxy = MeO, EtO, PhCH₂O), their derivs. and analogs, were prepared by amidation of 9-benzyl-5-carbamoyl-4-carbazolylacetic acid sodium salt with O-alkoxy hydroxylamine hydrochlorides in 50-88% yields. The carbazoles gave IC₅₀ (nM) values of 12.0-29.0 against sPLA2.

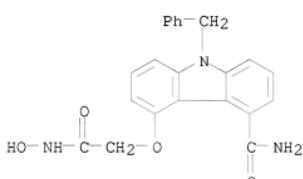
IT 466635-42-7P 466635-47-2P 466635-49-4P

466635-50-7P 466635-51-8P 466635-53-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of carbazolylloxyacetamide sPLA2 inhibitors)

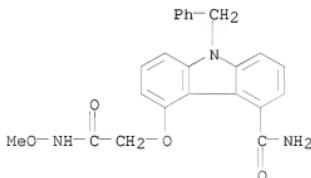
RN 466635-42-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-[2-(hydroxyamino)-2-oxoethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



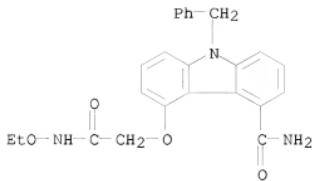
RN 466635-47-2 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-[2-(methoxyamino)-2-oxoethoxy]-9-(phenylmethyl)- (CA INDEX NAME)

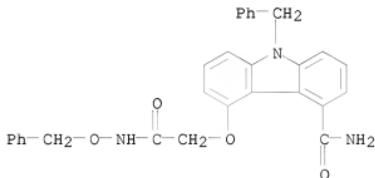


RN 466635-49-4 CAPLUS

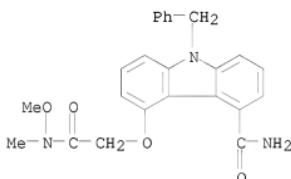
CN 9H-Carbazole-4-carboxamide, 5-[2-(ethoxyamino)-2-oxoethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



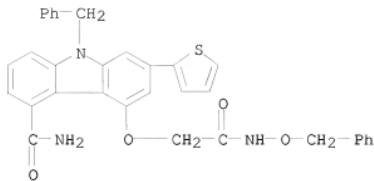
RN 466635-50-7 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-[2-oxo-2-[(phenylmethoxy)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



RN 466635-51-8 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-[2-(methoxymethylamino)-2-oxoethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



RN 466635-53-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-[2-oxo-2-[(phenylmethoxy)amino]ethoxy]-9-(phenylmethyl)-7-(2-thienyl)- (CA INDEX NAME)

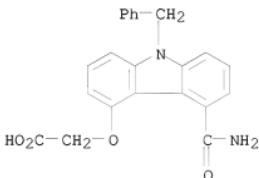


IT 207340-86-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of carbazolylloxyacetamide sPLA2 inhibitors)

RN 207340-86-1 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-
(CA INDEX NAME)



IT 220862-30-6P 246513-34-8P 246513-45-1P

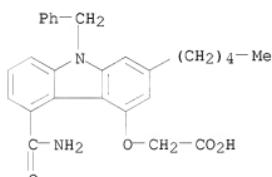
246513-46-2P 247902-84-7P 247902-85-8P

247904-05-8P 247904-15-0P 247904-16-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of carbazolylloxyacetamide sPLA2 inhibitors)

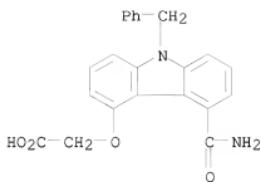
RN 220862-30-6 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-
yl]oxy]- (CA INDEX NAME)



RN 246513-34-8 CAPLUS

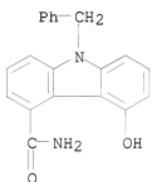
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-
, sodium salt (1:1) (CA INDEX NAME)



● Na

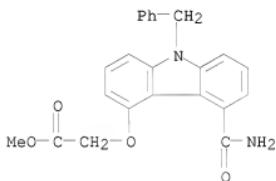
RN 246513-45-1 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)



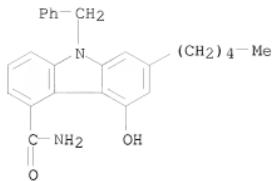
RN 246513-46-2 CAPLUS

CN Acetic acid, 2-[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)

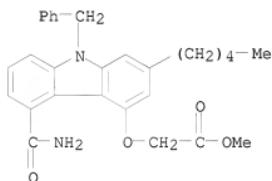


RN 247902-84-7 CAPLUS

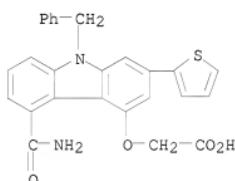
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-pentyl-9-(phenylmethyl)- (CA INDEX NAME)



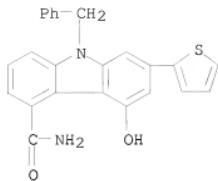
RN 247902-85-8 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yloxy)-, methyl ester (CA INDEX NAME)



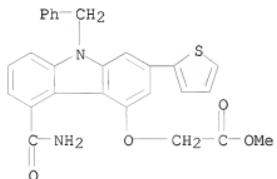
RN 247904-05-8 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-(2-thienyl)-9H-carbazol-4-yloxy)- (CA INDEX NAME)



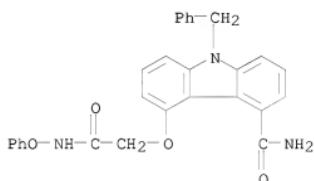
RN 247904-15-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(phenylmethyl)-7-(2-thienyl)- (CA INDEX NAME)



RN 247904-16-1 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-(2-thienyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



IT 466635-52-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of carbazoloyloxyacetamide sPLA2 inhibitors)
RN 466635-52-9 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-[2-oxo-2-(phenoxyamino)ethoxy]-9-
(phenylmethyl)- (CA INDEX NAME)



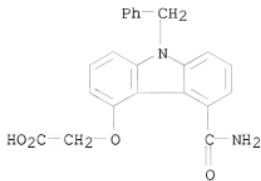
OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L12 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2002:736140 CAPLUS
DOCUMENT NUMBER: 137:242179
TITLE: Remedies for arteriosclerosis
INVENTOR(S): Saiga, Akihiko; Ono, Takashi; Yamada, Katsutoshi;
Hanasaki, Kohji
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

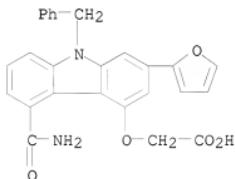
SOURCE: PCT Int. Appl., 83 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------------|-----------------|----------|
| WO 2002074342 | A1 | 20020926 | WO 2002-JP2585 | 20020319 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| TW 314457 | B | 20090911 | TW 2002-105096 | 20020318 |
| CA 2441110 | A1 | 20020926 | CA 2002-2441110 | 20020319 |
| CA 2441110 | C | 20101012 | | |
| AU 2002238962 | A1 | 20021003 | AU 2002-238962 | 20020319 |
| EP 1378246 | A1 | 20040107 | EP 2002-705327 | 20020319 |
| EP 1378246 | B1 | 20090415 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2002008275 | A | 20040413 | BR 2002-8275 | 20020319 |
| CN 1553814 | A | 20041208 | CN 2002-809552 | 20020319 |
| CN 1553814 | B | 20100526 | | |
| EP 2044958 | A2 | 20090408 | EP 2008-21793 | 20020319 |
| EP 2044958 | A3 | 20090708 | | |
| R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR | | | | |
| AT 428425 | T | 20090515 | AT 2002-705327 | 20020319 |
| PT 1378246 | E | 20090522 | PT 2002-705327 | 20020319 |
| KR 908968 | B1 | 20090722 | KR 2003-7012268 | 20020319 |
| ES 2324766 | T3 | 20090814 | ES 2002-705327 | 20020319 |
| JP 4499361 | B2 | 20100707 | JP 2002-573049 | 20020319 |
| MX 2003008440 | A | 20040129 | MX 2003-8440 | 20030918 |
| US 20040248898 | A1 | 20041209 | US 2003-472234 | 20030922 |
| PRIORITY APPLN. INFO.: | | | | |
| | | JP 2001-78569 | A 20010319 | |
| | | JP 2001-401289 | A 20011228 | |
| | | EP 2002-705327 | A3 20020319 | |
| | | WO 2002-JP2585 | W 20020319 | |

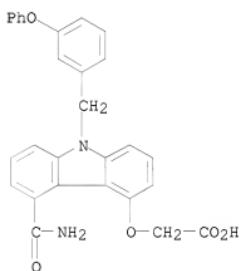
OTHER SOURCE(S): MARPAT 137:242179
 AB Novel remedies and preventives for arteriosclerosis which are characterized by treating or preventing arteriosclerosis with the use of V type and/or X type sPLA2 inhibitors.
 IT 207340-86-1 220862-34-0 220862-37-3
 220862-61-3
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (remedies for arteriosclerosis)
 RN 207340-86-1 CAPLUS
 CN Acetic acid, 2-[{5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl}oxy]-
 (CA INDEX NAME)



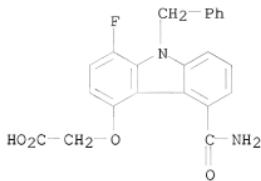
RN 220862-34-0 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 220862-37-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 220862-61-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
 (1 CITINGS)
 REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 22 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2002:487530 CAPLUS
 DOCUMENT NUMBER: 137:47114
 TITLE: Novel sPLA2 inhibitors
 INVENTOR(S): Beight, Douglas Wade; Kinnick, Michael Dean; Lin, Ho-Shen; Morin, John Michael, Jr.; Richett, Michael Enrico; Sall, Daniel Jon; Sawyer, Jason Scott
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 140 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 2002050034 | A2 | 20020627 | WO 2001-US3185 | 20011206 |
| WO 2002050034 | A3 | 20030116 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2431028 | A1 | 20020627 | CA 2001-2431028 | 20011206 |
| AU 2002039263 | A | 20020701 | AU 2002-39263 | 20011206 |
| EP 1345898 | A2 | 20030924 | EP 2001-987004 | 20011206 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 200418659 | T | 20040624 | JP 2002-551531 | 20011206 |
| US 20040063941 | A1 | 20040401 | US 2003-450633 | 20030616 |
| US 6872743 | B2 | 20050329 | | |
| PRIORITY APPLN. INFO.: | | | US 2000-256396P | P 20001218 |
| | | | WO 2001-US3185 | W 20011206 |

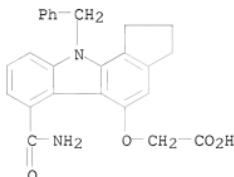
OTHER SOURCE(S): MARPAT 137:47114
 AB A novel class of tetracyclic compds. is disclosed together using such compds. for inhibiting sPLA2 mediated release of fatty acids for treatment of Inflammatory Diseases such as septic shock. Several carbazole derivs. were prepared in several steps by standard methods and tested as sPLA2 inhibitors. E.g., Me (11-benzyl-7-carbamoyl-11H-benzo[a]carbazol-6-

yloxy)acetate, prepared in 59% yield, had an IC₅₀ 0.0094 μM against sPLA₂.

IT 438588-86-4P 438588-88-6P 438589-51-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of carbazole derivs. as sPLA₂ inhibitors)

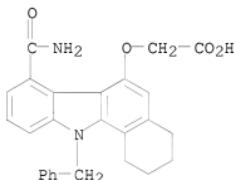
RN 438588-86-4 CAPLUS

CN Acetic acid, 2-[(6-(aminocarbonyl)-1,2,3,10-tetrahydro-10-(phenylmethyl)cyclopenta[a]carbazol-5-yl]oxy]- (CA INDEX NAME)



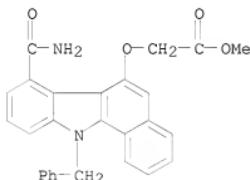
RN 438588-88-6 CAPLUS

CN Acetic acid, 2-[(7-(aminocarbonyl)-2,3,4,11-tetrahydro-11-(phenylmethyl)-1H-benzo[a]carbazol-6-yl]oxy]- (CA INDEX NAME)



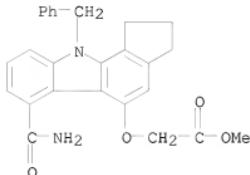
RN 438589-51-6 CAPLUS

CN Acetic acid, 2-[(7-(aminocarbonyl)-11-(phenylmethyl)-11H-benzo[a]carbazol-6-yl]oxy)-, methyl ester (CA INDEX NAME)

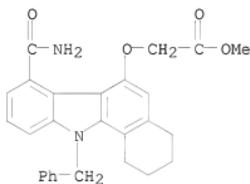


IT 438588-85-3P 438588-87-5P 438589-53-8P
 438589-54-9P 438589-55-0P 438589-61-8P
 438589-66-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

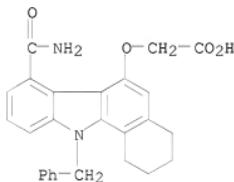
(preparation of carbazole derivs. as sPLA2 inhibitors)
RN 438588-85-3 CAPLUS
CN Acetic acid, 2-[{6-(aminocarbonyl)-1,2,3,10-tetrahydro-10-(phenylmethyl)cyclopenta[a]carbazol-5-yl}oxy]-, methyl ester (CA INDEX NAME)



RN 438588-87-5 CAPLUS
CN Acetic acid, 2-[{7-(aminocarbonyl)-2,3,4,11-tetrahydro-11-(phenylmethyl)-1H-benzo[a]carbazol-6-yl}oxy]-, methyl ester (CA INDEX NAME)

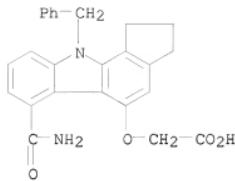


RN 438589-53-8 CAPLUS
CN Acetic acid, 2-[{7-(aminocarbonyl)-2,3,4,11-tetrahydro-11-(phenylmethyl)-1H-benzo[a]carbazol-6-yl}oxy]-, sodium salt (1:1) (CA INDEX NAME)



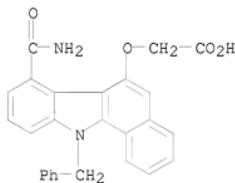
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RN 438589-54-9 CAPLUS
CN Acetic acid, 2-[{6-(aminocarbonyl)-1,2,3,10-tetrahydro-10-(phenylmethyl)cyclopenta[a]carbazol-5-yl}oxy]-, sodium salt (1:1) (CA INDEX NAME)



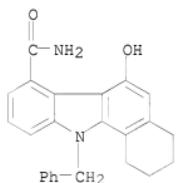
● Na

RN 438589-55-0 CAPLUS
 CN Acetic acid, 2-[(7-(aminocarbonyl)-11-(phenylmethyl)-11H-benzo[a]carbazol-6-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)

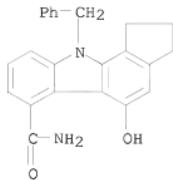


● Na

RN 438589-61-8 CAPLUS
 CN 1H-Benz[a]carbazole-7-carboxamide,
 2,3,4,11-tetrahydro-6-hydroxy-11-(phenylmethyl)- (CA INDEX NAME)



RN 438589-66-3 CAPLUS
 CN Cyclopenta[a]carbazole-6-carboxamide,
 1,2,3,10-tetrahydro-5-hydroxy-10-(phenylmethyl)- (CA INDEX NAME)

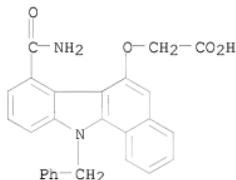


IT 438589-52-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of carbazole derivs. as sPLA2 inhibitors)

RN 438589-52-7 CAPLUS

CN Acetic acid, 2-[(7-(aminocarbonyl)-11-(phenylmethyl)-11H-benzo[a]carbazol-6-yl)oxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 23 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2002:487525 CAPLUS

DOCUMENT NUMBER: 137:47111

TITLE: Novel sPLA2 inhibitors

INVENTOR(S): Beight, Douglas Wade; Jandzinski, John David; Kinnick, Michael Dean; Lin, Ho-Shen; Morin, John Michael, Jr.; Richett, Michael Enrico; Sall, Daniel Jon; Sawyer, Jason Scott

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 161 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

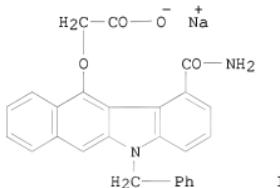
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2002050029 | A2 | 20020627 | WO 2001-US43186 | 20011206 |
| WO 2002050029 | A3 | 20020906 | | |

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PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
 US, UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
 GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
 GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2431721 A1 20020627 CA 2001-2431721 20011206
 AU 2002039264 A 20020701 AU 2002-39264 20011206
 EP 1349836 A2 20031008 EP 2001-987005 20011206
 EP 1349836 B1 20060614
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 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2004523504 T 20040805 JP 2002-551526 20011206
 AT 329905 T 20060715 AT 2001-987005 20011206
 ES 2264708 T3 20070116 ES 2001-987005 20011206
 US 20040092543 A1 20040513 US 2003-450745 20030616
 US 6992100 B2 20060131
 PRIORITY APPLN. INFO.: US 2000-256395P P 20001218
 OTHER SOURCE(S): MARPAT 137:47111 WO 2001-US43186 W 20011206
 GI



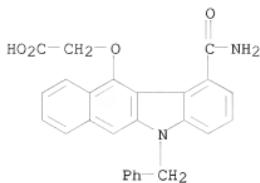
AB A novel class of tetracyclic compds. is disclosed together using such
 compds. for inhibiting sPLA₂ mediated release of fatty acids for treatment
 of Inflammatory Diseases such as septic shock. Benzocarbazole I, prepared
 in several steps by standard methods, exhibited an inhibition value IC₅₀ 38.6
 μM against sPLA₂.

IT 438588-82-0P 438588-83-1P 438588-85-3P
 438588-86-4P 438588-87-5P 438588-88-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
 (Biological study); PREP (Preparation)
 (preparation of benzocarbazoles for inhibition of sPLA₂)

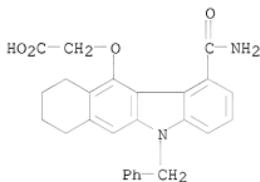
RN 438588-82-0 CAPLUS

CN Acetic acid, 2-[1-(aminocarbonyl)-5-(phenylmethyl)-5H-benzo[b]carbazol-11-
 yloxy]-, sodium salt (1:1) (CA INDEX NAME)



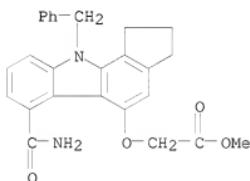
● Na

RN 438588-83-1 CAPLUS
 CN Acetic acid, 2-[(1-(aminocarbonyl)-7,8,9,10-tetrahydro-5-(phenylmethyl)-5H-benzo[b]carbazol-11-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)

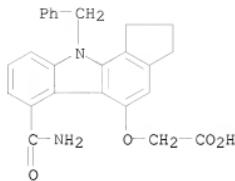


● Na

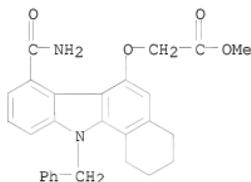
RN 438588-85-3 CAPLUS
 CN Acetic acid, 2-[(6-(aminocarbonyl)-1,2,3,10-tetrahydro-10-(phenylmethyl)cyclopenta[a]carbazol-5-yl)oxy]-, methyl ester (CA INDEX NAME)



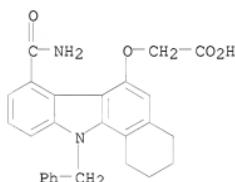
RN 438588-86-4 CAPLUS
 CN Acetic acid, 2-[(6-(aminocarbonyl)-1,2,3,10-tetrahydro-10-(phenylmethyl)cyclopenta[a]carbazol-5-yl)oxy]- (CA INDEX NAME)



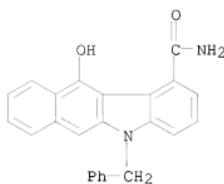
RN 438588-87-5 CAPLUS
 CN Acetic acid, 2-[(7-(aminocarbonyl)-2,3,4,11-tetrahydro-11-(phenylmethyl)-1H-benzo[a]carbazol-6-yl)oxy]-, methyl ester (CA INDEX NAME)



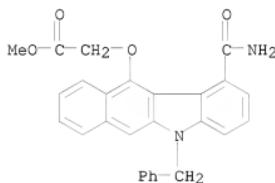
RN 438588-88-6 CAPLUS
 CN Acetic acid, 2-[(7-(aminocarbonyl)-2,3,4,11-tetrahydro-11-(phenylmethyl)-1H-benzo[a]carbazol-6-yl)oxy]- (CA INDEX NAME)



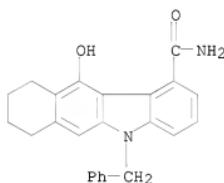
IT 438588-93-3P 438588-94-4P 438589-01-6P
 438589-02-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of benzocarbazoles for inhibition of sPLA2)
 RN 438588-93-3 CAPLUS
 CN 5H-Benz[b]carbazole-1-carboxamide, 11-hydroxy-5-(phenylmethyl)- (CA INDEX NAME)



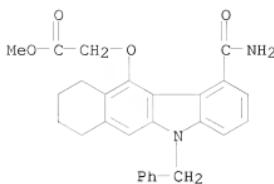
RN 438588-94-4 CAPLUS
 CN Acetic acid, 2-[(1-(aminocarbonyl)-5-(phenylmethyl)-5H-benzo[b]carbazol-11-yl)oxy]-, methyl ester (CA INDEX NAME)



RN 438589-01-6 CAPLUS
 CN 5H-Benz[b]carbazole-1-carboxamide,
 7,8,9,10-tetrahydro-11-hydroxy-5-(phenylmethyl)- (CA INDEX NAME)



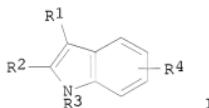
RN 438589-02-7 CAPLUS
 CN Acetic acid, 2-[(1-(aminocarbonyl)-7,8,9,10-tetrahydro-5-(phenylmethyl)-5H-benzo[b]carbazol-11-yl)oxy]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 24 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2002:213824 CAPLUS
 DOCUMENT NUMBER: 136:247492
 TITLE: Preparation of indolecarboxylates as neoplasm inhibitors.
 INVENTOR(S): Pamukcu, Rıfat; Piazza, Gary A.
 PATENT ASSIGNEE(S): Cell Pathways, Inc., USA
 SOURCE: U.S., 45 pp., Cont. of U.S. Ser. No. 200,139,
 abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|--------|------------|-----------------|-------------|
| US 6358992 | B1 | 20020319 | US 1999-443395 | 19991119 |
| PRORITY APPLN. INFO.: | | | US 1998-200139 | B1 19981125 |
| OTHER SOURCE(S): | MARPAT | 136:247492 | | |
| GI | | | | |



AB Claimed is a method of treating a mammal having precancerous lesions comprising administration of title compds. [I; R1 = H, halo, NO₂, (protected) carboxy, acyl, cyano, hydroxyiminoalkyl, alkenyl optionally substituted with oxo, alkyl optionally substituted with protected carboxy, carboxy, OH ; R2 = H, halo, alkenyl, acyl, alkyl optionally substituted with protected carboxy, carboxy, alkoxy, OH; R1R2 = atoms to form a 4-7 membered (oxo)carbocyclic ring; R3 = (substituted) alkenyl, alkyl; R4 = (protected) carboxy, acyl, cyano, halo, heterocycl, amino optionally substituted with acyl or protected carboxy, alkyl optionally substituted with (protected) carboxy, acyl] (no data). Thus, Me 3-acetyl-2-propylindole-6-carboxylate in DMF was treated with NaH then with 2-chlorobenzyl bromide followed by stirring for 1 h to give Me 3-acetyl-1-(2-chlorobenzyl)-2-propylindole-6-carboxylate.

IT 184147-86-2P 184148-12-7P 184148-20-7P

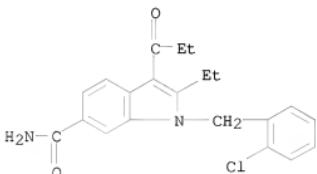
184148-72-9P 184148-77-4P 184149-11-9P

184150-27-4P 184150-38-7P 184150-41-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indolecarboxylates as neoplasm inhibitors)

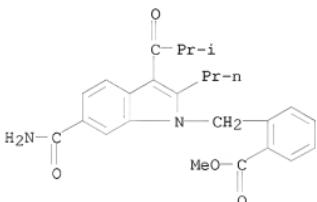
RN 184147-86-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(1-oxopropyl)- (CA INDEX NAME)



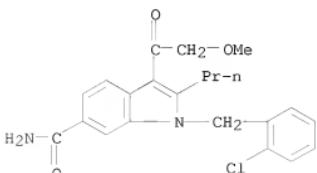
RN 184148-12-7 CAPLUS

CN Benzoic acid, 2-[(6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl)methyl]-, methyl ester (CA INDEX NAME)



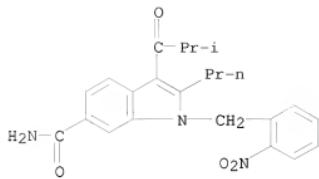
RN 184148-20-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)

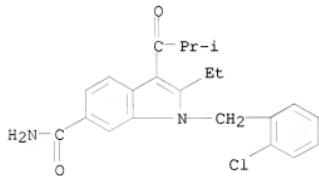


RN 184148-72-9 CAPLUS

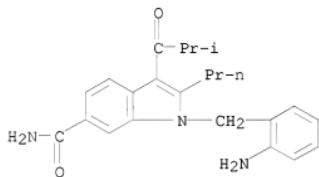
CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-[(2-nitrophenyl)methyl]-2-propyl- (CA INDEX NAME)



RN 184148-77-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)

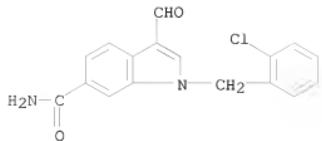


RN 184149-11-9 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-aminophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl-, hydrochloride (1:?) (CA INDEX NAME)

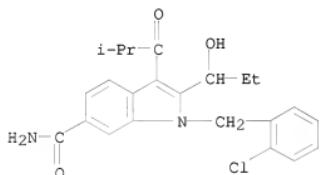


● x HCl

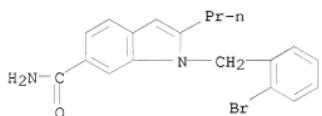
RN 184150-27-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-formyl- (CA INDEX NAME)



RN 184150-38-7 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(1-hydroxypropyl)-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



RN 184150-41-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-bromophenyl)methyl]-2-propyl- (CA INDEX NAME)



| | | |
|------------------------------------------------------------------|---------------|--------------|
| IT 184147-58-8P | 184147-65-7P | 184147-72-6P |
| 184147-80-6P | 184147-92-0P | 184147-98-6P |
| 184148-11-6P | 184148-13-8P | 184148-14-9P |
| 184148-15-0P | 184148-16-1P | 184148-17-2P |
| 184148-19-4P | 184148-21-8P | 184148-66-1P |
| 184148-67-2P | 184148-68-3P | 184148-69-4P |
| 184148-70-7P | 184148-71-8P | 184148-73-0P |
| 184148-74-1P | 184148-75-2P | 184148-76-3P |
| 184148-78-5P | 184148-79-6P | 184148-80-9P |
| 184148-82-1P | 184148-83-2P | 184148-84-3P |
| 184148-85-4P | 184148-86-5P | 184148-87-6P |
| 184148-89-8P | 184148-90-1P | 184149-00-6P |
| 184149-12-0P | 184149-15-3P | 184149-16-4P |
| 184149-17-5P | 184149-18-6P | 184149-22-2P |
| 184149-23-3P | 184149-24-4P | 184149-35-7P |
| 184149-56-2P | 184149-57-3P | 184149-58-4P |
| 184149-59-5P | 184149-60-8P | 184149-61-9P |
| 184149-62-0P | 184149-63-1P | 184149-64-2P |
| 184149-65-3P | 184149-66-4P | 184149-67-5P |
| 184150-10-5P | 184150-11-6P, | |
| 4-(2-Chlorobenzyl)-1-oxo-1,2,3,4-tetrahydrocyclopent[b]indole-6- | | |

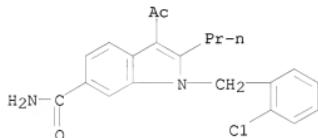
| | | |
|--------------------------------------------------------------------|--------------|---------------|
| carboxamide | 184150-12-7P | 184150-13-8P |
| 184150-14-9P | 184150-15-0P | 184150-16-1P |
| 184150-17-2P | 184150-18-3P | 184150-19-4P |
| 184150-22-9P | 184150-23-0P | 184150-24-1P |
| 184150-25-2P | 184150-28-5P | 184150-31-0P |
| 184150-32-1P | 184150-34-3P | 184150-35-4P |
| 184150-37-6P | 184150-39-8P | 184150-40-1P |
| 184150-42-3P | 184150-43-4P | 184150-44-5P |
| 184150-45-6P | 184150-46-7P | 184150-47-8P |
| 184150-48-9P | 184150-49-0P | 184150-50-3P |
| 184150-53-6P | 184150-54-7P | 184150-55-8P |
| 184150-56-9P | 184150-57-0P | 184150-58-1P |
| 184150-59-2P | 184150-66-1P | 184151-83-5P, |
| 9-(2-Chlorobenzyl)-5-oxo-5,6,7,8-tetrahydrocarbazole-2-carboxamide | | |
| 184151-84-6P | | |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolecarboxylates as neoplasm inhibitors)

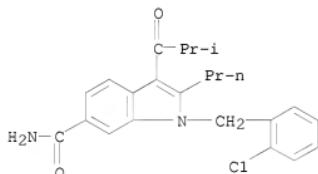
RN 184147-58-8 CAPLUS

CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



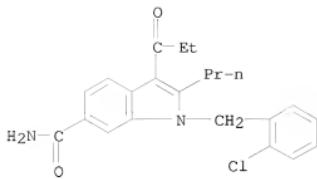
RN 184147-65-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

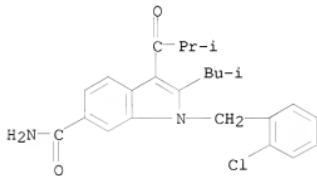


RN 184147-72-6 CAPLUS

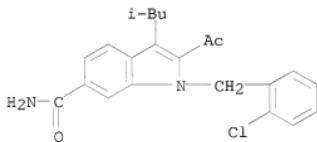
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(1-oxopropyl)-2-propyl- (CA INDEX NAME)



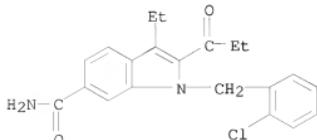
RN 184147-80-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-(2-methylpropyl)- (CA INDEX NAME)



RN 184147-92-0 CAPLUS
 CN 1H-Indole-6-carboxamide, 2-acetyl-1-[(2-chlorophenyl)methyl]-3-(2-methylpropyl)- (CA INDEX NAME)

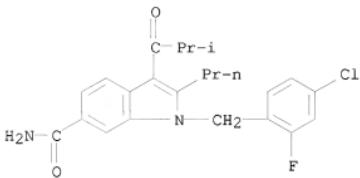


RN 184147-98-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-ethyl-2-(1-oxopropyl)- (CA INDEX NAME)



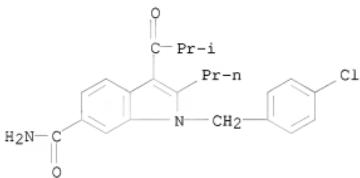
RN 184148-11-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(4-chloro-2-fluorophenyl)methyl]-3-(2-methyl-1-

oxopropyl)-2-propyl- (CA INDEX NAME)



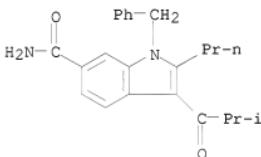
RN 184148-13-8 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(4-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



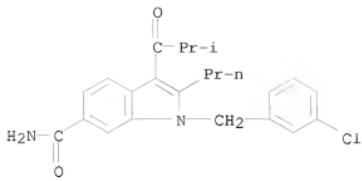
RN 184148-14-9 CAPLUS

CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(phenylmethyl)-2-propyl- (CA INDEX NAME)

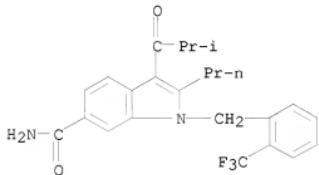


RN 184148-15-0 CAPLUS

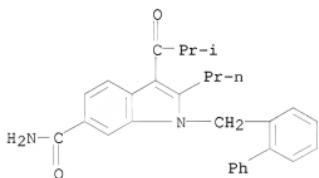
CN 1H-Indole-6-carboxamide, 1-[(3-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



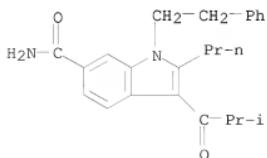
RN 184148-16-1 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-2-propyl-1-[2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



RN 184148-17-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-((1,1'-biphenyl)-2-ylmethyl)-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

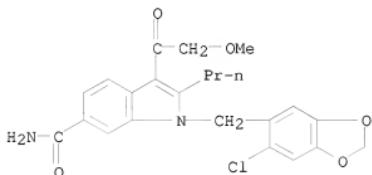


RN 184148-19-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(2-phenylethyl)-2-propyl- (CA INDEX NAME)



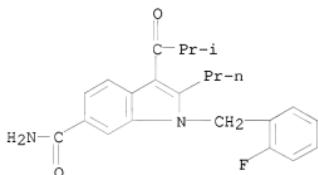
RN 184148-21-8 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



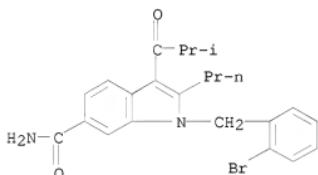
RN 184148-66-1 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



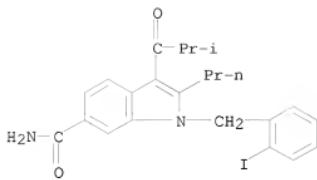
RN 184148-67-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-bromophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

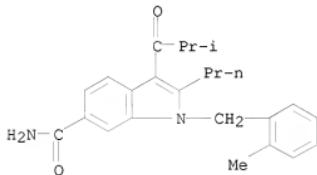


RN 184148-68-3 CAPLUS

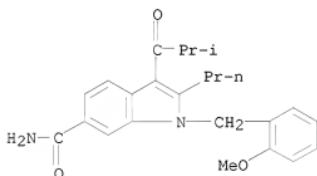
CN 1H-Indole-6-carboxamide, 1-[(2-iodophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



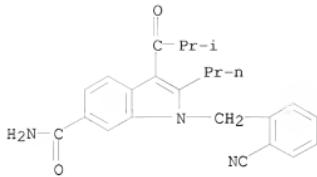
RN 184148-69-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-[(2-methylphenyl)methyl]-2-propyl- (CA INDEX NAME)



RN 184148-70-7 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-methoxyphenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

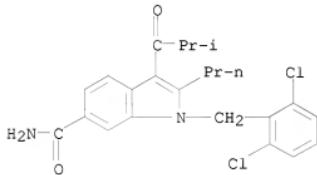


RN 184148-71-8 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-cyanophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



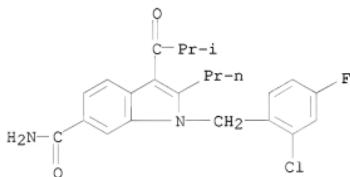
RN 184148-73-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2,6-dichlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



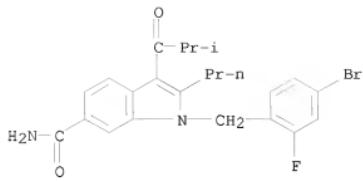
RN 184148-74-1 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

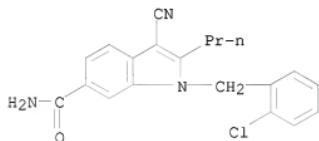


RN 184148-75-2 CAPLUS

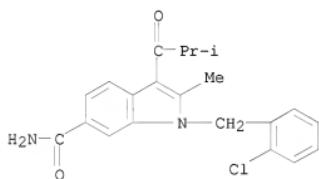
CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



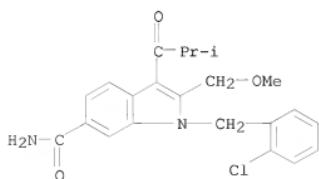
RN 184148-76-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-cyano-2-propyl- (CA INDEX NAME)



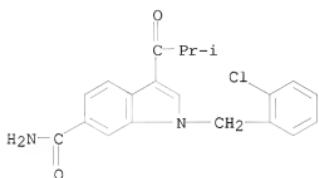
RN 184148-78-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-methyl-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



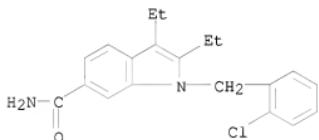
RN 184148-79-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(methoxymethyl)-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



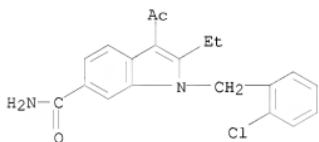
RN 184148-80-9 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



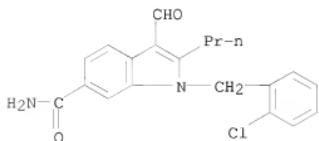
RN 184148-82-1 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2,3-diethyl- (CA INDEX NAME)



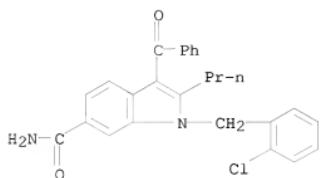
RN 184148-83-2 CAPLUS
CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-ethyl- (CA INDEX NAME)



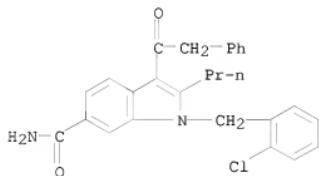
RN 184148-84-3 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-formyl-2-propyl- (CA INDEX NAME)



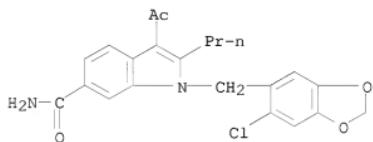
RN 184148-85-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-benzoyl-1-[(2-chlorophenyl)methyl]-2-propyl-
(CA INDEX NAME)



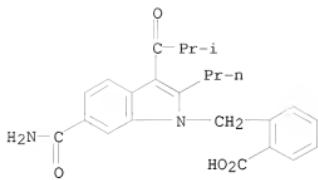
RN 184148-86-5 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-phenylacetyl)-2-
propyl- (CA INDEX NAME)



RN 184148-87-6 CAPLUS
CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(6-chloro-1,3-benzodioxol-5-
yl)methyl]-2-propyl- (CA INDEX NAME)

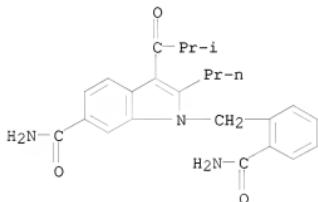


RN 184148-89-8 CAPLUS
CN Benzoic acid, 2-[(6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-
indol-1-yl)methyl]- (CA INDEX NAME)



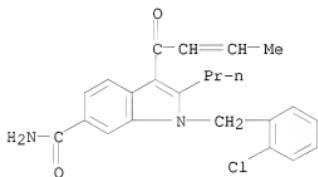
RN 184148-90-1 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-(aminocarbonyl)phenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



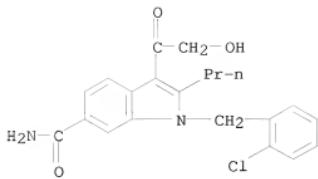
RN 184149-00-6 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(1-oxo-2-butenyl)-2-propyl- (CA INDEX NAME)

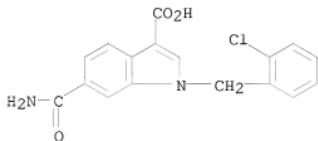


RN 184149-12-0 CAPLUS

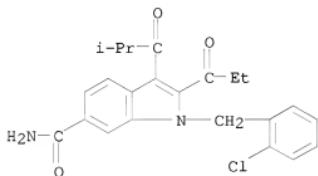
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-hydroxyacetyl)-2-propyl- (CA INDEX NAME)



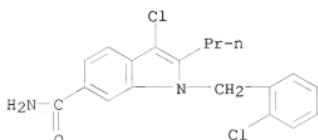
RN 184149-15-3 CAPLUS
 CN 1H-Indole-3-carboxylic acid, 6-(aminocarbonyl)-1-[(2-chlorophenyl)methyl]-
 (CA INDEX NAME)



RN 184149-16-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-(1-oxopropyl)-
 (CA INDEX NAME)

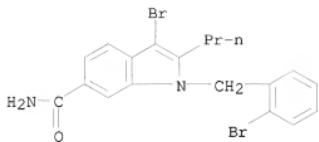


RN 184149-17-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-1-[(2-chlorophenyl)methyl]-2-propyl-
 (CA INDEX NAME)

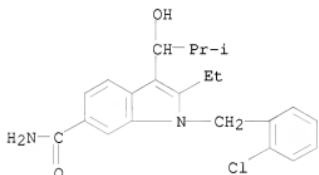


RN 184149-18-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-bromo-1-[(2-bromophenyl)methyl]-2-propyl-
 (CA INDEX NAME)

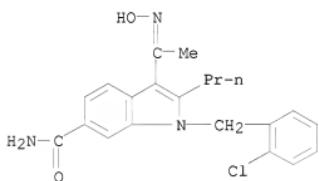
INDEX NAME)



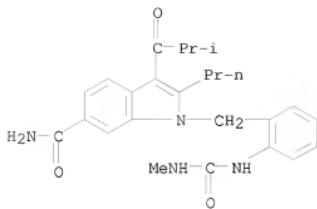
RN 184149-22-2 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(1-hydroxy-2-methylpropyl)- (CA INDEX NAME)



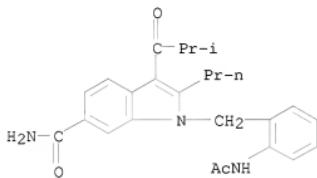
RN 184149-23-3 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-[1-(hydroxyimino)ethyl]-2-propyl- (CA INDEX NAME)



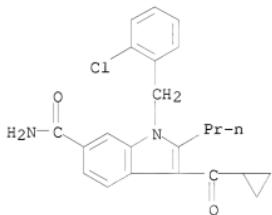
RN 184149-24-4 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-[(methylamino)carbonyl]amino)phenyl]methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



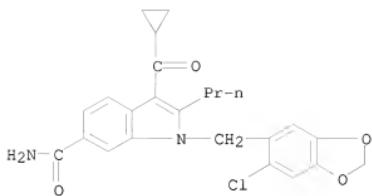
RN 184149-35-7 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-(acetylaminophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



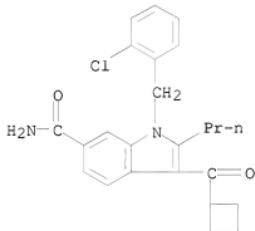
RN 184149-56-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclopropylcarbonyl)-2-propyl- (CA INDEX NAME)



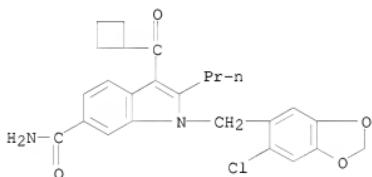
RN 184149-57-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclopropylcarbonyl)-2-propyl- (CA INDEX NAME)



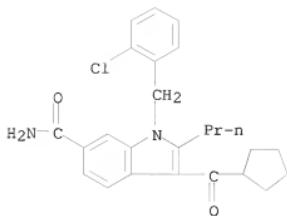
RN 184149-58-4 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclobutylcarbonyl)-2-propyl- (CA INDEX NAME)



RN 184149-59-5 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclobutylcarbonyl)-2-propyl- (CA INDEX NAME)

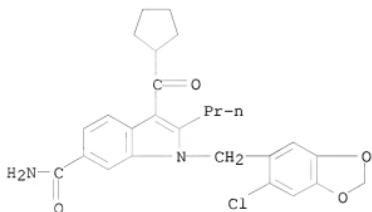


RN 184149-60-8 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclopentylcarbonyl)-2-propyl- (CA INDEX NAME)



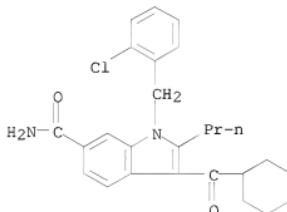
RN 184149-61-9 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclopentylcarbonyl)-2-propyl- (CA INDEX NAME)



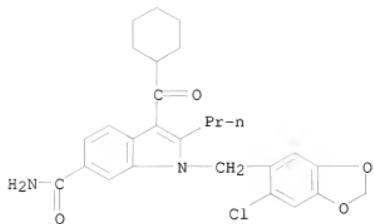
RN 184149-62-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclohexylcarbonyl)-2-propyl- (CA INDEX NAME)

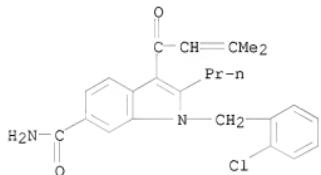


RN 184149-63-1 CAPLUS

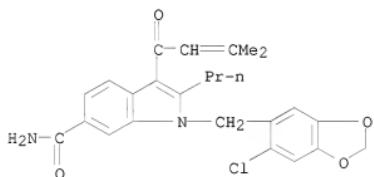
CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclohexylcarbonyl)-2-propyl- (CA INDEX NAME)



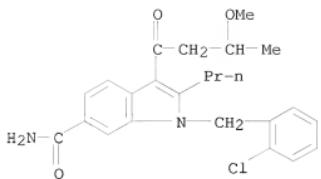
RN 184149-64-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-methyl-1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)



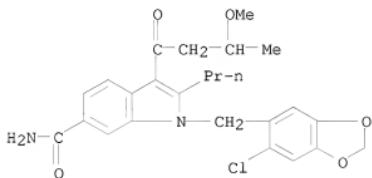
RN 184149-65-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(3-methyl-1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)



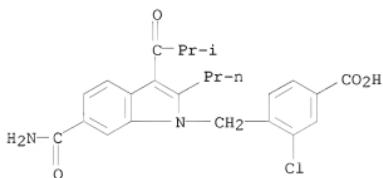
RN 184149-66-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-methoxy-1-oxobutyl)-2-propyl- (CA INDEX NAME)



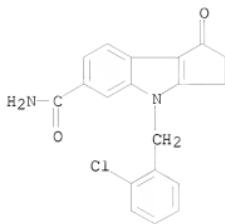
RN 184149-67-5 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(3-methoxy-1-oxobutyl)-2-propyl- (CA INDEX NAME)



RN 184150-10-5 CAPLUS
CN Benzoic acid, 4-[(6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl)methyl]-3-chloro- (CA INDEX NAME)

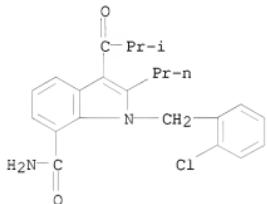


RN 184150-11-6 CAPLUS
CN Cyclopent[b]indole-6-carboxamide, 4-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-1-oxo- (CA INDEX NAME)



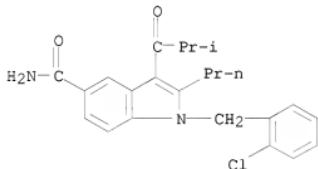
RN 184150-12-7 CAPLUS

CN 1H-Indole-7-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



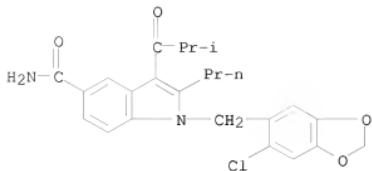
RN 184150-13-8 CAPLUS

CN 1H-Indole-5-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

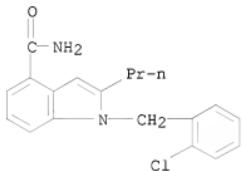


RN 184150-14-9 CAPLUS

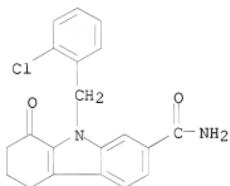
CN 1H-Indole-5-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



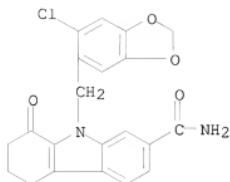
RN 184150-15-0 CAPLUS
 CN 1H-Indole-4-carboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



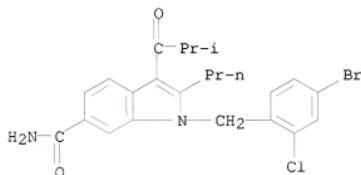
RN 184150-16-1 CAPLUS
 CN 1H-Carbazole-7-carboxamide, 9-[(2-chlorophenyl)methyl]-2,3,4,9-tetrahydro-1-oxo- (CA INDEX NAME)



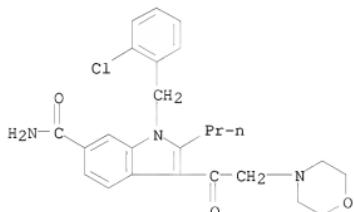
RN 184150-17-2 CAPLUS
 CN 1H-Carbazole-7-carboxamide, 9-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-2,3,4,9-tetrahydro-1-oxo- (CA INDEX NAME)



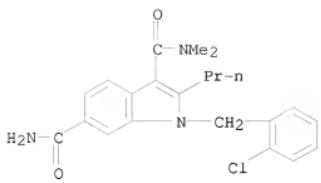
RN 184150-18-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



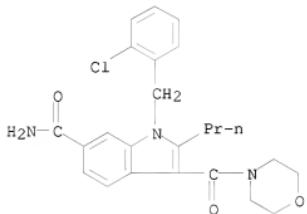
RN 184150-19-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-[2-(4-morpholinyl)acetyl]-2-propyl- (CA INDEX NAME)



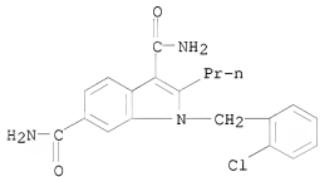
RN 184150-22-9 CAPLUS
 CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-N3,N3-dimethyl-2-propyl- (CA INDEX NAME)



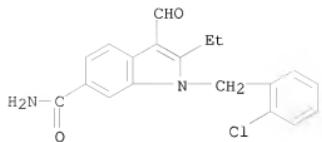
RN 184150-23-0 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(4-morpholinylcarbonyl)-2-propyl- (CA INDEX NAME)



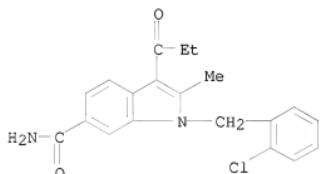
RN 184150-24-1 CAPLUS
 CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



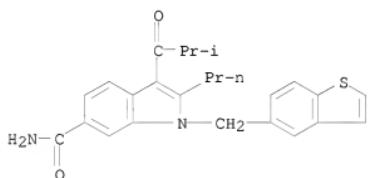
RN 184150-25-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-formyl- (CA INDEX NAME)



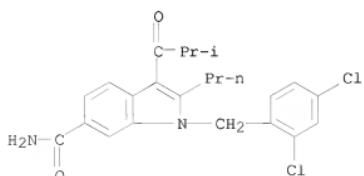
RN 184150-28-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-methyl-3-(1-oxopropyl)- (CA INDEX NAME)



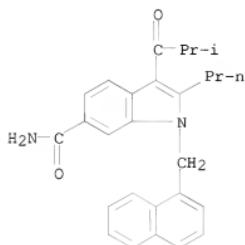
RN 184150-31-0 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-(benzo[b]thien-5-ylmethyl)-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



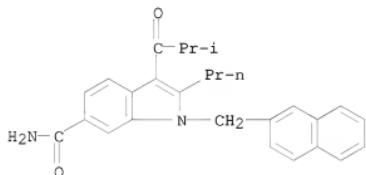
RN 184150-32-1 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2,4-dichlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



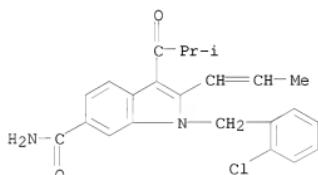
RN 184150-34-3 CAPLUS
CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(1-naphthalenylmethyl)-
2-propyl- (CA INDEX NAME)



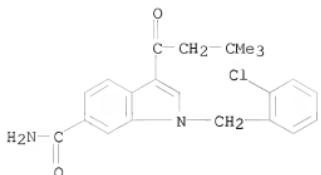
RN 184150-35-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(2-naphthalenylmethyl)-
2-propyl- (CA INDEX NAME)



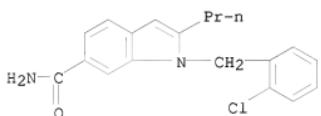
RN 184150-37-6 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-
oxopropyl)-2-(1-propen-1-yl)- (CA INDEX NAME)



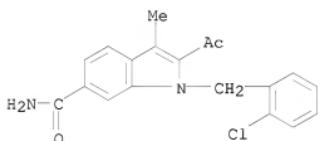
RN 184150-39-8 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3,3-dimethyl-1-
oxobutyl)- (CA INDEX NAME)



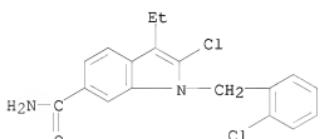
RN 184150-40-1 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



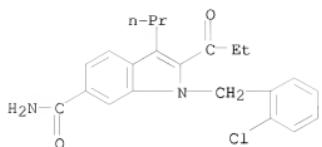
RN 184150-42-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 2-acetyl-1-[(2-chlorophenyl)methyl]-3-methyl- (CA INDEX NAME)



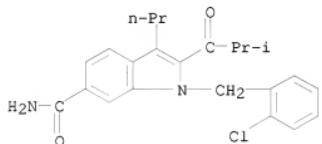
RN 184150-43-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 2-chloro-1-[(2-chlorophenyl)methyl]-3-ethyl- (CA INDEX NAME)



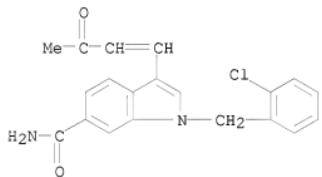
RN 184150-44-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(1-oxopropyl)-3-propyl- (CA INDEX NAME)



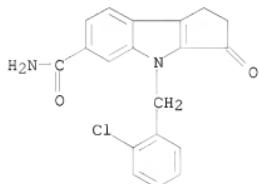
RN 184150-45-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(2-methyl-1-oxopropyl)-3-propyl (CA INDEX NAME)



RN 184150-46-7 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-oxo-1-buten-1-yl)- (CA INDEX NAME)

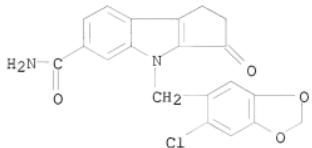


RN 184150-47-8 CAPLUS
 CN Cyclopent[b]indole-6-carboxamide, 4-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-3-oxo- (CA INDEX NAME)



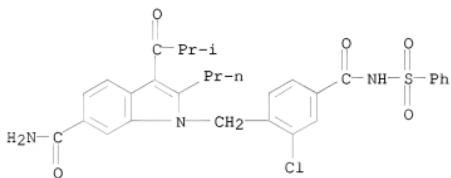
RN 184150-48-9 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-1,2,3,4-tetrahydro-3-oxo- (CA INDEX NAME)



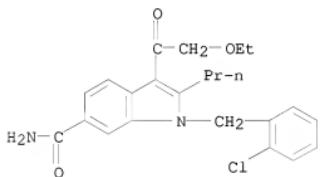
RN 184150-49-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-[(phenylsulfonyl)amino]carbonyl)phenyl]methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



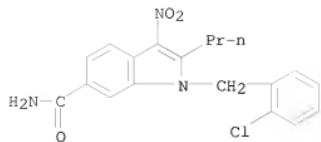
RN 184150-50-3 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-ethoxyacetyl)-2-propyl- (CA INDEX NAME)

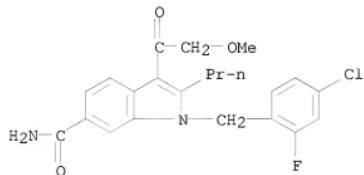


RN 184150-53-6 CAPLUS

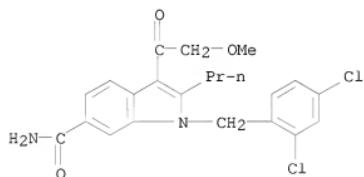
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-nitro-2-propyl- (CA INDEX NAME)



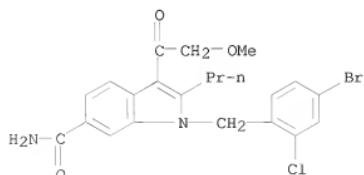
RN 184150-54-7 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(4-chloro-2-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



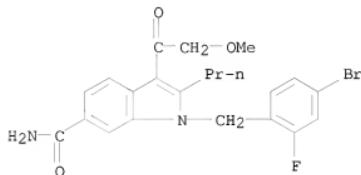
RN 184150-55-8 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2,4-dichlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



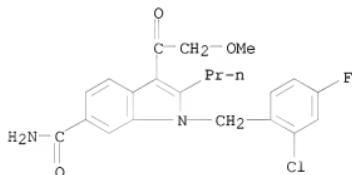
RN 184150-56-9 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-chlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



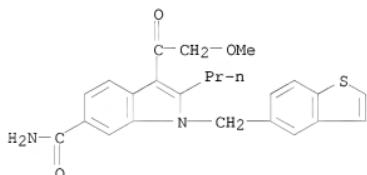
RN 184150-57-0 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



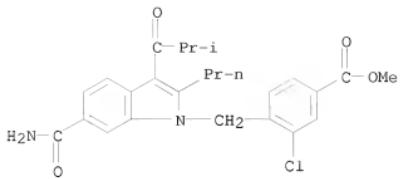
RN 184150-58-1 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



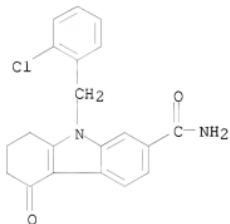
RN 184150-59-2 CAPLUS
CN 1H-Indole-6-carboxamide, 1-(benzo[b]thien-5-ylmethyl)-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



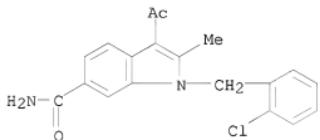
RN 184150-66-1 CAPLUS
CN Benzoic acid, 4-[(6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl)methyl]-3-chloro-, methyl ester (CA INDEX NAME)



RN 184151-83-5 CAPLUS
 CN 1H-Carbazole-7-carboxamide, 9-[(2-chlorophenyl)methyl]-2,3,4,9-tetrahydro-
 4-oxo- (CA INDEX NAME)



RN 184151-84-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-methyl-
 (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD
 (9 CITINGS)
 REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2002:122770 CAPLUS
 DOCUMENT NUMBER: 136:178015
 TITLE: Drugs for incontinence - salified and nonsalified
 nitric oxide-donors and phosphodiesterase inhibitors
 INVENTOR(S): Del Soldato, Piero; Benedini, Francesca
 PATENT ASSIGNEE(S): Nicox S.A., Fr.
 SOURCE: PCT Int. Appl., 59 pp.
 CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 2002011707 | A2 | 20020214 | WO 2001-EP8734 | 20010727 |
| WO 2002011707 | A3 | 20021205 | | |
| W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| IT 2000MI1848 | A1 | 20020208 | IT 2000-MI1848 | 20000808 |
| IT 1318674 | B1 | 20030827 | | |
| AU 2001091691 | A | 20020218 | AU 2001-91691 | 20010727 |
| EP 1307184 | A2 | 20030507 | EP 2001-971798 | 20010727 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004511436 | T | 20040415 | JP 2002-517044 | 20010727 |
| US 20030203899 | A1 | 20031030 | US 2003-343330 | 20030206 |
| PRIORITY APPLN. INFO.: | | | IT 2000-MI1848 | A 20000808 |
| | | | WO 2001-EP8734 | W 20010727 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:178015

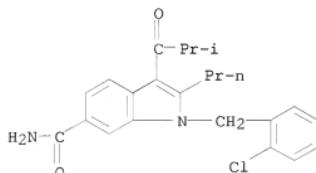
AB Use in the incontinence of one or more of the following classes of drugs selected from the following: (B) salified and nonsalified nitric oxide-donor drugs, of formula: A - X1 - N(O)z, (B') nitrate salts of drugs used for the incontinence, and which do not contain in the mol. a nitric oxide donor group; (C) organic or inorg. salts of compds. inhibiting phosphodiesterases.

IT 184147-65-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(salified and nonsalified nitric oxide-donors and phosphodiesterase inhibitors for treatment of incontinence)

RN 184147-65-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2002:122769 CAPLUS
 DOCUMENT NUMBER: 136:189342
 TITLE: Drugs for treatment of sexual dysfunction
 INVENTOR(S): Del Soldato, Piero
 PATENT ASSIGNEE(S): Nicox S.A., Fr.
 SOURCE: PCT Int. Appl., 40 pp.
 CODEN: PIXDZ2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 2002011706 | A2 | 20020214 | WO 2001-EP8733 | 20010727 |
| WO 2002011706 | A3 | 20030918 | | |
| W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ,
EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT,
LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA,
US, UZ, VN, YU, ZA | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG,
KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR,
IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
GO, GW, ML, MR, NE, SN, TD, TG | | | | |
| IT 2000MI1847 | A1 | 20020208 | IT 2000-MI1847 | 20000808 |
| IT 1318673 | B1 | 20030827 | | |
| AU 2001091690 | A | 20020218 | AU 2001-91690 | 20010727 |
| EP 1363628 | A2 | 20031126 | EP 2001-971797 | 20010727 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, FI, RO, CY, TR | | | | |
| JP 2004506619 | T | 20040304 | JP 2002-517043 | 20010727 |
| US 20030171393 | A1 | 20030911 | US 2003-333927 | 20030204 |
| PRIORITY APPLN. INFO.: | | | IT 2000-MI1847 | A 20000808 |
| | | | WO 2001-EP8733 | W 20010727 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:189342

AB Pharmaceuticals containing nitric oxide-donor drugs or inorg. salts of compds. inhibiting phosphodiesterases are useful for the treatment of sexual dysfunction. Thus, a formulation contained 2-(acetoxy)benzoic acid 6-(nitroxy-methyl)-2-methylpyridyl ester-HCl (NCX 4050) 4.2, white petrolatum 24, Polysorbate-60 4.8, glycerin 9.5, and water 48 g. NCX 4050 showed vasorelaxing activity on the aortas.

IT 398460-36-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drugs for treatment of sexual dysfunction)

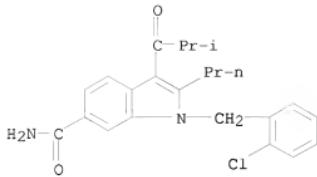
RN 398460-36-1 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl-, nitrate (1:1) (CA INDEX NAME)

CM 1

CRN 184147-65-7

CMF C23 H25 Cl N2 O2



CM 2

CRN 7697-37-2
CMF H N O3



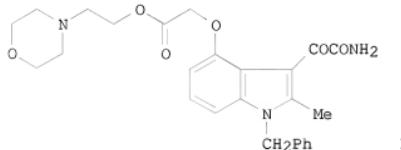
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 27 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2002:71855 CAPLUS
DOCUMENT NUMBER: 136:134669
TITLE: Indoleoxoacetamides and tetrahydrocarbazoles as sPLA2
inhibitors in treating sepsis
INVENTOR(S): Loh, Andrew; Macias, William Louis; Skerjanec, Simona
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2002005796 | A2 | 20020124 | WO 2001-US16509 | 20010629 |
| WO 2002005796 | A3 | 20020906 | | |
| W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CL, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2413582 | A1 | 20020124 | CA 2001-2413582 | 20010629 |
| EP 1303262 | A2 | 20030423 | EP 2001-952123 | 20010629 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001012460 | A | 20030722 | BR 2001-12460 | 20010629 |

| | | | |
|------------------------|-------------|-----------------|------------|
| JP 2004503586 | T 20040205 | JP 2002-511729 | 20010629 |
| US 20040110825 | A1 20040610 | US 2003-332178 | 20030103 |
| PRIORITY APPLN. INFO.: | | US 2000-218928P | P 20000714 |
| | | US 2000-256398P | P 20001218 |
| | | WO 2001-US16509 | W 20010629 |

OTHER SOURCE(S): MARPAT 136:134669
GI

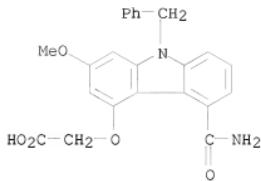


AB Indoleoxoacetamides and tetrahydrocarbazoles were prepared for use as sPLA₂ inhibitors in treating sepsis. Thus, 3-methoxy-2-methylaniline was N-tert.-butoxycarbonylated, lithiated at the Me group with sec-butylolithium and then treated with N-methoxy-N-methylacetamide, and cyclized with CF₃CO₂H to give 4-methoxy-2-methylindole. The latter compound was N-benzylated, demethylated, treated with BrCH₂CO₂Me, followed by ester hydrolysis and esterification with 4-(2-chloroethyl)morpholine hydrochloride to give the indole I. The results of clin. trials are reported.

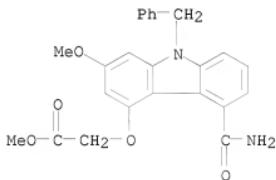
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| IT 207340-74-7P | 207340-75-8P | 207340-86-1P |
| 220862-21-5P | 220862-22-6P | 220862-23-7P |
| 220862-24-8P | 220862-26-0P | 220862-27-1P |
| 220862-30-6P | 220862-31-7P | 220862-32-8P |
| 220862-33-9P | 220862-34-0P | 220862-35-1P |
| 220862-36-2P | 220862-37-3P | 220862-38-4P |
| 220862-39-5P | 220862-40-8P | 220862-41-9P |
| 220862-42-0P | 220862-43-1P | 220862-44-2P |
| 220862-45-3P | 220862-46-4P | 220862-47-5P |
| 220862-48-6P | 220862-49-7P | 220862-50-0P |
| 220862-51-1P | 220862-53-3P | 220862-54-4P |
| 220862-55-5P | 220862-59-9P | 220862-61-3P |
| 220862-63-5P | 220862-66-8P | 220862-68-0P |
| 220862-72-6P | 220862-74-8P | 220862-76-0P |
| 220862-84-0P | 246513-34-8P | 246513-46-2P |
| 321858-11-1P | 391936-29-1P | 391936-30-4P |

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indoleoxoacetamides and tetrahydrocarbazoles as sPLA₂ inhibitors in treating sepsis)

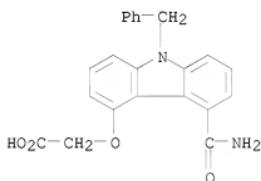
RN 207340-74-7 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



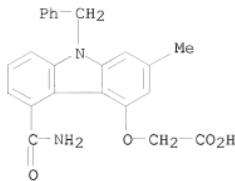
RN 207340-75-8 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



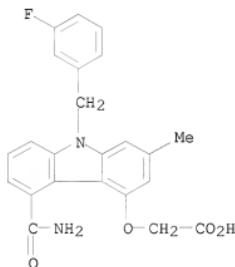
RN 207340-86-1 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



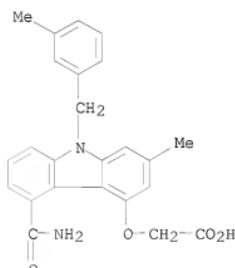
RN 220862-21-5 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



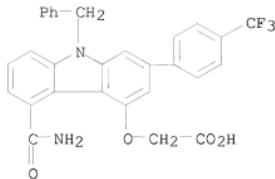
RN 220862-22-6 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-2-methyl-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-23-7 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

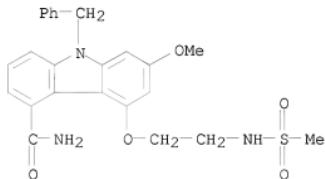


RN 220862-24-8 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(phenylmethyl)-2-[4-(trifluoromethyl)phenyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



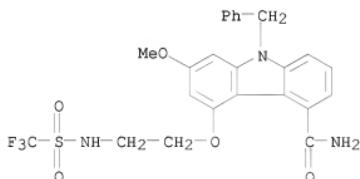
RN 220862-26-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[2-[(methylsulfonyl)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



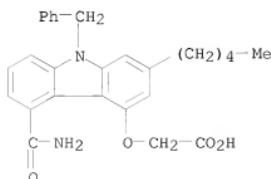
RN 220862-27-1 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-[2-[(trifluoromethyl)sulfonyl]amino]ethoxy- (CA INDEX NAME)

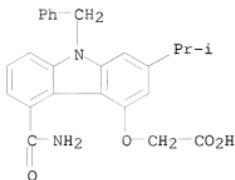


RN 220862-30-6 CAPLUS

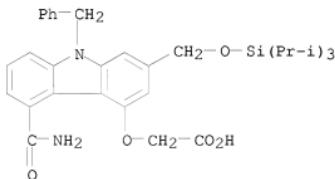
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



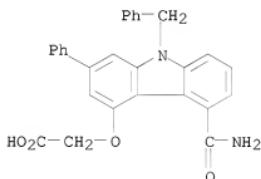
RN 220862-31-7 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



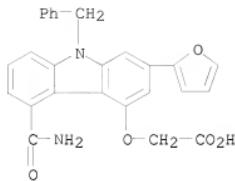
RN 220862-32-8 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[(tris(1-methylethyl)silyl]oxy)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



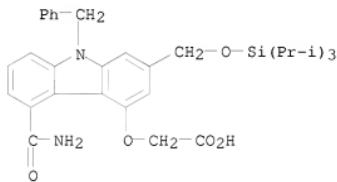
RN 220862-33-9 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-34-0 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

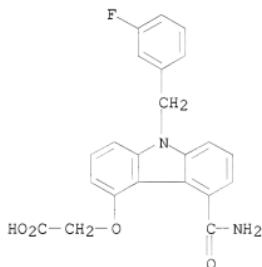


RN 220862-35-1 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[(tris(1-methylethyl)silyloxy)methyl]-9H-carbazol-4-yl]oxy]-, lithium salt (1:1)
 (CA INDEX NAME)

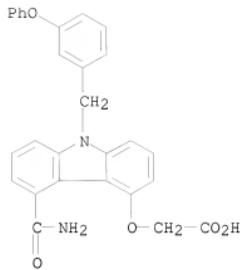


● Li

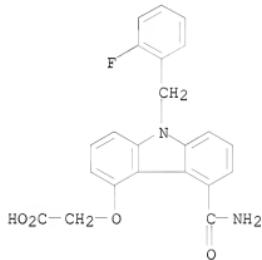
RN 220862-36-2 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



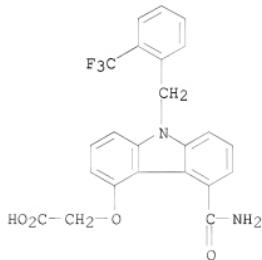
RN 220862-37-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-38-4 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)

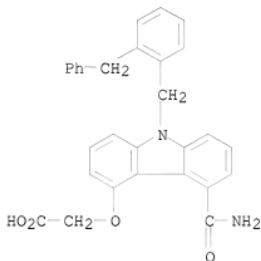


RN 220862-39-5 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



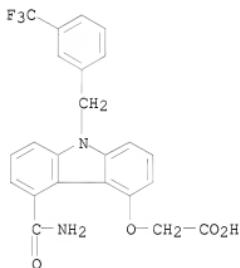
RN 220862-40-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-(phenylmethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



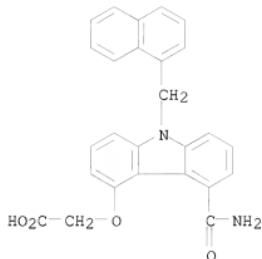
RN 220862-41-9 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



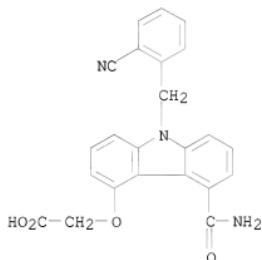
RN 220862-42-0 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



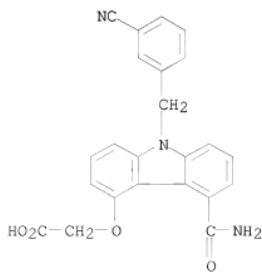
RN 220862-43-1 CAPLUS

CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)

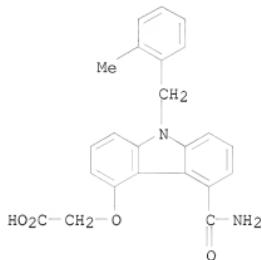


RN 220862-44-2 CAPLUS

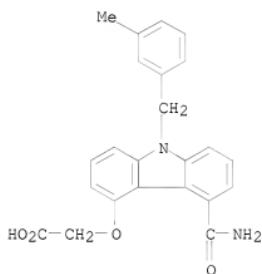
CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(3-cyanophenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)



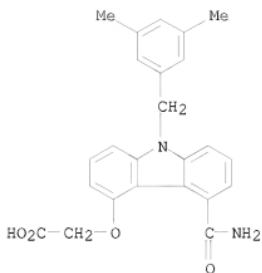
RN 220862-45-3 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



RN 220862-46-4 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)

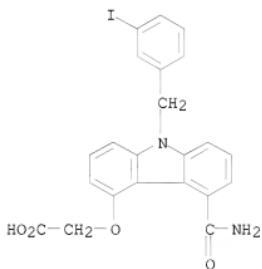


RN 220862-47-5 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



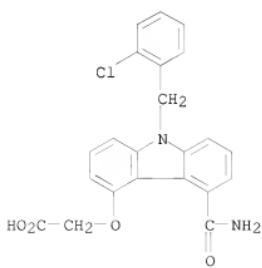
RN 220862-48-6 CAPLUS

CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)

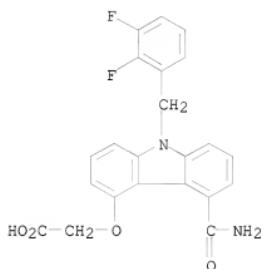


RN 220862-49-7 CAPLUS

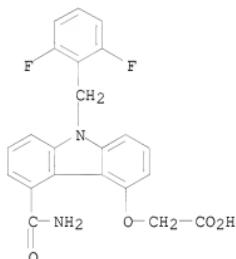
CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)



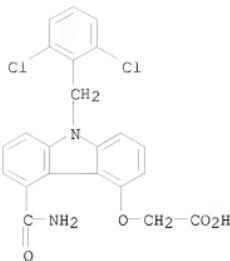
RN 220862-50-0 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 220862-51-1 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)

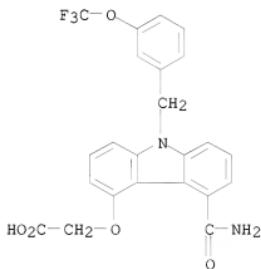


RN 220862-53-3 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,6-dichlorophenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



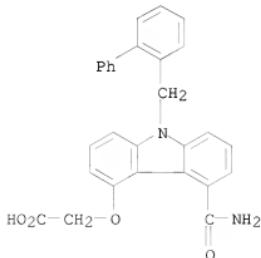
RN 220862-54-4 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-(trifluoromethoxy)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

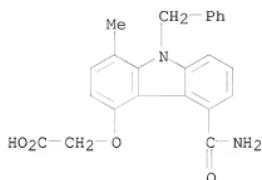


RN 220862-55-5 CAPLUS

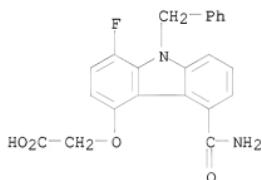
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-((1,1'-biphenyl)-2-ylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



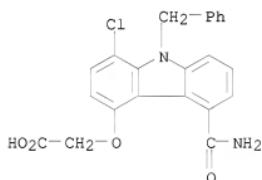
RN 220862-59-9 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



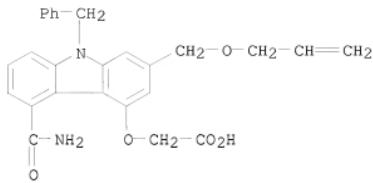
RN 220862-61-3 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



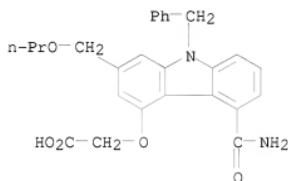
RN 220862-63-5 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



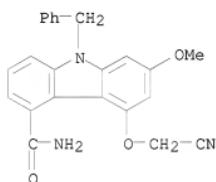
RN 220862-66-8 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-(phenylmethyl)-2-[(2-propen-1-yloxy)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



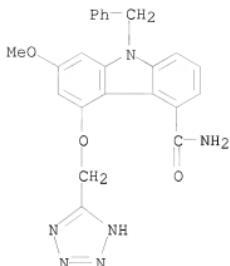
RN 220862-68-0 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-(propoxymethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 220862-72-6 CAPLUS
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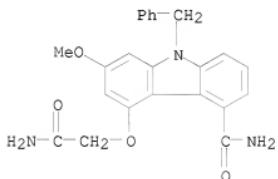


RN 220862-74-8 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-(2H-tetrazol-5-ylmethoxy)- (CA INDEX NAME)



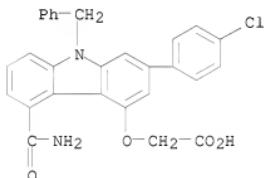
RN 220862-76-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(2-amino-2-oxoethoxy)-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



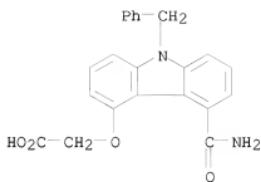
RN 220862-84-0 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(4-chlorophenyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



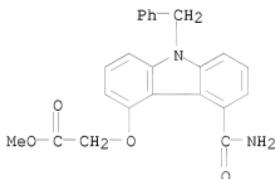
RN 246513-34-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)

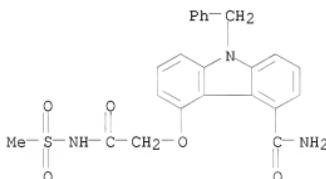


● Na

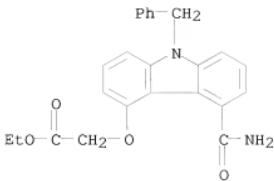
RN 246513-46-2 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



RN 321858-11-1 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-[2-((methylsulfonyl)amino)-2-oxoethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



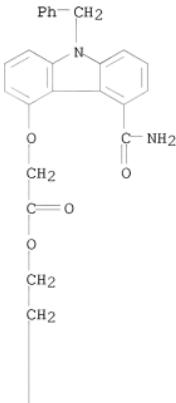
RN 391936-29-1 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, ethyl ester (CA INDEX NAME)



RN 391936-30-4 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9H-carbazol-4-yl)oxy]-, 2-(4-morpholinyl)ethyl ester (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



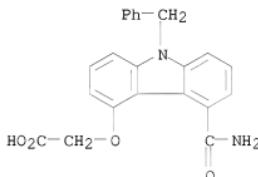
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

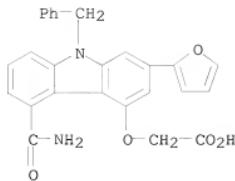
DOCUMENT NUMBER: 136:64151
 TITLE: Secretory PLA2 inhibitors as remedies for Alzheimer's disease
 INVENTOR(S): Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 45 pp.
 CODEN: PIXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 2002000257 | A1 | 20020103 | WO 2001-JP5482 | 20010627 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001067826 | A | 20020108 | AU 2001-67826 | 20010627 |
| US 20040102442 | A1 | 20040527 | US 2002-312615 | 20021227 |
| PRIORITY APPLN. INFO.: | | | JP 2000-195445 | A 20000629 |
| | | | WO 2001-JP5482 | W 20010627 |

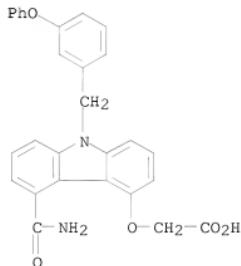
OTHER SOURCE(S): MARPAT 136:64151
 AB It is found out that type X sPLA2 inhibitors are useful in preventing or treating Alzheimer's disease.
 IT 207340-86-1 220862-34-0 220862-37-3
 220862-61-3
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (secretory PLA2 inhibitors as remedies for Alzheimer's disease)
 RN 207340-86-1 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-
 (CA INDEX NAME)



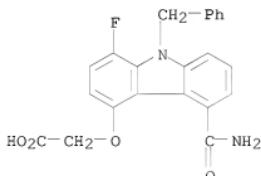
RN 220862-34-0 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 220862-37-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 220862-61-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 29 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2002:10307 CAPLUS
 DOCUMENT NUMBER: 136:64164
 TITLE: Remedies for cirrhosis
 INVENTOR(S): Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 45 pp.

DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2002000256 | A1 | 20020103 | WO 2001-JP5481 | 20010627 |
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| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 2001067825 | A | 20020108 | AU 2001-67825 | 20010627 |
| US 20040106669 | A1 | 20040603 | US 2002-312366 | 20021226 |
| US 6967200 | B2 | 20051122 | | |

PRIORITY APPLN. INFO.: JP 2000-195436 A 20000629
 WO 2001-JP5481 W 20010627

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:64164

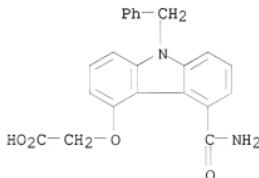
AB It is found out that type X sPLA2 inhibitors are useful in preventing or treating cirrhosis.

IT 207340-86-1 220862-34-0 220862-37-3
220862-61-3

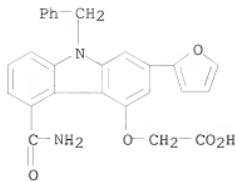
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(secretory PLA2 inhibitors as remedies for cirrhosis)

RN 207340-86-1 CAPLUS

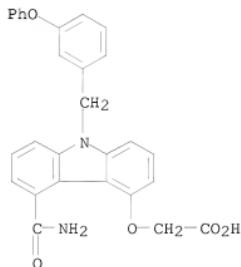
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-
(CA INDEX NAME)



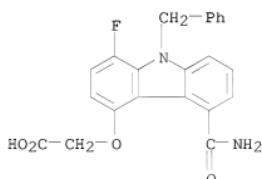
RN 220862-34-0 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-
(CA INDEX NAME)



RN 220862-37-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-61-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 30 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2002:10306 CAPLUS
 DOCUMENT NUMBER: 136:64112
 TITLE: Remedies for cancer
 INVENTOR(S): Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 56 pp.

DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2002000255 | A1 | 20020103 | WO 2001-JP5480 | 20010627 |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001067824 | A | 20020108 | AU 2001-67824 | 20010627 |
| EP 1300159 | A1 | 20030409 | EP 2001-945613 | 20010627 |
| EP 1300159 | B1 | 20071010 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| TW 583000 | B | 20040411 | TW 2001-115543 | 20010627 |
| AT 375171 | T | 20071015 | AT 2001-945613 | 20010627 |
| ES 2294003 | T3 | 20080401 | ES 2001-945613 | 20010627 |
| US 20040077651 | A1 | 20040422 | US 2002-312451 | 20021227 |
| PRIORITY APPLN. INFO.: | | | JP 2000-195434 | A 20000629 |
| | | | WO 2001-JP5480 | W 20010627 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:64112

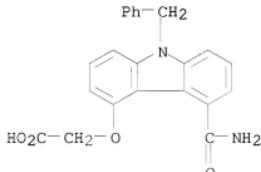
AB It is found out that type X secretory PLA2 inhibitors are useful in preventing or treating cancer.

IT 207340-86-1 220862-34-0 220862-37-3
220862-61-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(type X secretory PLA2 inhibitors as remedies for cancer)

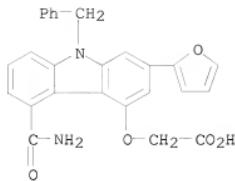
RN 207340-86-1 CAPLUS

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(CA INDEX NAME)

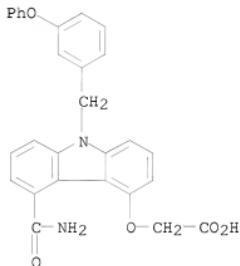


RN 220862-34-0 CAPLUS

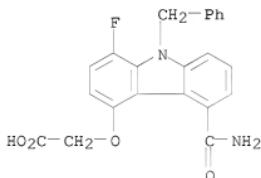
CN Acetic acid, 2-[{5-(aminocarbonyl)-2-(furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl}oxy]-
(CA INDEX NAME)



RN 220862-37-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 220862-61-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



| | | |
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| OS.CITING REF COUNT: | 1 | THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS) |
| REFERENCE COUNT: | 4 | THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |

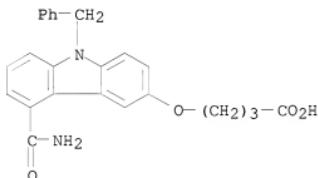
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|----------------------------|---------------------------------------------------------------------------------------------------|
| L12 ANSWER 31 OF 55 CAPLUS | COPYRIGHT 2011 ACS on STN |
| ACCESSION NUMBER: | 2001:676601 CAPLUS |
| DOCUMENT NUMBER: | 135:236446 |
| TITLE: | Compositions containing potential secretory phospholipase A2 (sPLA2) inhibitors for the treatment |

INVENTOR(S): Macias, William Louis
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 196 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

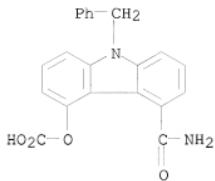
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 2001066111 | A1 | 20010913 | WO 2001-US9 | 20010116 |
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CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| PRIORITY APPLN. INFO.: | | | US 2000-188135P | P 20000309 |

OTHER SOURCE(S): MARPAT 135:236446

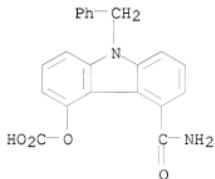
AB A method is disclosed for the treatment of pain by administering to an animal in need thereof a therapeutically effective amount of a sPLA2 inhibitor, e.g. a 1H-indole-3-glyoxylanide or sPLA2 inhibitor in combination with propoxyphene. Preparation of [(3-(2-Amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxylacetic acid is described.
 IT 207340-73-6 359841-74-0 359841-74-0D,
 derivs.
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (secretory phospholipase A2 inhibitors for treatment of pain)
 RN 207340-73-6 CAPLUS
 CN Butanoic acid, 4-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-3-yl]oxy- (CA INDEX NAME)



RN 359841-74-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-(carboxyxyloxy)-9-(phenylmethyl)- (CA INDEX NAME)



RN 359841-74-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-(carboxyoxy)-9-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 32 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2001:676600 CAPLUS
 DOCUMENT NUMBER: 135:236432
 TITLE: Methods and formulations containing secretory phospholipase A2 (sPLA2) inhibitors for the treatment of renal dysfunction
 INVENTOR(S): Macias, William Louis; Meador, Vincent Phillip
 PATENT ASSIGNEE(S): Eli Lilly and Co., USA
 SOURCE: PCT Int. Appl., 161 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2001066110 | A2 | 20010913 | WO 2001-US7 | 20010116 |
| WO 2001066110 | A3 | 20020425 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, SZ, BE, CY, FR, GR, IE, IT, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,

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| DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| EP 1265607 | A2 20021218 | EP 2001-956186 | 20010116 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| JP 2003525901 | T 20030902 | JP 2001-564763 | 20010116 |
| US 20030087944 | A1 20030508 | US 2002-203436 | 20020805 |
| PRIORITY APPLN. INFO.: | | US 2000-188039P | P 20000309 |
| | | WO 2001-US7 | W 20010116 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 135:236432

AB A method is disclosed for the treatment of symptoms associated with renal dysfunction by administering to an animal in need thereof a therapeutically effective amount of a sPLA2 inhibitor, e.g. a 1H-indole-3-glyoxylamide. Preparation of [(3-(2-Amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetic acid is described.

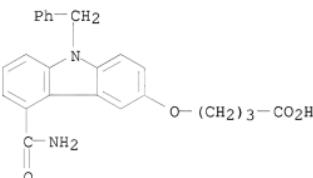
IT 207340-73-6 359841-74-0 359841-74-0D,
derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(secretory phospholipase A2 inhibitors for treatment of renal dysfunction)

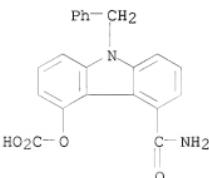
RN 207340-73-6 CAPLUS

CN Butanoic acid, 4-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-3-yl)oxy]- (CA INDEX NAME)



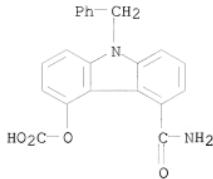
RN 359841-74-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(carboxyxyloxy)-9-(phenylmethyl)- (CA INDEX NAME)



RN 359841-74-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(carboxyxyloxy)-9-(phenylmethyl)- (CA INDEX NAME)

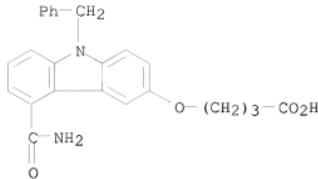


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
 (3 CITINGS)
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 33 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2001:565004 CAPLUS
 DOCUMENT NUMBER: 135:152715
 TITLE: Secretory phospholipase A2 inhibitors for the
 treatment of inflammation
 INVENTOR(S): Fleisch, Jerome Herbert; Macias, William Louis
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 200 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 2001055108 | A2 | 20010802 | WO 2001-US11 | 20010116 |
| WO 2001055108 | A3 | 20011220 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, NO, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BU, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001036440 | A | 20010807 | AU 2001-36440 | 20010116 |
| PRIORITY APPLN. INFO.: | | | US 2000-177907P | P 20000125 |
| | | | WO 2001-US11 | W 20010116 |

OTHER SOURCE(S): MARPAT 135:152715
 AB Title inhibitors for the treatment of inflammation (no data) comprise
 indoleglyoxamides, carbazoles, etc.
 IT 207340-73-6
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
 (Uses)
 (secretory phospholipase A2 inhibitors for the treatment of
 inflammation)
 RN 207340-73-6 CAPLUS
 CN Butanic acid, 4-[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-3-
 yloxy]- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

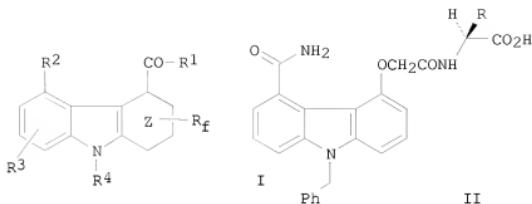
L12 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESION NUMBER: 2001:507675 CAPLUS
 DOCUMENT NUMBER: 135:77102
 TITLE: Preparation of carbazole amino acid derivatives as secretory phospholipase A2 (sPLA2) inhibitors
 INVENTOR(S): Lin, Ho-Shen; Richett, Michael Enrico
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 147 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|-------------|
| WO 2001049662 | A2 | 20010712 | WO 2001-US10850 | 20010105 |
| WO 2001049662 | A3 | 20020627 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1248769 | A2 | 20021016 | EP 2001-918984 | 20010105 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 20030096854 | A1 | 20030522 | US 2002-168152 | 20020612 |
| US 20040204473 | A1 | 20041014 | US 2004-830380 | 20040422 |
| PRIORITY APPLN. INFO.: | | | US 2000-175028P | P 20000107 |
| | | | WO 2001-US10850 | W 20010105 |
| | | | US 2002-168152 | A3 20020612 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 135:77102

GI



AB Carbazole amino acid derivs. I [Z indicates a cyclohexenyl or Ph ring; R is a non-interfering substituent and f = 1-3; R1 is NHHN2, NH2, or CONH2; R2 is -O(CH2)tR5, where R5 is a carbamoyl group or -(Lh)-(acyl amino acid) (Lh is a linker of length 1-7) and t = 1-5; R3 is a non-interfering substituent or a carbocyclic or heterocyclic radical which may be substituted with non-interfering substituents; R4 is (a) (C5-C20)-alkyl, -alkenyl, or -alkynyl or a carbocyclic or heterocyclic radical, which may be substituted or (b) -(L)-R80, where (L)- is a divalent linking group of 1 to 12 atoms selected from carbon, hydrogen, oxygen, nitrogen, and sulfur (with provisos) and R80 is a group selected from (a)] or a pharmaceutically acceptable racemate, solvate, tautomer, optical isomer, prodrug or salt were prepared for inhibiting sPLA2 mediated release of fatty acids for treatment of inflammatory diseases such as septic shock. Thus, carbazole amino acids II (R is an amino acid side chain) were prepared via coupling of amino acid Me esters and saponification and showed IC50 = 16.1-324

nM

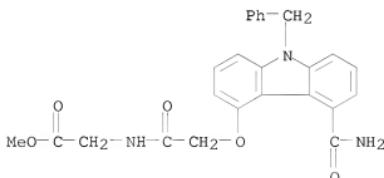
for inhibition of sPLA2.

| | | | |
|----|--------------|--------------|--------------|
| IT | 346712-90-1P | 346712-91-2P | 346712-92-3P |
| | 346712-93-4P | 346712-94-5P | 346712-96-7P |
| | 346712-98-9P | | |

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of carbazole amino acid derivs. as secretory phospholipase A2 (sPLA2) inhibitors)

RN 346712-90-1 CAPLUS

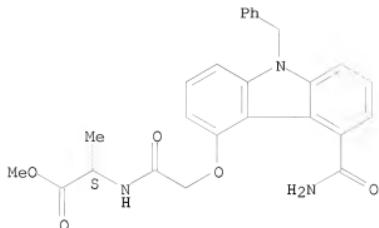
CN Glycine, N-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxyacetyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 346712-91-2 CAPLUS

CN L-Alanine, N-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxyacetyl]-, methyl ester (9CI) (CA INDEX NAME)

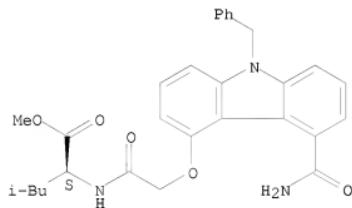
Absolute stereochemistry.



RN 346712-92-3 CAPLUS

CN L-Leucine, N-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]acetyl-, methyl ester (9CI) (CA INDEX NAME)

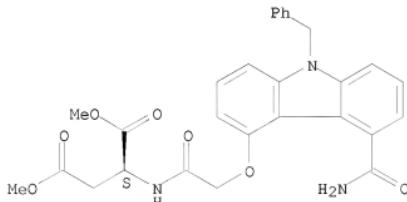
Absolute stereochemistry.



RN 346712-93-4 CAPLUS

CN L-Aspartic acid, N-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]acetyl-, dimethyl ester (9CI) (CA INDEX NAME)

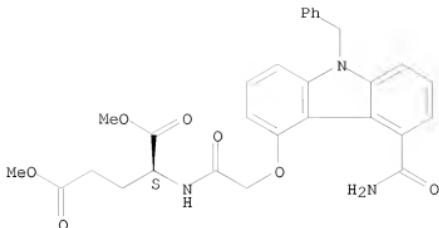
Absolute stereochemistry.



RN 346712-94-5 CAPLUS

CN L-Glutamic acid, N-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]acetyl-, dimethyl ester (9CI) (CA INDEX NAME)

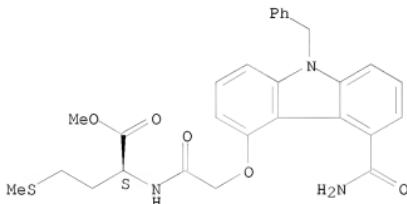
Absolute stereochemistry.



RN 346712-96-7 CAPLUS

CN L-Methionine, N-[{[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy}acetyl]-, methyl ester (9CI) (CA INDEX NAME)

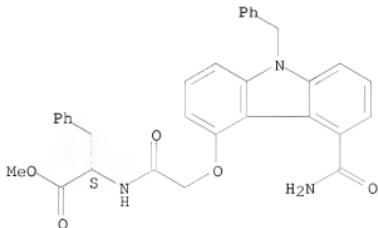
Absolute stereochemistry.



RN 346712-98-9 CAPLUS

CN L-Phenylalanine, N-[{[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy}acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 346712-88-7P 346712-89-8P 346713-00-6P

346713-02-8P 346713-03-9P 346713-04-0P

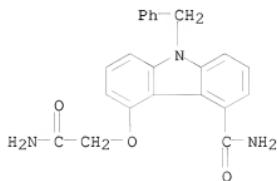
346713-05-1P 346713-06-2P 346713-07-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbazole amino acid derivs. as secretory phospholipase A2
(sPLA2) inhibitors)

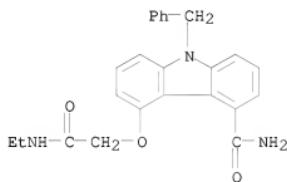
RN 346712-88-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(2-amino-2-oxoethoxy)-9-(phenylmethyl)- (CA
INDEX NAME)



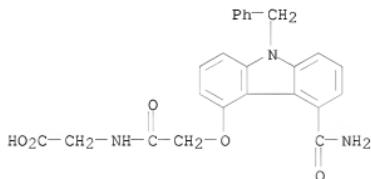
RN 346712-89-8 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-[2-(ethylamino)-2-oxoethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



RN 346713-00-6 CAPLUS

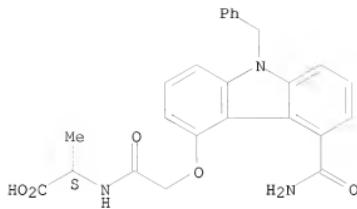
CN Glycine, N-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxylacetyl]- (9CI) (CA INDEX NAME)



RN 346713-02-8 CAPLUS

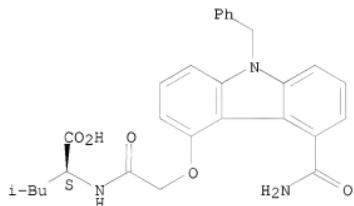
CN L-Alanine, N-[[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxylacetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



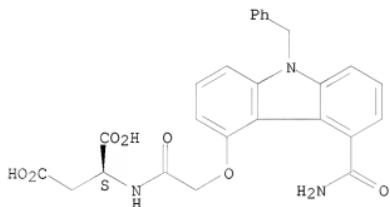
RN 346713-03-9 CAPLUS
 CN L-Leucine, N-[{[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy}acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



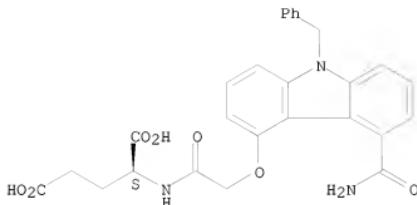
RN 346713-04-0 CAPLUS
 CN L-Aspartic acid, N-[{[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy}acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 346713-05-1 CAPLUS
 CN L-Glutamic acid, N-[{[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy}acetyl]- (9CI) (CA INDEX NAME)

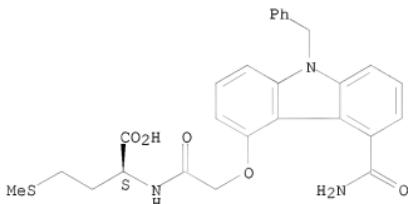
Absolute stereochemistry.



RN 346713-06-2 CAPLUS

CN L-Methionine, N-[{[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy}acetyl]- (9CI) (CA INDEX NAME)

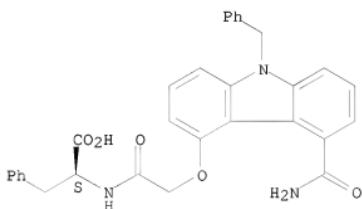
Absolute stereochemistry.



RN 346713-07-3 CAPLUS

CN L-Phenylalanine, N-[{[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy}acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

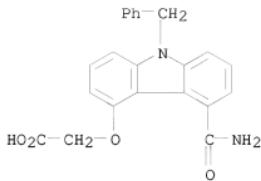


IT 207340-86-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of carbazole amino acid derivs. as secretory phospholipase A2 (sPLA₂) inhibitors)

RN 207340-86-1 CAPLUS

CN Acetic acid, 2-[{5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 35 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2001:507563 CAPLUS
 DOCUMENT NUMBER: 135:87174
 TITLE: Combination therapy using a neutrophil elastase inhibitor and an secretory phospholipase A2 inhibitor for the treatment of inflammatory and respiratory diseases
 INVENTOR(S): Macias, William Louis
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 263 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2001049323 | A1 | 20010712 | WO 2000-US34262 | 20001222 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, NO, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1259260 | A1 | 20021127 | EP 2000-990230 | 20001222 |
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003519198 | T | 20030617 | JP 2001-549689 | 20001222 |
| US 20030092767 | A1 | 20030515 | US 2002-149365 | 20020607 |
| PRIORITY APPLN. INFO.: | | | US 2000-174723P | P 20000106 |
| | | | WO 2000-US34262 | W 20001222 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 135:87174

AB A pharmaceutical composition for the treatment of an inflammatory disease or a respiratory disease in mammals comprises, as active ingredients, a neutrophil elastase inhibitor and an sPLA2 inhibitor. Preparation of [(3-(2-amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indole-4-yl)oxyl]acetic acid is described.

IT 207340-74-7 207340-74-7D, isomers and prodrug

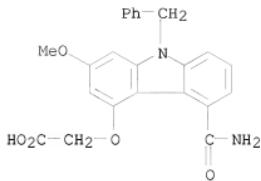
derivs. 207340-75-8 207340-75-8D, isomers and prodrug derivs. 207340-86-1 207340-86-1D, isomers and prodrug derivs. 220862-21-5 220862-21-5D, isomers and prodrug derivs. 220862-22-6 220862-22-6D , isomers and prodrug derivs. 220862-23-7
220862-23-7D, isomers and prodrug derivs. 220862-24-8
220862-24-8D, isomers and prodrug derivs. 220862-26-0
220862-26-0D, isomers and prodrug derivs. 220862-27-1
220862-27-1D, isomers and prodrug derivs. 220862-30-6
220862-30-6D, isomers and prodrug derivs. 220862-31-7
220862-31-7D, isomers and prodrug derivs. 220862-32-8
220862-32-8D, isomers and prodrug derivs. 220862-33-9
220862-33-9D, isomers and prodrug derivs. 220862-34-0
220862-34-0D, isomers and prodrug derivs. 220862-35-1
220862-35-1D, isomers and prodrug derivs. 220862-36-2
220862-36-2D, isomers and prodrug derivs. 220862-37-3
220862-37-3D, isomers and prodrug derivs. 220862-38-4
220862-38-4D, isomers and prodrug derivs. 220862-39-5
220862-39-5D, isomers and prodrug derivs. 220862-40-8
220862-40-8D, isomers and prodrug derivs. 220862-41-9
220862-41-9D, isomers and prodrug derivs. 220862-42-0
220862-42-0D, isomers and prodrug derivs. 220862-43-1
220862-43-1D, isomers and prodrug derivs. 220862-44-2
220862-44-2D, isomers and prodrug derivs. 220862-45-3
220862-45-3D, isomers and prodrug derivs. 220862-46-4
220862-46-4D, isomers and prodrug derivs. 220862-47-5
220862-47-5D, isomers and prodrug derivs. 220862-48-6
220862-48-6D, isomers and prodrug derivs. 220862-49-7
220862-49-7D, isomers and prodrug derivs. 220862-50-0
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220862-54-4D, isomers and prodrug derivs. 220862-55-5
220862-55-5D, isomers and prodrug derivs. 220862-59-9
220862-59-9D, isomers and prodrug derivs. 220862-61-3
220862-61-3D, isomers and prodrug derivs. 220862-63-5
220862-63-5D, isomers and prodrug derivs. 220862-66-8
220862-66-8D, isomers and prodrug derivs. 220862-68-0
220862-68-0D, isomers and prodrug derivs. 220862-72-6
220862-74-8 220862-74-8D, isomers and prodrug derivs.
220862-76-0 220862-76-0D, isomers and prodrug derivs.
220862-84-0 225653-40-7 225653-40-7D,
isomers and prodrug derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

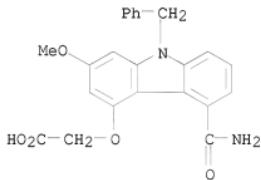
(neutrophil elastase inhibitor-secretory phospholipase A2 inhibitor combination therapy for inflammatory and respiratory diseases)

RN 207340-74-7 CAPLUS

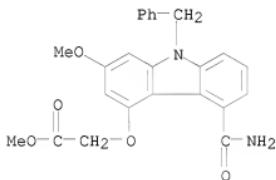
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



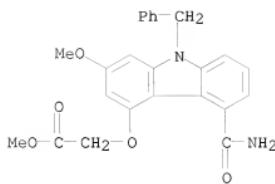
RN 207340-74-7 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 207340-75-8 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)

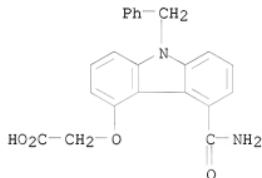


RN 207340-75-8 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



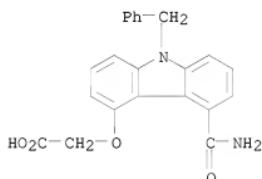
RN 207340-86-1 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-
(CA INDEX NAME)



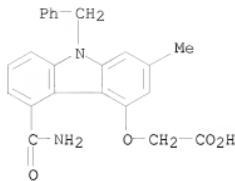
RN 207340-86-1 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-
(CA INDEX NAME)

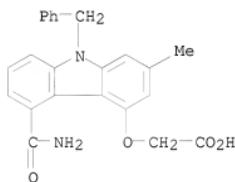


RN 220862-21-5 CAPLUS

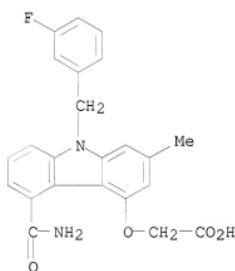
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-
yl)oxy]-
(CA INDEX NAME)



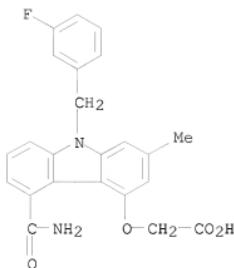
RN 220862-21-5 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-22-6 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-2-methyl-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

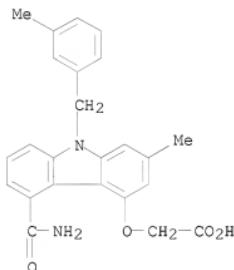


RN 220862-22-6 CAPLUS
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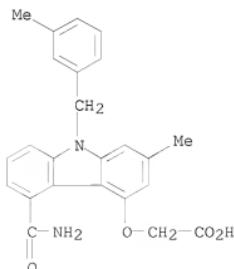
RN 220862-23-7 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



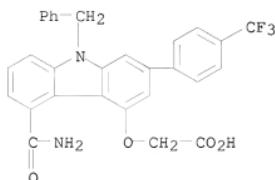
RN 220862-23-7 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



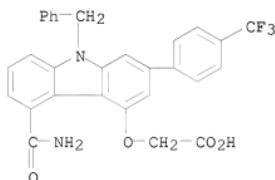
RN 220862-24-8 CAPLUS

CN Acetic acid, 2-[{5-(aminocarbonyl)-9-(phenylmethyl)-2-[4-(trifluoromethyl)phenyl]phenyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



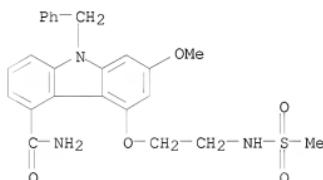
RN 220862-24-8 CAPLUS

CN Acetic acid, 2-[{5-(aminocarbonyl)-9-(phenylmethyl)-2-[4-(trifluoromethyl)phenyl]phenyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



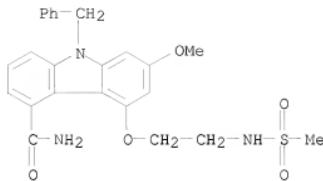
RN 220862-26-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[2-[(methylsulfonyl)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)

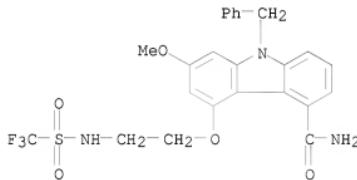


RN 220862-26-0 CAPLUS

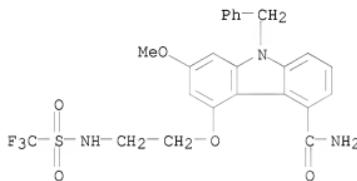
CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[2-[(methylsulfonyl)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



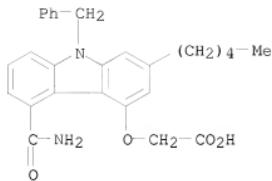
RN 220862-27-1 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-[2-[(trifluoromethyl)sulfonyl]amino]ethoxy- (CA INDEX NAME)



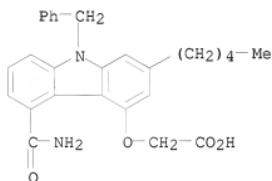
RN 220862-27-1 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-[2-[(trifluoromethyl)sulfonyl]amino]ethoxy- (CA INDEX NAME)



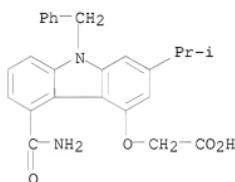
RN 220862-30-6 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



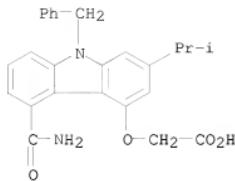
RN 220862-30-6 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-penty1-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-31-7 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

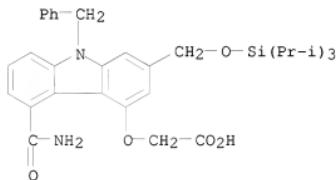


RN 220862-31-7 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



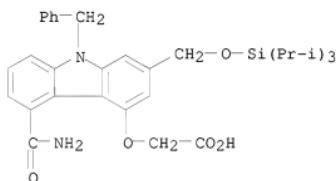
RN 220862-32-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[(tris(1-methylethyl)silyl)oxy]methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



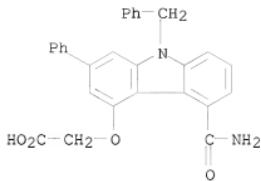
RN 220862-32-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[(tris(1-methylethyl)silyl)oxy]methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



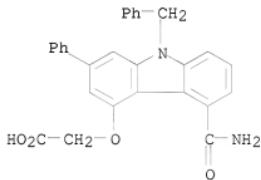
RN 220862-33-9 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



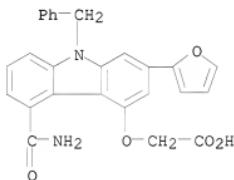
RN 220862-33-9 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



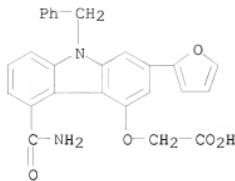
RN 220862-34-0 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



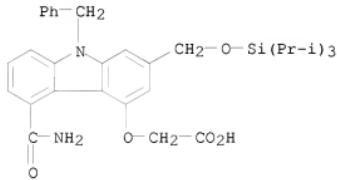
RN 220862-34-0 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-35-1 CAPLUS

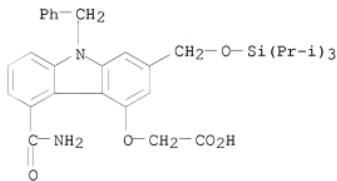
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[[tris(1-methylethyl)silyloxy]methyl]-9H-carbazol-4-yl)oxy]-, lithium salt (1:1)
(CA INDEX NAME)



● Li

RN 220862-35-1 CAPLUS

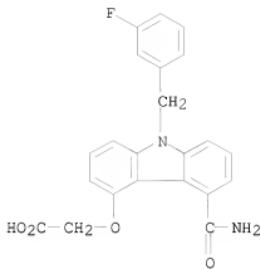
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[[tris(1-methylethyl)silyloxy]methyl]-9H-carbazol-4-yl)oxy]-, lithium salt (1:1)
(CA INDEX NAME)



● Li

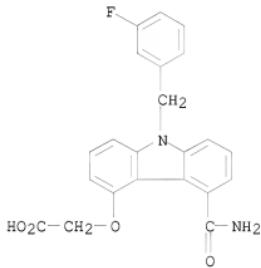
RN 220862-36-2 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl)oxy]-, lithium salt (1:1)
(CA INDEX NAME)



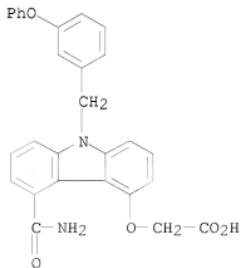
RN 220862-36-2 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



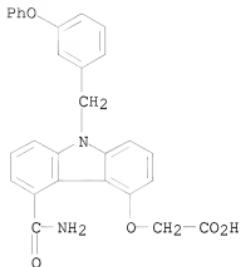
RN 220862-37-3 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



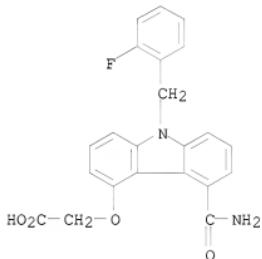
RN 220862-37-3 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



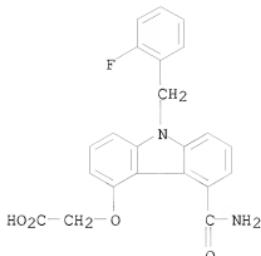
RN 220862-38-4 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



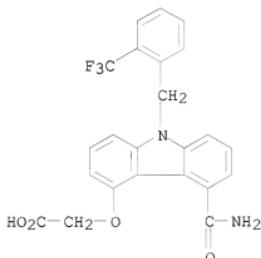
RN 220862-38-4 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



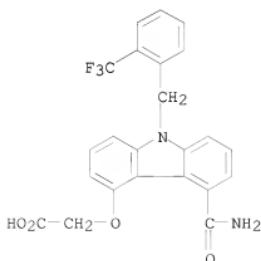
RN 220862-39-5 CAPLUS

CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(2-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)

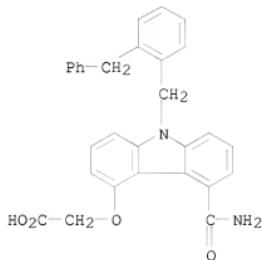


RN 220862-39-5 CAPLUS

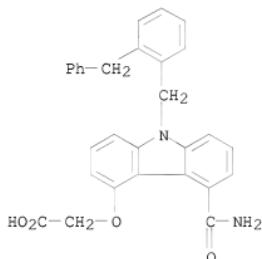
CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(2-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)



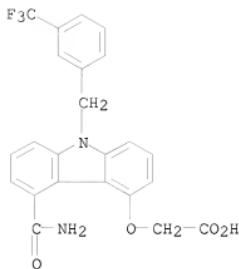
RN 220862-40-8 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-(phenylmethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-40-8 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-(phenylmethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

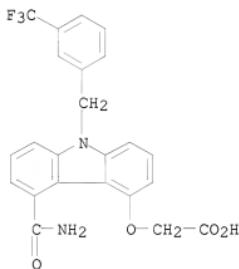


RN 220862-41-9 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



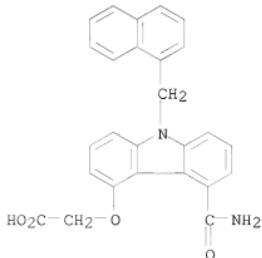
RN 220862-41-9 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

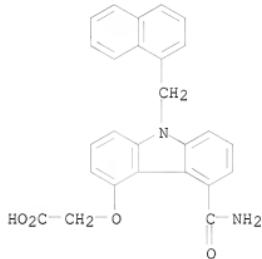


RN 220862-42-0 CAPLUS

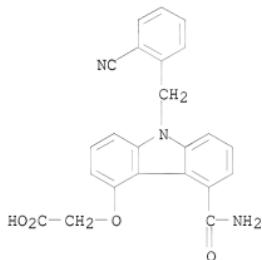
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



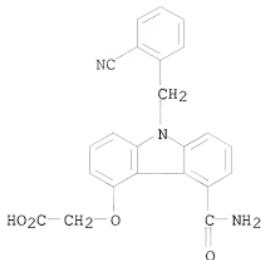
RN 220862-42-0 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



RN 220862-43-1 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)

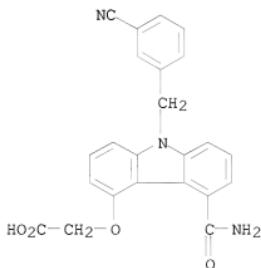


RN 220862-43-1 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



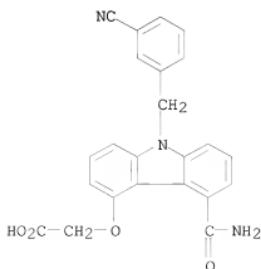
RN 220862-44-2 CAPLUS

CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(3-cyanophenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)

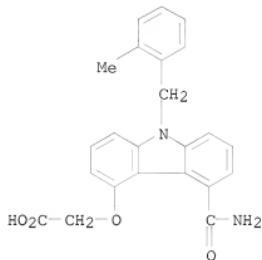


RN 220862-44-2 CAPLUS

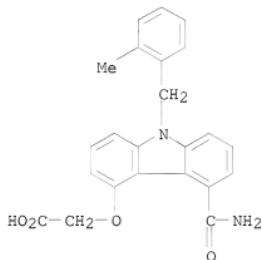
CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(3-cyanophenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)



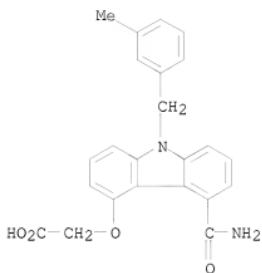
RN 220862-45-3 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



RN 220862-45-3 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)

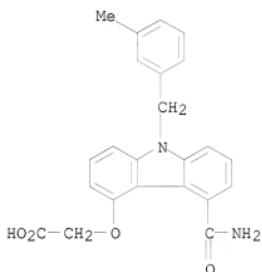


RN 220862-46-4 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



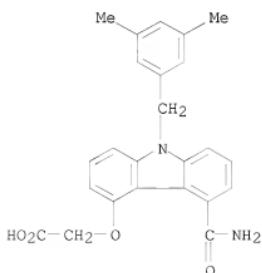
RN 220862-46-4 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

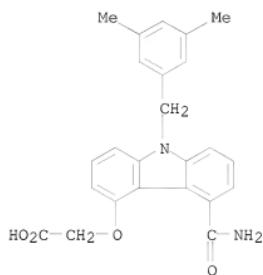


RN 220862-47-5 CAPLUS

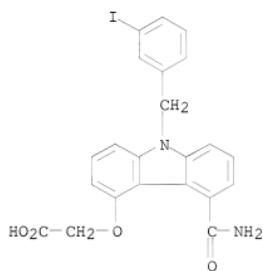
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



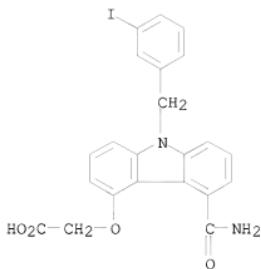
RN 220862-47-5 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-48-6 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

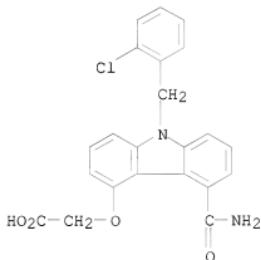


RN 220862-48-6 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



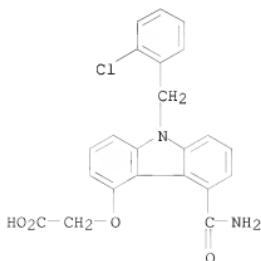
RN 220862-49-7 CAPLUS

CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)

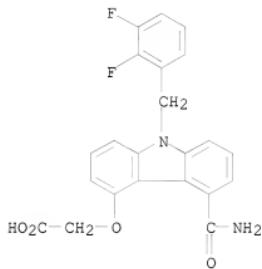


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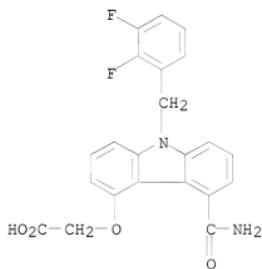
CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)



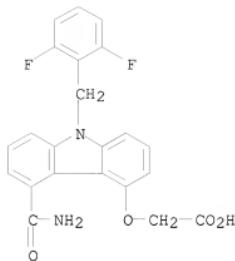
RN 220862-50-0 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 220862-50-0 CAPLUS
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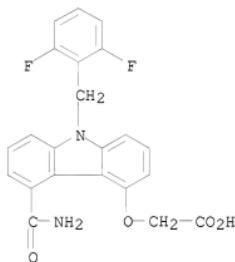


RN 220862-51-1 CAPLUS
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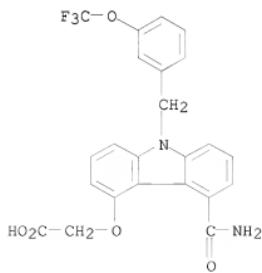
RN 220862-51-1 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

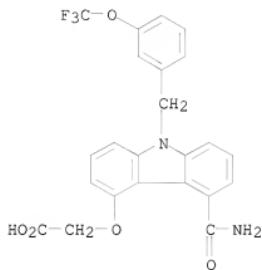


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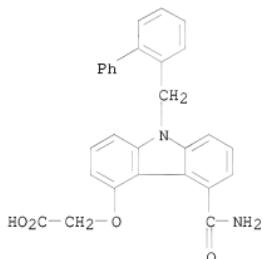
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-(trifluoromethoxy)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



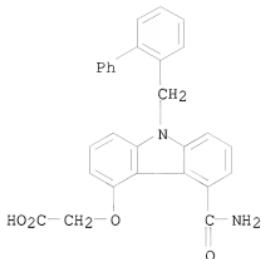
RN 220862-54-4 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-(trifluoromethoxy)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-55-5 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-((1,1'-biphenyl)-2-ylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

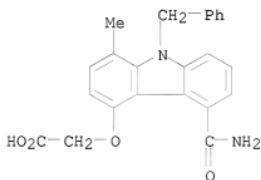


RN 220862-55-5 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-((1,1'-biphenyl)-2-ylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



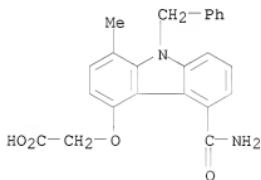
RN 220862-59-9 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



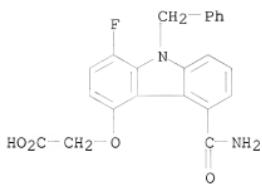
RN 220862-59-9 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

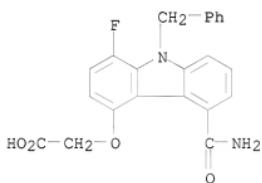


RN 220862-61-3 CAPLUS

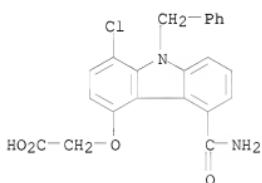
CN Acetic acid, 2-[(5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



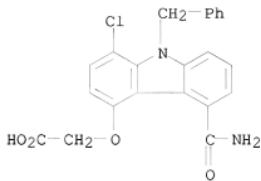
RN 220862-61-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-63-5 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

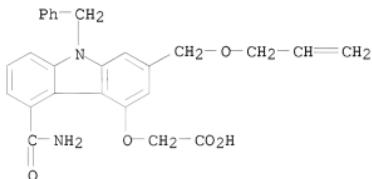


RN 220862-63-5 CAPLUS
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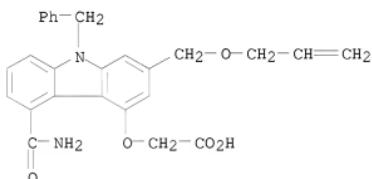
RN 220862-66-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[(2-propen-1-yloxy)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



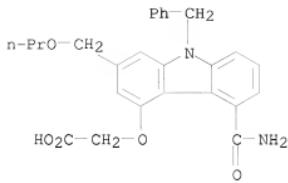
RN 220862-66-8 CAPLUS

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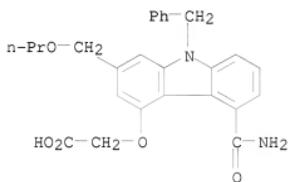


RN 220862-68-0 CAPLUS

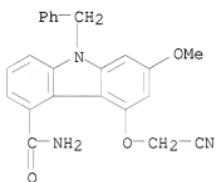
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-(propoxymethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



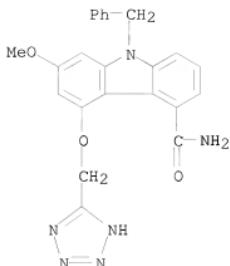
RN 220862-68-0 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-(propoxymethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 220862-72-6 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-(cyanomethoxy)-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)

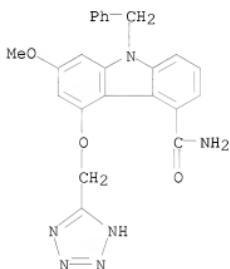


RN 220862-74-8 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-(2H-tetrazol-5-ylmethoxy)- (CA INDEX NAME)



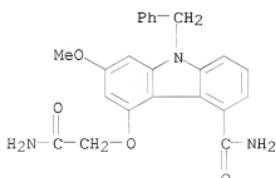
RN 220862-74-8 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-(2H-tetrazol-5-ylmethoxy)- (CA INDEX NAME)



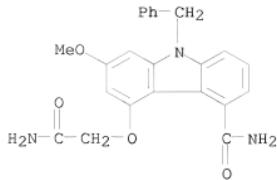
RN 220862-76-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(2-amino-2-oxoethoxy)-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



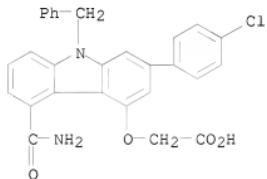
RN 220862-76-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(2-amino-2-oxoethoxy)-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



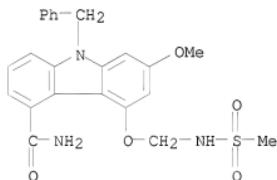
RN 220862-84-0 CAPLUS

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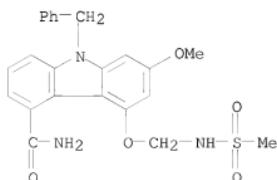
RN 225653-40-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[(methylsulfonyl)amino]methoxy-9-(phenylmethyl)- (CA INDEX NAME)



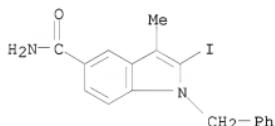
RN 225653-40-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[(methylsulfonyl)amino]methoxy-9-(phenylmethyl)- (CA INDEX NAME)

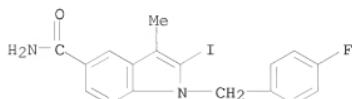


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 36 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2001:478039 CAPLUS
DOCUMENT NUMBER: 135:242095
TITLE: Efficient synthesis of 3-substituted 2-arylindoles via
Suzuki coupling reactions on a solid phase
AUTHOR(S): Zhang, H.-C.; Ye, H.; White, K. B.; Maryanoff, B. E.
CORPORATE SOURCE: Drug Discovery, The R. W. Johnson Pharmaceutical
Research Institute, Spring House, PA, 19477-0776, USA
SOURCE: Tetrahedron Letters (2001), 42(29), 4751-4754
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:242095
AB 2-Aryl-3-alkylindoles were prepared on solid phase via palladium-mediated
heteroannulation of 1-alkyl-2-(trimethylsilyl)acetylenes with amide
resin-bound o-iodoaniline, followed by transformation of trimethylsilyl to
iodide and then Suzuki coupling reactions. Traceless synthesis of sym.
and unsym. 2,3-diarylindoles was achieved via palladium-mediated one-pot
coupling/intramol. indole cyclization of aryl-substituted terminal alkynes
with sulfonyl resin-bound o-iodoaniline, followed by regioselective
bromination and Suzuki coupling reactions.
IT 361161-30-0DP, resin-bound 361161-31-1DP,
resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(efficient synthesis of 3-substituted 2-arylindoles via Suzuki coupling
reactions on a solid phase)
RN 361161-30-0 CAPLUS
CN 1H-Indole-5-carboxamide, 2-iodo-3-methyl-1-(phenylmethyl)- (CA INDEX
NAME)

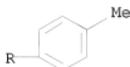
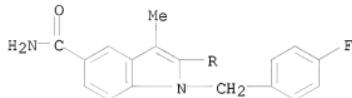


RN 361161-31-1 CAPLUS
CN 1H-Indole-5-carboxamide, 1-[(4-fluorophenyl)methyl]-2-iodo-3-methyl- (CA
INDEX NAME)

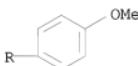
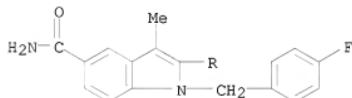


IT 361161-35-5P 361161-36-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (efficient synthesis of 3-substituted 2-arylindoles via Suzuki coupling
 reactions on a solid phase)
 RN 361161-35-5 CAPLUS
 CN 1H-Indole-5-carboxamide, 1-[(4-fluorophenyl)methyl]-3-methyl-2-(4-
 methylphenyl)- (CA INDEX NAME)



RN 361161-36-6 CAPLUS
 CN 1H-Indole-5-carboxamide, 1-[(4-fluorophenyl)methyl]-2-(4-methoxyphenyl)-3-
 methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 47 THERE ARE 47 CAPLUS RECORDS THAT CITE THIS
 RECORD (51 CITINGS)
 REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

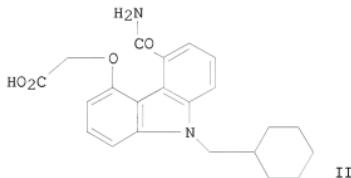
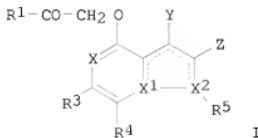
L12 ANSWER 37 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2001:283786 CAPLUS
 DOCUMENT NUMBER: 134:290409
 TITLE: Preparation of V type and/or X type sPLA2 inhibitors
 INVENTOR(S): Ono, Takashi; Ueno, Masahiko; Hanasaki, Kohji
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 58 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

WO 2001026653 A1 20010419 WO 2000-JP7024 20001010
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
 HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU,
 LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
 SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
 ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-293273 A 19991015
 OTHER SOURCE(S): MARPAT 134:290409
 GI



AB V type and/or X type sPLA2 inhibitors which contain as the active ingredient compds. represented by general formulas [I; X = CHR₂; N; X₁ = C, N; X₂ = C, N; Y = R₆; Z = R₇; YZ = C(CONH₂):CHCH:CH; R₁ = OH, NHSO₂C₆H₅; R₂, R₃, R₄ independently = H, CH₃, C₆H₅, F; ; R₅ = 4-C₆H₅C₆H₄CH₂, C₆H₅CH₂, cyclohexylmethyl, 2-cyclopentylphenyl; R₆ = H, C₁-3 alkyl; R₇ = COCONH₂, CH₂CONH₂; dotted bond = single, double], prodrugs thereof, and pharmaceutically acceptable salts of the same or solvates of the same are prepared as V type and/or X type sPLA2 inhibitors. Thus, the title compound II was prepared and tested for X type sPLA2 inhibition with an IC₅₀ of 3 nM.

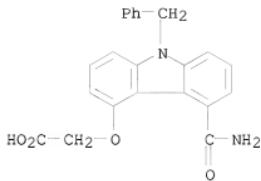
IT 207340-86-1P 220862-34-0P 220862-37-3P
 220862-61-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

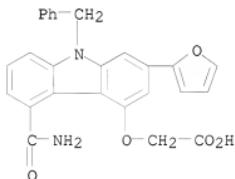
(preparation of V type and/or X type sPLA2 inhibitors)

RN 207340-86-1 CAPLUS

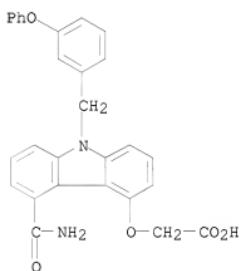
CN Acetic acid, 2-[{5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl}oxy]-(CA INDEX NAME)



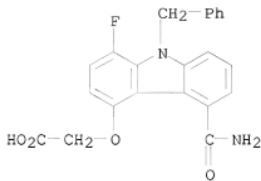
RN 220862-34-0 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 220862-37-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 220862-61-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
 (1 CITINGS)
 REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

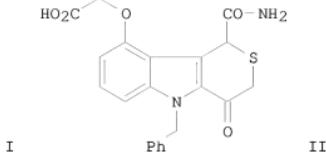
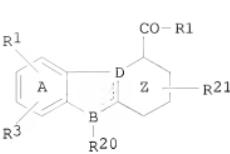
L12 ANSWER 38 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2001:57225 CAPLUS
 DOCUMENT NUMBER: 134:131518
 TITLE: Preparation of substituted carbazoles and analogous
 tricyclics as secretory phospholipase A2 (sPLA2)
 inhibitors
 INVENTOR(S): Bach, Nicholas James; Draheim, Susan Elizabeth;
 Dillard, Robert Delane; Mihelich, Edward David;
 Sawyer, Jason Scott; Beight, Douglas Wade; Phillips,
 Michael Leroy; Suarez, Tulio; Sall, Daniel Jon;
 Bastian, Jolie Anne; Denney, Michael Lyle; Hite, Gary
 Alan; Kinnick, Michael Dean; Vasilieff, Robert
 Theodore; Morin, John Michael, Jr.; Lin, Ho-Shen;
 Richett, Michael Enrico; Harper, Richard Waltz;
 McGill, John McNeill, III; Anderson, Benjamin Alan;
 Harn, Nancy Kay; Loncharich, Richard James; Schevitz,
 Richard Walter
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: U.S., 174 pp., Cont.-in-part of U.S. Ser. No. 959,477.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 6177440 | B1 | 20010123 | US 1998-63066 | 19980421 |
| HU 9903545 | A2 | 20000228 | HU 1999-3545 | 19971023 |
| HU 9903545 | A3 | 20010528 | | |
| US 6713645 | B1 | 20040330 | US 2000-688106 | 20001013 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 1996-29849P | P 19961030 |
| | | | US 1997-959477 | A2 19971028 |
| | | | US 1998-63066 | A3 19980421 |
| | | | US 2000-688106 | A 20001013 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 134:131518

GI

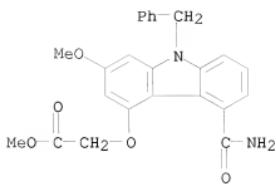


AB Carbazole, thiacarbazole, pyridoindole, azacarbazole, (thio)pyranocindole, and carboline derivs. I are disclosed [wherein: A = Ph or pyridyl; B or D = N and the other is C; Z = cyclohexenyl, Ph, pyridyl, or a heterocyclic ring with one S, O, or N atom; R20 = (un)substituted alkyl, alkenyl, alkynyl, carbo- or heterocyclic radical, or L-R80; L = linking group of 1-12 C, H, O, N, and/or S atoms; R80 = (un)substituted alkyl, alkenyl, alkynyl, carbo- or heterocyclic radical; R21 = non-interfering substituent; R1 = NHH2, NH2, or CONH2; R2 = OH or (un)substituted alkoxy; R3 = non-interfering substituent, (un)substituted carbo- or heterocyclic radical; with provisos]. I are inhibitors of human non-pancreatic secretory phospholipase A2 (sPLA2). I suppress sPLA2-mediated release of fatty acids, thereby inhibiting the arachidonic acid cascade, and are useful in the treatment of septic shock and a variety of other sPLA2 related diseases, such as arthritis. Over 70 examples were synthesized. For instance, the thiacarbazole II was prepared in a nine-step synthesis. 4-Methoxyindole was N-benzylated and then acylated in the 3-position with Me oxalyl chloride. The resulting ketone was reduced to the alc. with NaBH4, to form Me (1-benzyl-4-methoxyindol-3-yl)hydroxyacetate. The alc. was mesylated and displaced by mercaptoacetic acid, and the thio ether cyclized to afford the 3-thia-1,2,3,4-tetrahydrocarbazole nucleus. The ester was hydrolyzed and converted to the carboxamide. Finally, the Me ether was cleaved to give the alc., followed by etherification with Et bromoacetate, and hydrolysis to yield II. I were effective inhibitors of recombinant human sPLA2 at concns. of < 100 μ M in a chromogenic assay. I also suppressed contractile response of guinea pig dorsal pleural strips to sPLA2 at concns. < 20 μ M. I reduced sPLA2 catalytic activity in the serum of transgenic mice (no data).

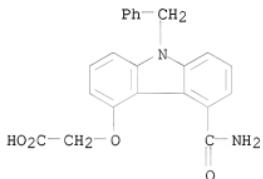
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of substituted carbazoles and analogous tricyclics as secretory phospholipase A2 (sPLA2) inhibitors)

RN 207340-75-8 CAPLUS

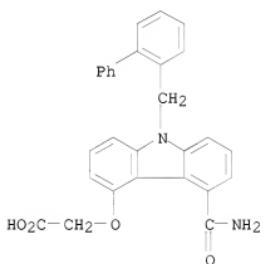
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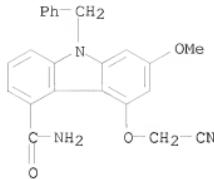
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(CA INDEX NAME)



RN 220862-55-5 CAPLUS
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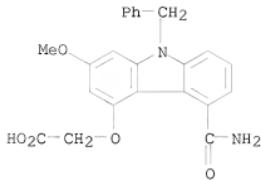
RN 220862-72-6 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-(cyanomethoxy)-7-methoxy-9-(phenylmethyl)-
(CA INDEX NAME)



IT 207340-74-7P, [(9-Benzyl-4-carbamoyl-7-methoxycarbazol-5-yl)oxy]acetic acid 207340-76-9P,
 [(9-Benzyl-4-carbamoyl-7-methoxycarbazol-5-yl)oxy]acetic acid sodium salt
 220862-21-5P, [(9-(Phenylmethyl)-5-carbamoyl-2-methylcarbazol-4-yl)oxy]acetic acid 220862-22-6P,
 [(9-[(3-Fluorophenyl)methyl]-5-carbamoyl-2-methylcarbazol-4-yl)oxy]acetic acid 220862-23-7P,
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 [(9-[(Phenyl)methyl]-5-carbamoyl-2-(4-trifluoromethylphenyl)carbazol-4-yl)oxy]acetic acid 220862-26-0P,
 9-Benzyl-4-[(2-(methanesulfonamido)ethyl)oxy]-2-methoxycarbazole-5-carboxamide 220862-27-1P,
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 [(5-Carbamoyl-2-pentyl-9-(phenylmethyl)carbazol-4-yl)oxy]acetic acid 220862-31-7P, [(5-Carbamoyl-2-(1-methylethyl)-9-(phenylmethyl)carbazol-4-yl)oxy]acetic acid 220862-32-8P,
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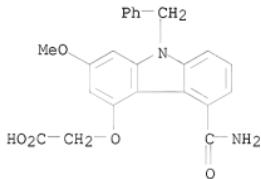
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9-Benzyl-7-methoxy-5-[(1H-tetrazol-5-ylmethyl)oxy]carbazole-4-carboxamide 220862-76-0P, 9-Benzyl-7-methoxy-5-[(carbamoylmethyl)oxy]carbazole-4-carboxamide 220862-84-0P,
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, [[9-[(2-Methylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid sodium salt 246513-39-3P,
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[[9-[(2-Biphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247904-05-8P,
[[5-Carbamoyl-9-(phenylmethyl)-2-(2-thienyl)carbazol-4-yl]oxy]acetic acid 247904-07-0P, [[9-(3-Fluorophenyl)methyl]-2-methyl-5-
carbamoylcarbazol-4-yl]oxy]acetic acid sodium salt 321858-11-1P
, 9-Benzyl-4-(methanesulfonamidomethylmethoxy)carbazole-5-carboxamide 321858-12-2P, [[5-Carbamoyl-9-(phenylmethyl)-2-
(hydroxymethyl)carbazol-4-yl]oxy]acetic acid 321858-13-3P,
[[9-[(2-Benzylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid sodium salt 321858-14-4P,
[[9-[(1-Naphthyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid sodium salt 321858-15-5P, [[9-[(2-Cyanophenyl)methyl]-5-
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted carbazoles and analogous tricyclics as secretory phospholipase A2 (sPLA2) inhibitors)

RN 207340-74-7 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 207340-76-9 CAPLUS

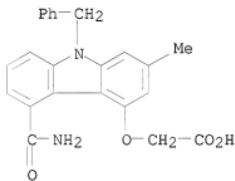
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)



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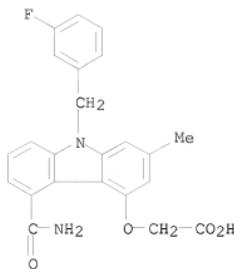
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CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)

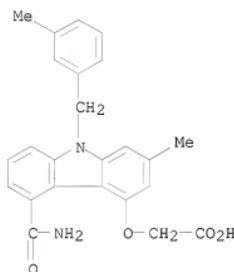


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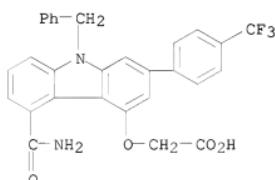
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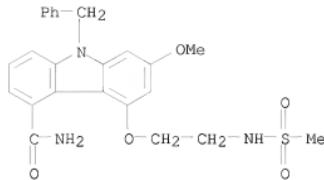
RN 220862-23-7 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-(3-methylphenyl)methyl]-9H-carbazol-4-yloxy]- (CA INDEX NAME)



RN 220862-24-8 CAPLUS
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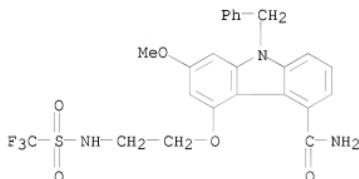


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 CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[2-[(methylsulfonyl)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



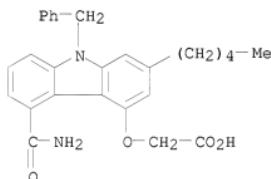
RN 220862-27-1 CAPLUS

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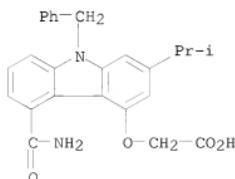
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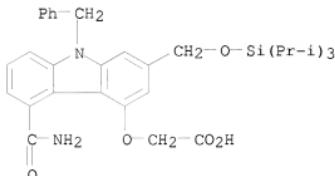
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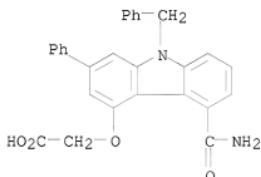
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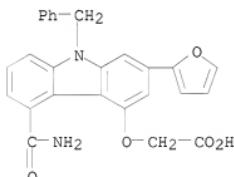
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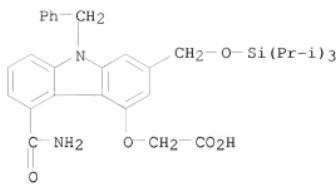
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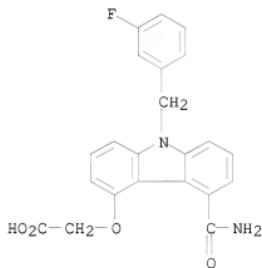
RN 220862-35-1 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy-, lithium salt (1:1) (CA INDEX NAME)

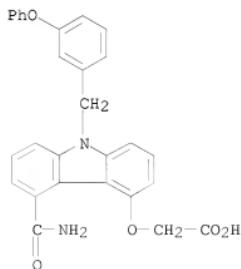


● Li

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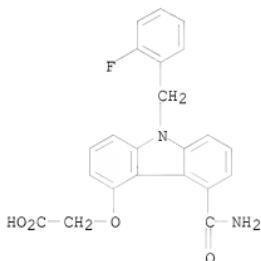


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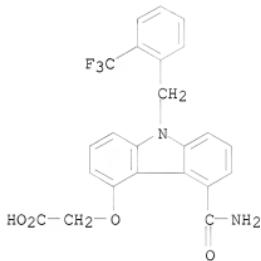
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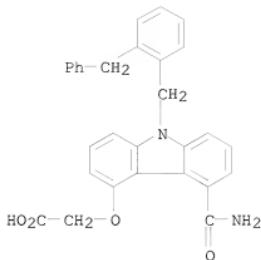
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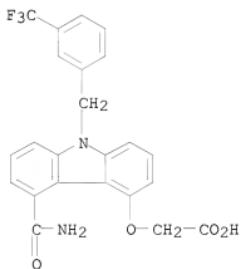
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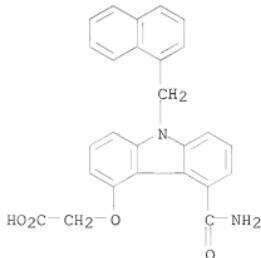
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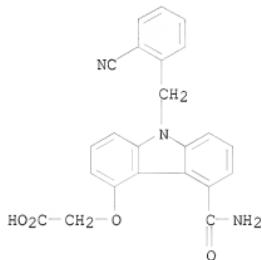


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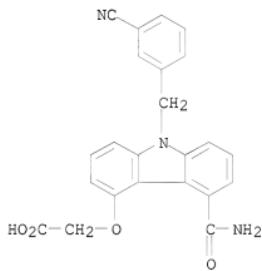
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



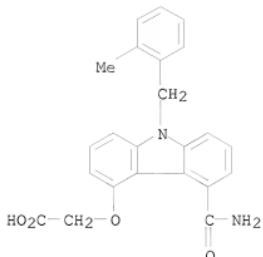
RN 220862-43-1 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yloxy]- (CA INDEX NAME)



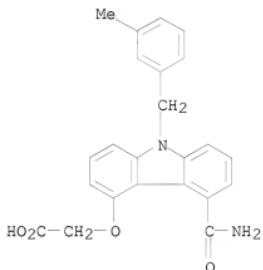
RN 220862-44-2 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-cyanophenyl)methyl]-9H-carbazol-4-yloxy]- (CA INDEX NAME)



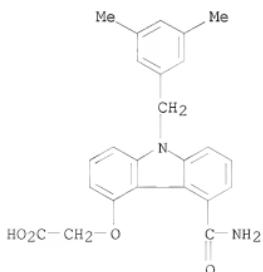
RN 220862-45-3 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yloxy]- (CA INDEX NAME)



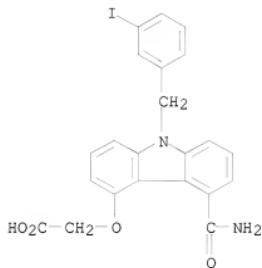
RN 220862-46-4 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



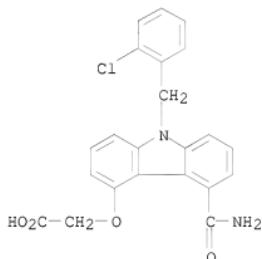
RN 220862-47-5 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



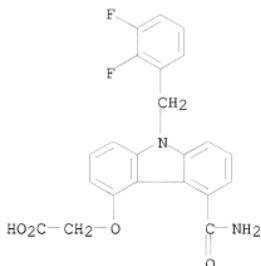
RN 220862-48-6 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



RN 220862-49-7 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)

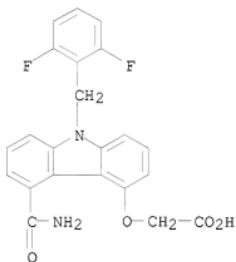


RN 220862-50-0 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



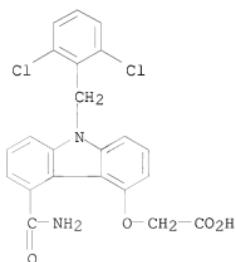
RN 220862-51-1 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

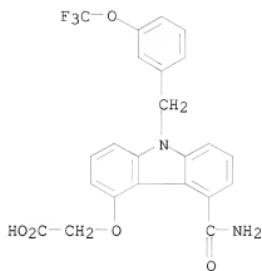


RN 220862-53-3 CAPLUS

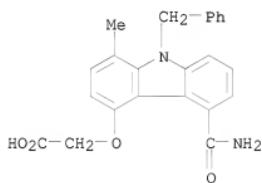
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,6-dichlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



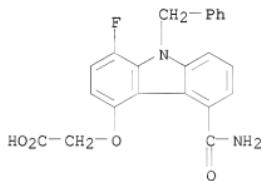
RN 220862-54-4 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-(trifluoromethoxy)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



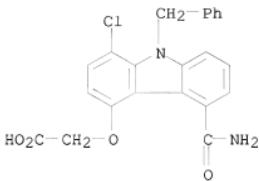
RN 220862-59-9 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



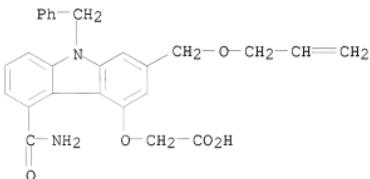
RN 220862-61-3 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



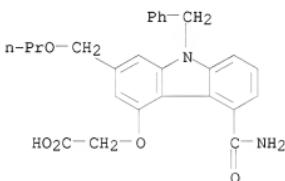
RN 220862-63-5 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



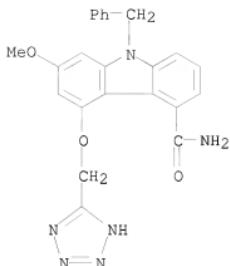
RN 220862-66-8 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-(2-propen-1-yloxy)methyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-68-0 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-(propoxymethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

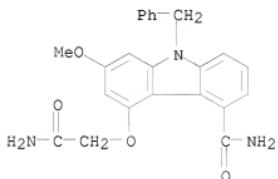


RN 220862-74-8 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-(2H-tetrazol-5-ylmethoxy)- (CA INDEX NAME)



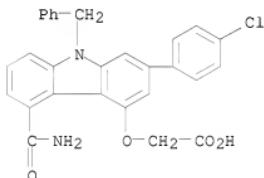
RN 220862-76-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(2-amino-2-oxoethoxy)-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



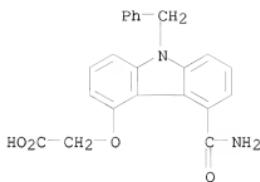
RN 220862-84-0 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(4-chlorophenyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 246513-34-8 CAPLUS

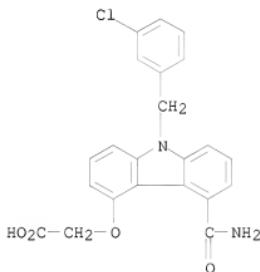
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

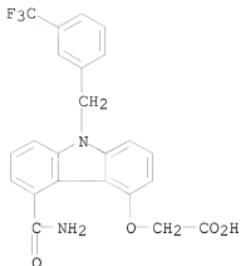
RN 246513-35-9 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-chlorophenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)

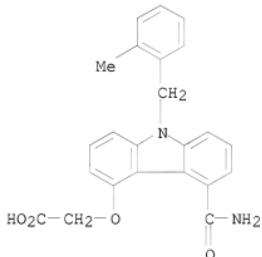


RN 246513-36-0 CAPLUS

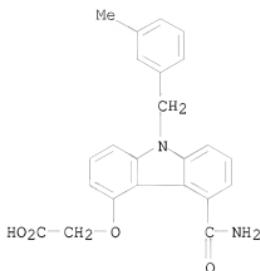
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)



RN 246513-37-1 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)



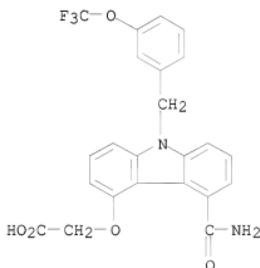
RN 246513-39-3 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 246513-40-6 CAPLUS

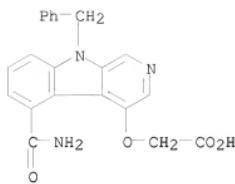
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-(trifluoromethoxy)phenyl)methyl]-9H-carbazol-4-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

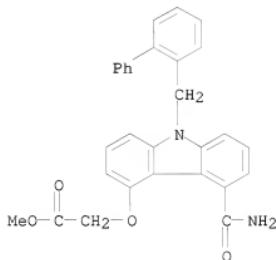
RN 246868-00-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-pyrido[3,4-b]indol-4-yl)oxy]-, hydrochloride (1:1) (CA INDEX NAME)

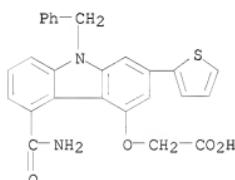


● HCl

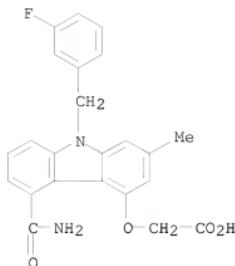
RN 247903-77-1 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-((1,1'-biphenyl)-2-ylmethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



RN 247904-05-8 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-(2-thienyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



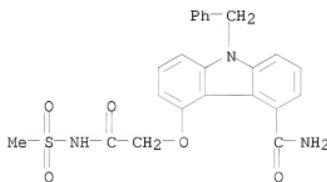
RN 247904-07-0 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-2-methyl-9H-carbazol-4-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

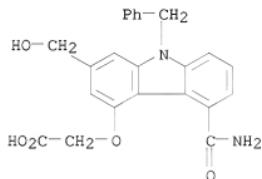
RN 321858-11-1 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-[2-[(methylsulfonyl)amino]-2-oxoethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



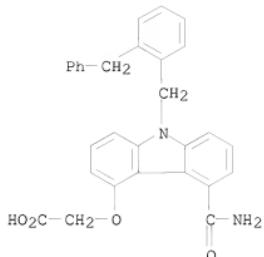
RN 321858-12-2 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(hydroxymethyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



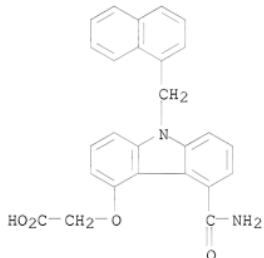
RN 321858-13-3 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-(phenylmethyl)phenyl)methyl]-9H-carbazol-4-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)



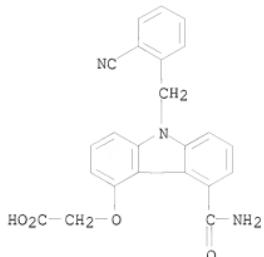
● Na

RN 321858-14-4 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy-, sodium salt (1:1) (CA INDEX NAME)



● Na

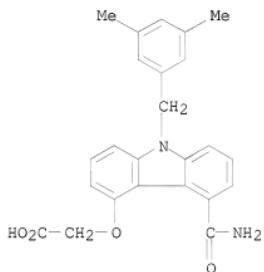
RN 321858-15-5 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy-, sodium salt (1:1) (CA INDEX NAME)



$\bullet \text{Na}$

RN 321858-16-6 CAPLUS

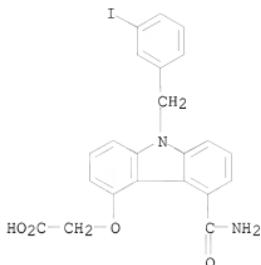
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy-, sodium salt (1:1) (CA INDEX NAME)



$\bullet \text{Na}$

RN 321858-17-7 CAPLUS

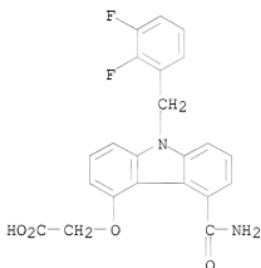
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 321858-18-8 CAPLUS

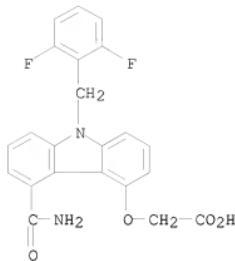
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 321858-19-9 CAPLUS

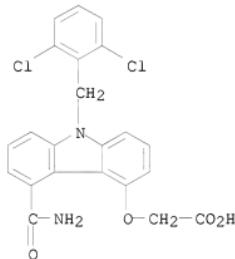
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 321858-20-2 CAPLUS

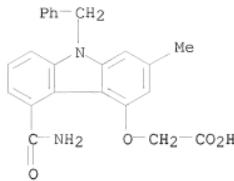
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,6-dichlorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 321858-27-9 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



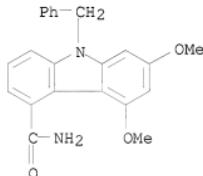
● Na

IT 207341-24-0P, 9-Benzyl-4-carbamoyl-5,7-dimethoxycarbazole
 207341-25-1P, 9-Benzyl-4-carbamoyl-5-hydroxy-7-methoxycarbazole
 246513-45-1P, 9-[(Phenyl)methyl]-4-hydroxy-5-carbamoylcarbazole
 246513-46-2P, [(9-[(Phenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 246513-52-0P,
 9-Benzyl-5-carbamoyl-4-methoxy-1-fluorocarbazole 246513-53-1P,
 [(9-Benzyl-5-carbamoyl-1-fluorocarbazol-4-yl)oxy]acetic acid methyl ester 246513-56-4P, 9-[(3-Fluorophenyl)methyl]-4-hydroxy-5-carbamoylcarbazole 246513-57-5P,
 [(9-[(3-Fluorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid tert-butyl ester 246513-60-0P,
 9-[(3-Chlorophenyl)methyl]-4-hydroxy-5-carbamoylcarbazole
 246513-61-1P, [(9-[(3-Chlorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid tert-butyl ester 246513-64-4P,
 9-[(3-Trifluoromethylphenyl)methyl]-4-hydroxy-5-carbamoylcarbazole
 246513-65-5P, [(9-[(3-Trifluoromethylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 246513-68-8P
 , 9-[(2-Methylphenyl)methyl]-4-hydroxy-5-carbamoylcarbazole
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 246513-73-5P, [(9-[(3-Methylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 246513-76-8P,
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 246513-77-9P, [(9-[(3-Trifluoromethoxyphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 246513-79-1P
 , 9-Benzyl-5-carbamoyl-4-methoxy-1-methylcarbazole 246513-80-4P
 , [(9-Benzyl-5-carbamoyl-1-methylcarbazol-4-yl)oxy]acetic acid methyl ester 246868-15-5P,
 4-Hydroxy-5-carbamoyl-9-benzyl-9H-pyrido[3,4-b]indole
 247902-64-3P, 9-[(Phenyl)methyl]-2-methyl-4-hydroxy-5-carbamoylcarbazole 247902-65-4P,
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 , 9-[(3-Methylphenyl)methyl]-2-methyl-4-hydroxy-5-carbamoylcarbazole 247902-73-4P, [(9-[(3-Methylphenyl)methyl]-2-methyl-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247902-78-9P
 , 9-[(Phenyl)methyl]-2-(4-trifluoromethylphenyl)-4-hydroxy-5-carbamoylcarbazole 247902-79-0P,
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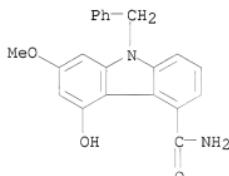
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5-Carbamoyl-4-hydroxy-2-(1-methylethyl)-9-(phenylmethyl)carbazole
247902-91-6P, [(5-Carbamoyl-2-(1-methylethyl)-9-(phenylmethyl)carbazol-4-yl]oxy]acetic acid methyl ester
247902-95-0P, [(5-Carbamoyl-9-(phenylmethyl)-2-[(tris(1-methylethyl)silyl]oxymethyl]carbazol-4-yl]oxy]acetic acid methyl ester 247903-00-0P, 5-Carbamoyl-4-hydroxy-2-phenyl-9-(phenylmethyl)carbazole 247903-01-1P,
[(5-Carbamoyl-2-phenyl-9-(phenylmethyl)carbazol-4-yl]oxy]acetic acid methyl ester 247903-06-6P,
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247903-07-7P, [(5-Carbamoyl-2-(4-chlorophenyl)-9-(phenylmethyl)carbazol-4-yl]oxy]acetic acid methyl ester
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9-[(3-Phenoxyphenyl)methyl]-4-hydroxy-5-carbamoylcarbazole
247903-21-5P, [(9-[(3-Phenoxyphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid tert-butyl ester 247903-25-9P,
9-[(2-Fluorophenyl)methyl]-4-hydroxy-5-carbamoylcarbazole
247903-26-0P, [(9-[(2-Fluorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247903-29-3P,
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9-[(1-Naphthyl)methyl]-4-hydroxy-5-carbamoylcarbazole
247903-38-4P, [(9-[(1-Naphthyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247903-41-9P,
9-[(2-Cyanophenyl)methyl]-4-hydroxy-5-carbamoylcarbazole
247903-42-0P, [(9-[(2-Cyanophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247903-45-3P,
9-[(3-Cyanophenyl)methyl]-4-hydroxy-5-carbamoylcarbazole
247903-46-4P, [(9-[(3-Cyanophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid tert-butyl ester 247903-49-7P,
9-[(3,5-Dimethylphenyl)methyl]-4-hydroxy-5-carbamoylcarbazole
247903-50-0P, [(9-[(3,5-Dimethylphenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247903-53-3P,
9-[(3-Iodophenyl)methyl]-4-hydroxy-5-carbamoylcarbazole
247903-54-4P, [(9-[(3-Iodophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247903-57-7P,
9-[(2-Chlorophenyl)methyl]-4-hydroxy-5-carbamoylcarbazole
247903-58-8P, [(9-[(2-Chlorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid tert-butyl ester 247903-61-3P,
9-[(2,3-Difluorophenyl)methyl]-4-hydroxy-5-carbamoylcarbazole
247903-62-4P, [(9-[(2,3-Difluorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247903-65-7P,
9-[(2,6-Difluorophenyl)methyl]-4-hydroxy-5-carbamoylcarbazole
247903-66-8P, [(9-[(2,6-Difluorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247903-69-1P,
9-[(2,6-Dichlorophenyl)methyl]-4-hydroxy-5-carbamoylcarbazole
247903-70-4P, [(9-[(2,6-Dichlorophenyl)methyl]-5-carbamoylcarbazol-4-yl]oxy]acetic acid methyl ester 247903-75-9P,
9-[(2-Biphenyl)methyl]-4-hydroxy-5-carbamoylcarbazole
247903-76-0P, [(9-[(2-Biphenyl)methyl]-5-carbamoylcarbazol-4-

yl]oxy)acetic acid tert-butyl ester 247903-95-3P,
 9-Benzyl-5-carbamoyl-4-hydroxy-1-methylcarbazole 247903-97-5P,
 9-Benzyl-5-carbamoyl-4-hydroxy-1-fluorocarbazole 247904-02-5P,
 9-Benzyl-5-carbamoyl-4-methoxy-1-chlorocarbazole 247904-09-2P,
 4-[(2-Aminoethyl)oxyl]-9-benzyl-2-methoxycarbazole-5-carboxamide
 247904-15-0P, 5-Carbamoyl-4-hydroxy-9-(phenylmethyl)-2-(2-thienyl)carbazole 247904-16-1P,
 [(5-Carbamoyl-9-(phenylmethyl)-2-(2-thienyl)carbazol-4-yl]oxy]acetic acid
 methyl ester 247904-19-4P,
 5-Carbamoyl-4-hydroxy-9-(phenylmethyl)-2-[(prop-1-en-3-
 yl)oxy]methyl]carbazole 247904-20-7P,
 [(5-Carbamoyl-9-(phenylmethyl)-2-[(propyloxy)methyl]carbazol-4-
 yl]oxy]acetic acid methyl ester 321858-61-1P,
 [(5-Carbamoyl-9-(phenylmethyl)-2-(hydroxymethyl)carbazol-4-yl]oxy]acetic
 acid methyl ester 321859-15-8P,
 [(5-Carbamoyl-9-(phenylmethyl)-2-[(prop-1-en-3-yl)oxy]methyl]carbazol-4-
 yl]oxy]acetic acid methyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of substituted carbazoles and analogous
 tricyclics as secretory phospholipase A2 (sPLA2) inhibitors)

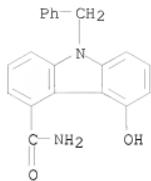
RN 207341-24-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5,7-dimethoxy-9-(phenylmethyl)- (CA INDEX
 NAME)



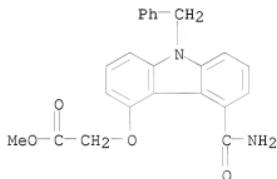
RN 207341-25-1 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-methoxy-9-(phenylmethyl)- (CA
 INDEX NAME)



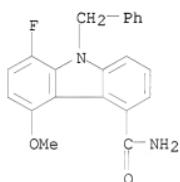
RN 246513-45-1 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)



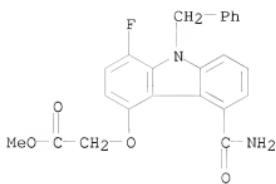
RN 246513-46-2 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



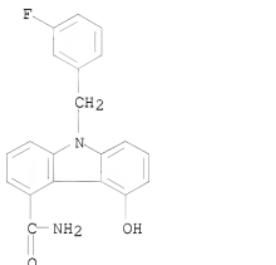
RN 246513-52-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 8-fluoro-5-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



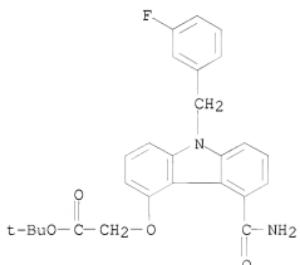
RN 246513-53-1 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



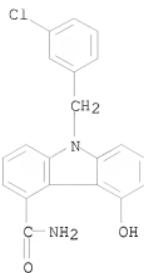
RN 246513-56-4 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 9-[(3-fluorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



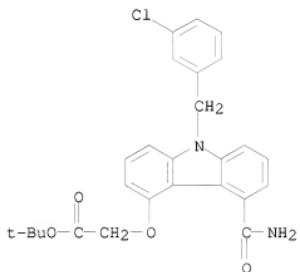
RN 246513-57-5 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yloxy)-, 1,1-dimethylethyl ester (CA INDEX NAME)



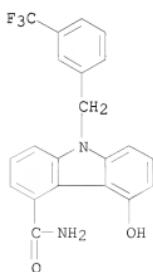
RN 246513-60-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 9-[(3-chlorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



RN 246513-61-1 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-chlorophenyl)methyl]-9H-carbazol-4-yl)oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

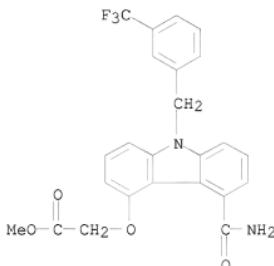


RN 246513-64-4 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(3-(trifluoromethyl)phenyl)methyl]- (CA INDEX NAME)



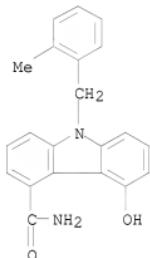
RN 246513-65-5 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



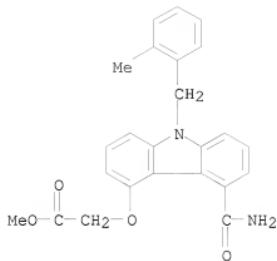
RN 246513-68-8 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(2-methylphenyl)methyl]- (CA INDEX NAME)

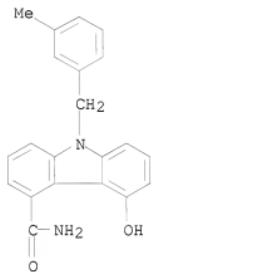


RN 246513-69-9 CAPLUS

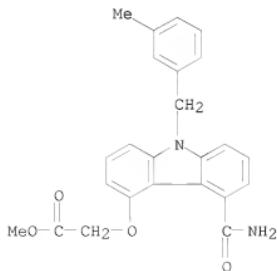
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



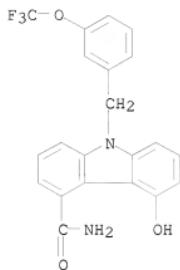
RN 246513-72-4 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(3-methylphenyl)methyl]- (CA INDEX NAME)



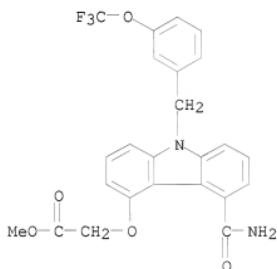
RN 246513-73-5 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



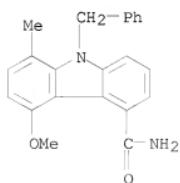
RN 246513-76-8 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[{[3-(trifluoromethoxy)phenyl]methyl}- (CA INDEX NAME)



RN 246513-77-9 CAPLUS
CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(3-(trifluoromethoxy)phenyl)methyl]-9H-carbazol-4-yloxy}-, methyl ester (CA INDEX NAME)

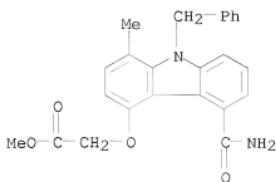


RN 246513-79-1 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-methoxy-8-methyl-9-(phenylmethyl)- (CA INDEX NAME)



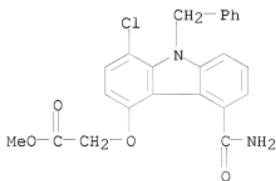
RN 246513-80-4 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



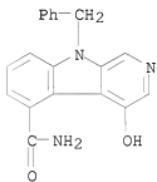
RN 246513-84-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



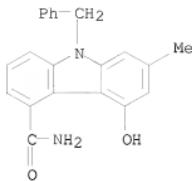
RN 246868-15-5 CAPLUS

CN 9H-Pyrido[3, 4-b]indole-5-carboxamide, 4-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)

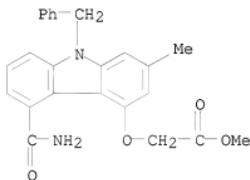


RN 247902-64-3 CAPLUS

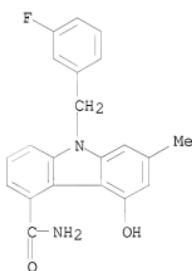
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-methyl-9-(phenylmethyl)- (CA INDEX NAME)



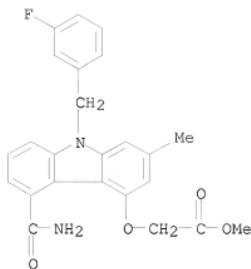
RN 247902-65-4 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



RN 247902-68-7 CAPLUS
CN 9H-Carbazole-4-carboxamide, 9-[(3-fluorophenyl)methyl]-5-hydroxy-7-methyl- (CA INDEX NAME)

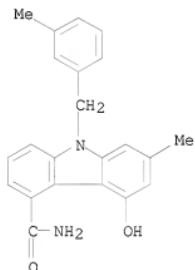


RN 247902-69-8 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-2-methyl-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



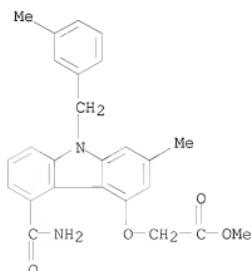
RN 247902-72-3 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-methyl-9-[(3-methylphenyl)methyl]-
(CA INDEX NAME)

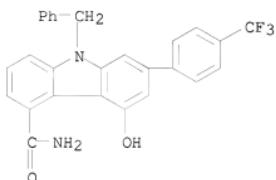


RN 247902-73-4 CAPLUS

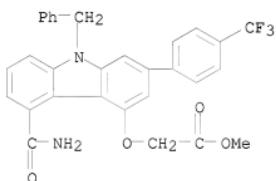
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-[(3-methylphenyl)methyl]-9H-
carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



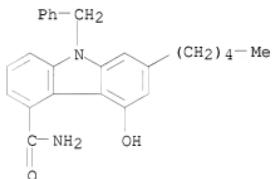
RN 247902-78-9 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(phenylmethyl)-7-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



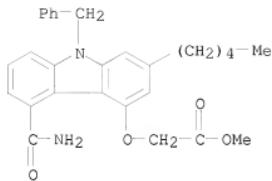
RN 247902-79-0 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[4-(trifluoromethyl)phenyl]-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



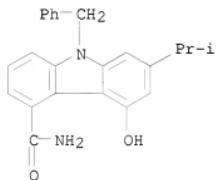
RN 247902-84-7 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-pentyl-9-(phenylmethyl)- (CA INDEX NAME)



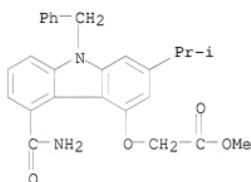
RN 247902-85-8 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



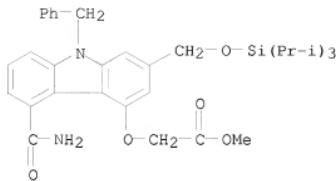
RN 247902-90-5 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-(1-methylethyl)-9-(phenylmethyl)-
 (CA INDEX NAME)



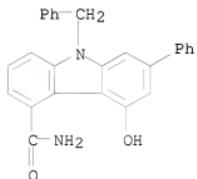
RN 247902-91-6 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-
 carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



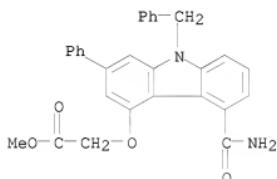
RN 247902-95-0 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[[tris(1-
 methylethyl)silyl]oxy]methyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA
 INDEX NAME)



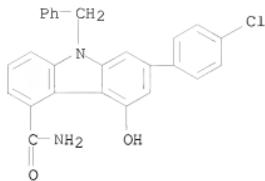
RN 247903-00-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-phenyl-9-(phenylmethyl)- (CA INDEX NAME)



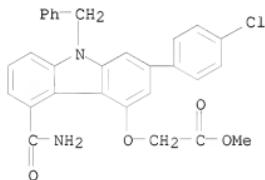
RN 247903-01-1 CAPLUS
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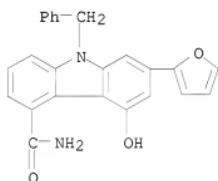
RN 247903-06-6 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 7-(4-chlorophenyl)-5-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)



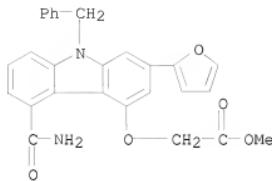
RN 247903-07-7 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(4-chlorophenyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



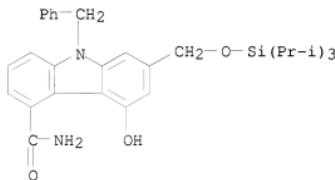
RN 247903-12-4 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 7-(2-furanyl)-5-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)



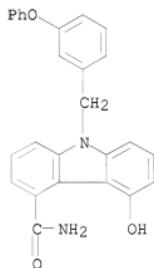
RN 247903-13-5 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



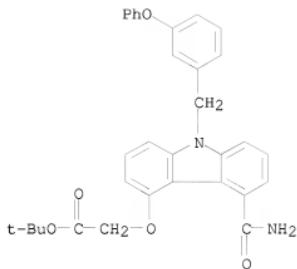
RN 247903-16-8 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(phenylmethyl)-7-[(tris(1-methylethyl)silyloxy)methyl]- (CA INDEX NAME)



RN 247903-20-4 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(3-phenoxyphenyl)methyl]- (CA INDEX NAME)

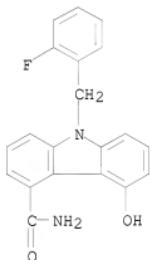


RN 247903-21-5 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl)oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



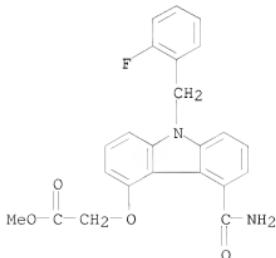
RN 247903-25-9 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-[(2-fluorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)

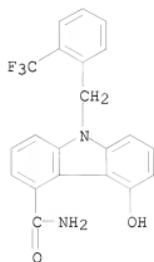


RN 247903-26-0 CAPLUS

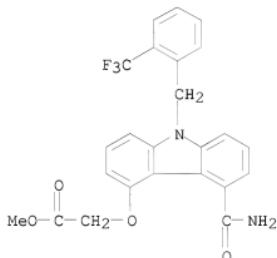
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



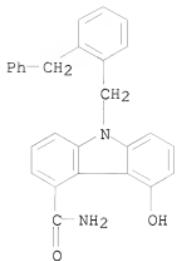
RN 247903-29-3 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(2-(trifluoromethyl)phenyl)methyl]- (CA INDEX NAME)



RN 247903-30-6 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)

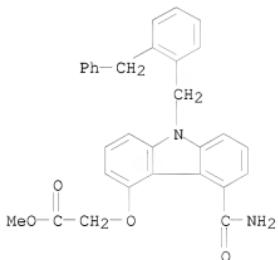


RN 247903-33-9 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(2-(phenylmethyl)phenyl)methyl]- (CA INDEX NAME)



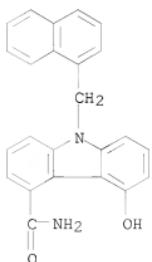
RN 247903-34-0 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-(phenylmethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)

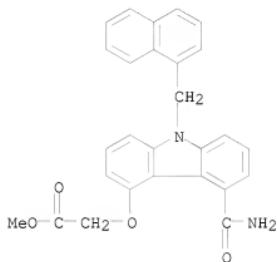


RN 247903-37-3 CAPLUS

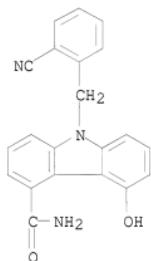
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(1-naphthalenylmethyl)- (CA INDEX NAME)



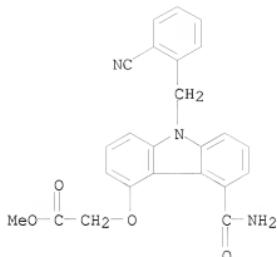
RN 247903-38-4 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy-, methyl ester (CA INDEX NAME)



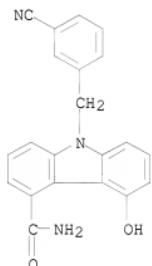
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CN 9H-Carbazole-4-carboxamide, 9-[(2-cyanophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



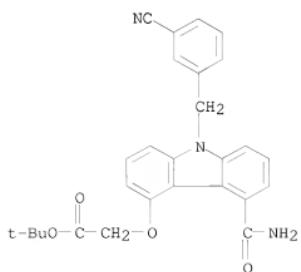
RN 247903-42-0 CAPLUS
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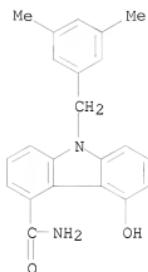
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CN 9H-Carbazole-4-carboxamide, 9-[(3-cyanophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



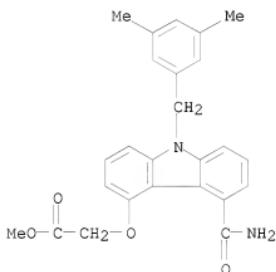
RN 247903-46-4 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



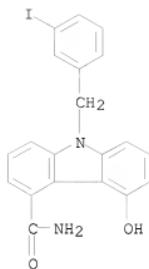
RN 247903-49-7 CAPLUS
CN 9H-Carbazole-4-carboxamide, 9-[(3,5-dimethylphenyl)methyl]-5-hydroxy- (CA INDEX NAME)



RN 247903-50-0 CAPLUS
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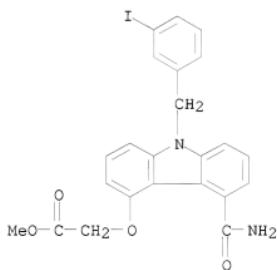


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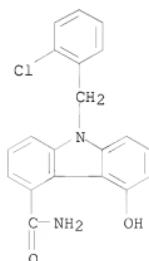
RN 247903-54-4 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)

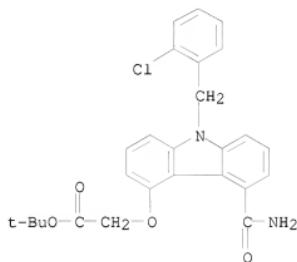


RN 247903-57-7 CAPLUS

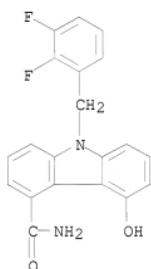
CN 9H-Carbazole-4-carboxamide, 9-[(2-chlorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



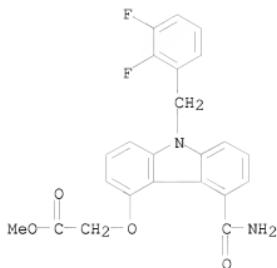
RN 247903-58-8 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy-, 1,1-dimethylethyl ester (CA INDEX NAME)



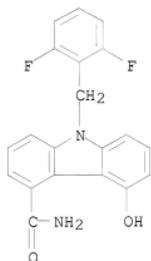
RN 247903-61-3 CAPLUS
CN 9H-Carbazole-4-carboxamide, 9-[(2,3-difluorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



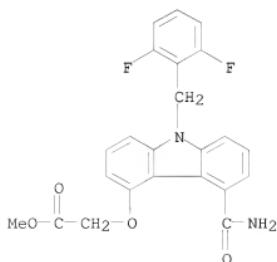
RN 247903-62-4 CAPLUS
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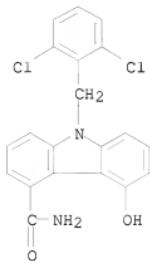
RN 247903-65-7 CAPLUS
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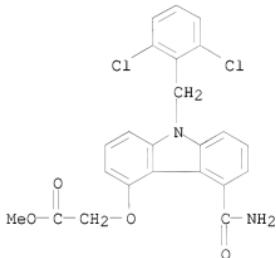
RN 247903-66-8 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



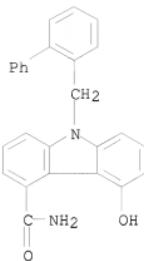
RN 247903-69-1 CAPLUS
CN 9H-Carbazole-4-carboxamide, 9-[(2,6-dichlorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



RN 247903-70-4 CAPLUS
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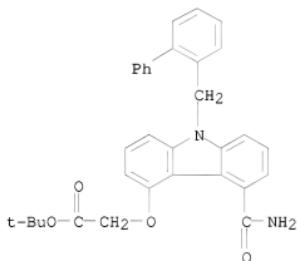


RN 247903-75-9 CAPLUS
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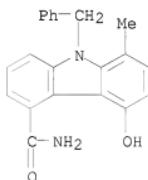
RN 247903-76-0 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-((1,1'-biphenyl)-2-ylmethyl)-9H-carbazol-4-yl)oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



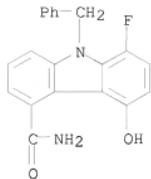
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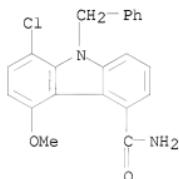


RN 247903-97-5 CAPLUS

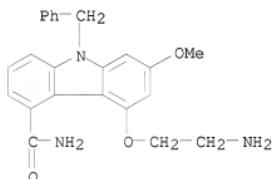
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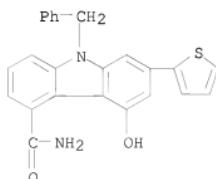
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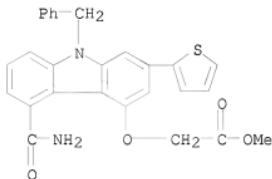
RN 247904-09-2 CAPLUS
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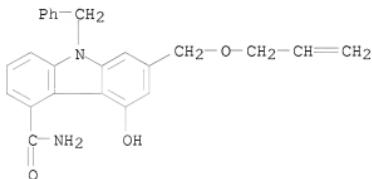
RN 247904-15-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(phenylmethyl)-7-(2-thienyl)- (CA INDEX NAME)



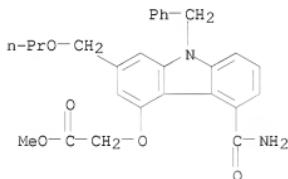
RN 247904-16-1 CAPLUS
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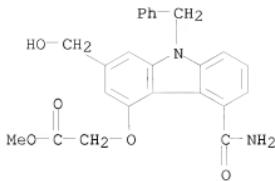
RN 247904-19-4 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(phenylmethyl)-7-[(2-propen-1-yloxy)methyl]- (CA INDEX NAME)



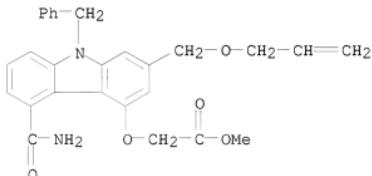
RN 247904-20-7 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-(propoxymethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



RN 321858-61-1 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(hydroxymethyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



RN 321859-15-8 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[(2-propen-1-yloxy)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)
 REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 39 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2000:441578 CAPLUS
 DOCUMENT NUMBER: 133:53700
 TITLE: Combination therapy for the treatment of sepsis with activated protein C and a secretory phospholipase A2 (sPLA2) inhibitor
 INVENTOR(S): Maciak, Ronald Steven
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 279 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2000037022 | A2 | 20000629 | WO 1999-US30433 | 19991220 |
| WO 2000037022 | A3 | 20020613 | | |
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CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |

| | | | | |
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| CA 2358492 | A1 | 20000629 | CA 1999-2358492 | 19991220 |
| AU 2000019408 | A | 20000712 | AU 2000-19408 | 19991220 |
| EP 1214041 | A2 | 20020619 | EP 1999-963109 | 19991220 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI, CY | | | | |
| JP 2002542148 | T | 20021210 | JP 2000-589136 | 19991220 |
| PRIORITY APPLN. INFO.: US 1998-113124P P 19981221 | | | | |
| | | | WO 1999-US30433 W 19991220 | |

OTHER SOURCE(S): MARPAT 133:53700

AB The invention provides a method of prevention and treatment for sepsis for mammals. The treatment is a combination therapy of activated protein C and an SPLA2 inhibitor.

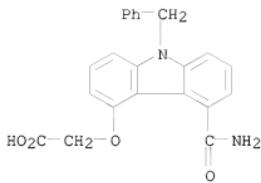
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

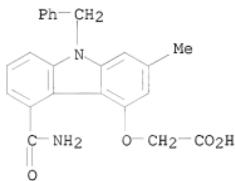
(activated protein C-secretory phospholipase A2 inhibitor combination for sepsis treatment)

RN 207340-86-1 CAPLUS

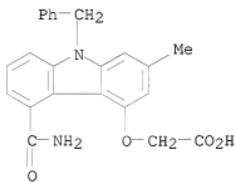
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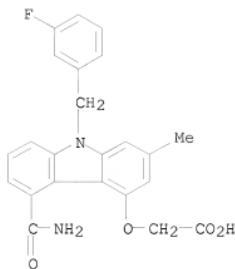
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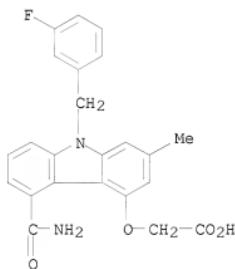


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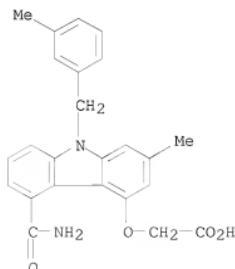
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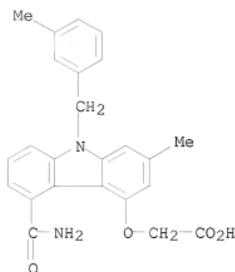


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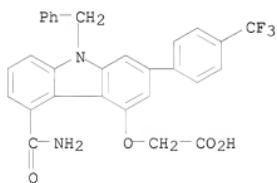
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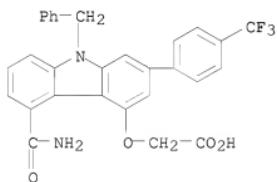
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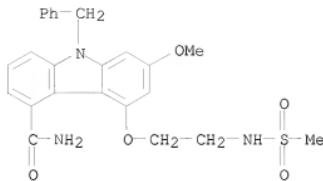
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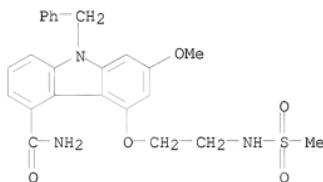
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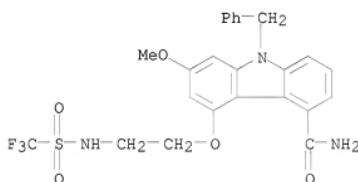
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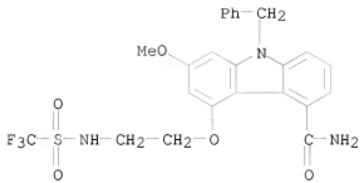
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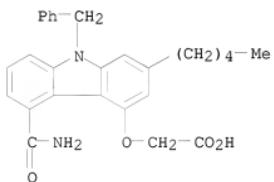
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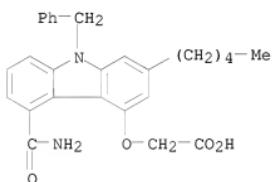
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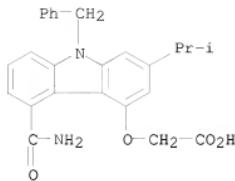
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 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



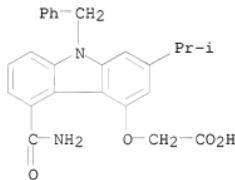
RN 220862-30-6 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



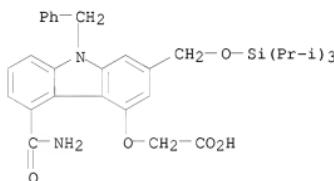
RN 220862-31-7 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



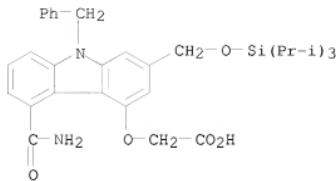
RN 220862-31-7 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-32-8 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[(tris(1-methylethyl)silyl]oxy)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

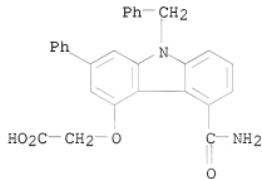


RN 220862-32-8 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[(tris(1-methylethyl)silyl]oxy)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



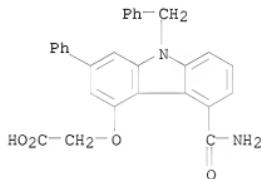
RN 220862-33-9 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



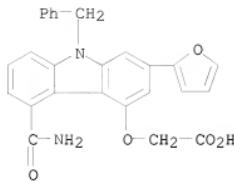
RN 220862-33-9 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

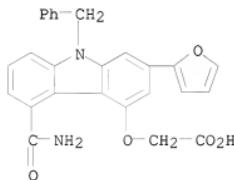


RN 220862-34-0 CAPLUS

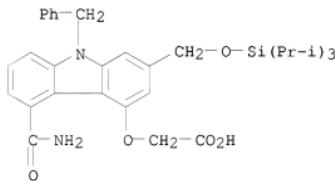
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-34-0 CAPLUS
 CN Acetic acid, 2-[{5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)

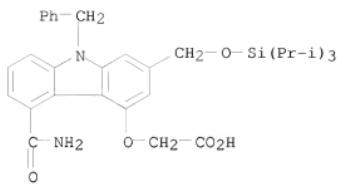


RN 220862-35-1 CAPLUS
 CN Acetic acid, 2-[{5-(aminocarbonyl)-9-(phenylmethyl)-2-[[tris(1-methylethyl)silyl]oxy]methyl}-9H-carbazol-4-yl]oxy]-, lithium salt (1:1) (CA INDEX NAME)



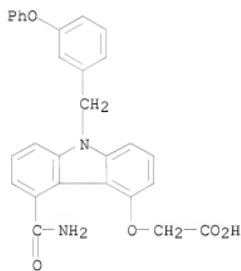
● Li

RN 220862-35-1 CAPLUS
 CN Acetic acid, 2-[{5-(aminocarbonyl)-9-(phenylmethyl)-2-[[tris(1-methylethyl)silyl]oxy]methyl}-9H-carbazol-4-yl]oxy]-, lithium salt (1:1) (CA INDEX NAME)

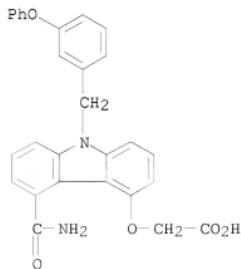


● Li

RN 220862-37-3 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

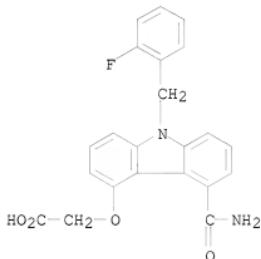


RN 220862-37-3 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



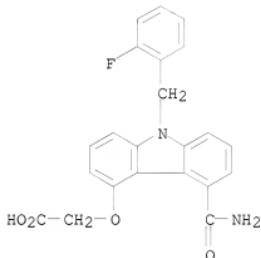
RN 220862-38-4 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



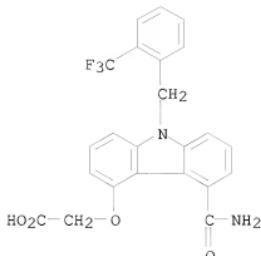
RN 220862-38-4 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



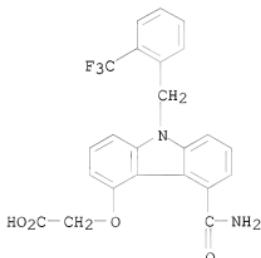
RN 220862-39-5 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



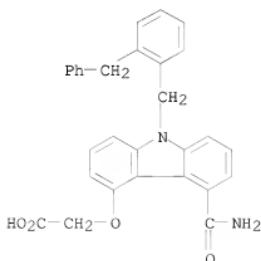
RN 220862-39-5 CAPLUS

CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(2-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)

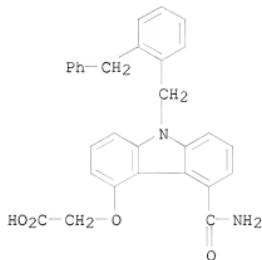


RN 220862-40-8 CAPLUS

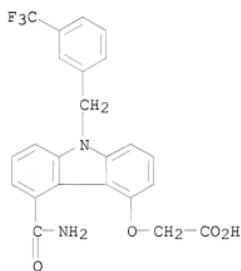
CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(2-(phenylmethyl)phenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)



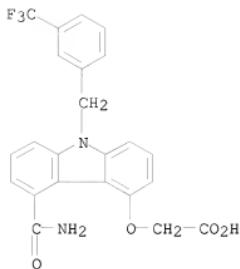
RN 220862-40-8 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-(phenylmethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



RN 220862-41-9 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)

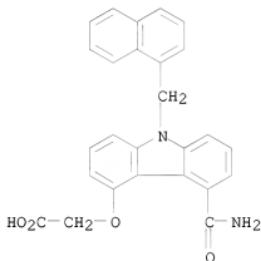


RN 220862-41-9 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



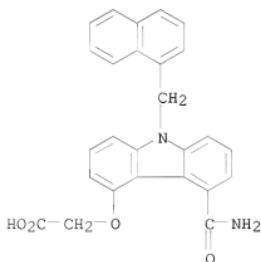
RN 220862-42-0 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

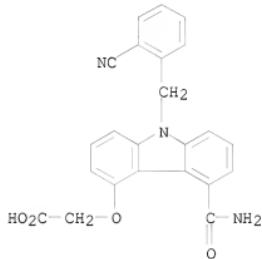


RN 220862-42-0 CAPLUS

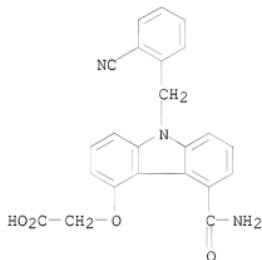
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



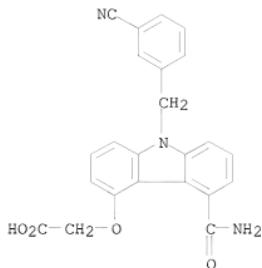
RN 220862-43-1 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yloxy]- (CA INDEX NAME)



RN 220862-43-1 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yloxy]- (CA INDEX NAME)

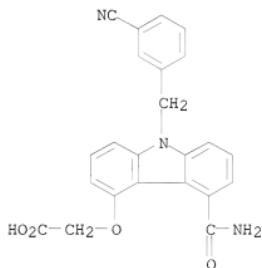


RN 220862-44-2 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-cyanophenyl)methyl]-9H-carbazol-4-yloxy]- (CA INDEX NAME)



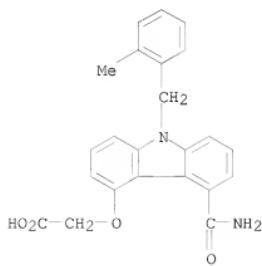
RN 220862-44-2 CAPLUS

CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(3-cyanophenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)

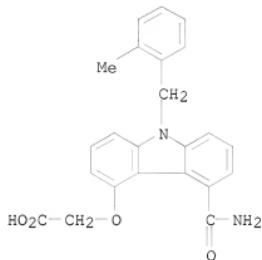


RN 220862-45-3 CAPLUS

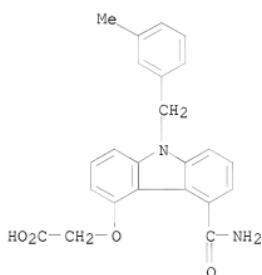
CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)



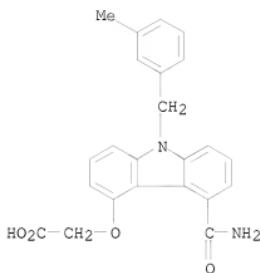
RN 220862-45-3 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



RN 220862-46-4 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)

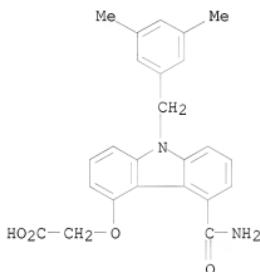


RN 220862-46-4 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



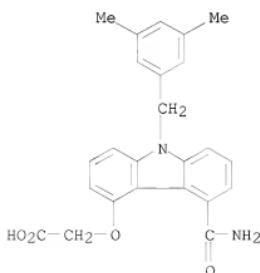
RN 220862-47-5 CAPLUS

CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)

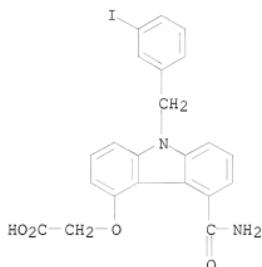


RN 220862-47-5 CAPLUS

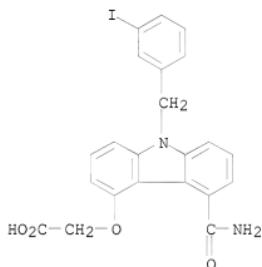
CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)



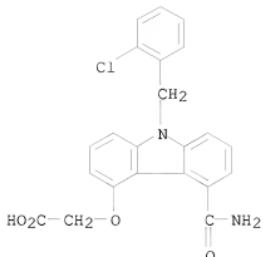
RN 220862-48-6 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



RN 220862-48-6 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)

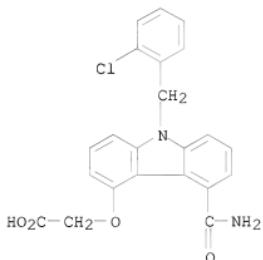


RN 220862-49-7 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



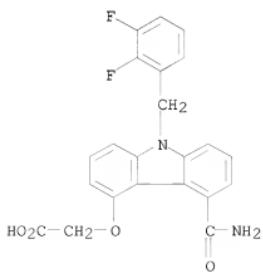
RN 220862-49-7 CAPLUS

CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)

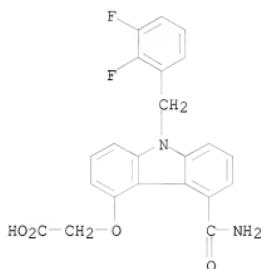


RN 220862-50-0 CAPLUS

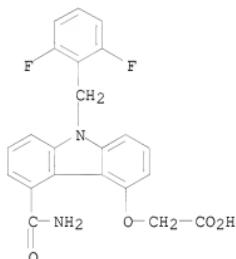
CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)



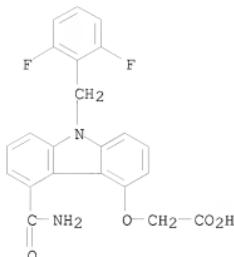
RN 220862-50-0 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 220862-51-1 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)

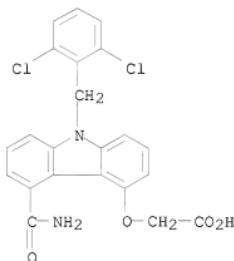


RN 220862-51-1 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



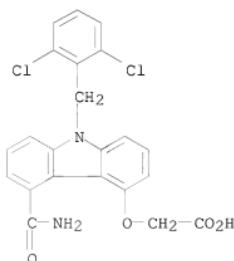
RN 220862-53-3 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,6-dichlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

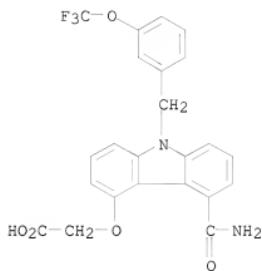


RN 220862-53-3 CAPLUS

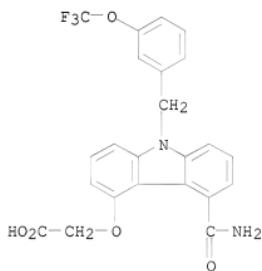
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,6-dichlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



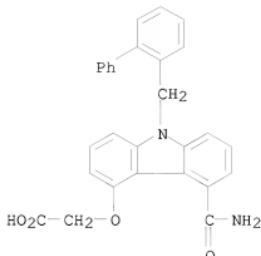
RN 220862-54-4 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[[3-(trifluoromethoxy)phenyl]methyl]-
9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-54-4 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[[3-(trifluoromethoxy)phenyl]methyl]-
9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

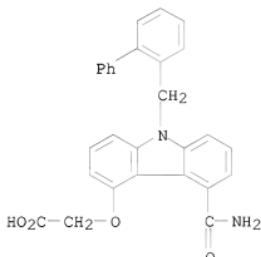


RN 220862-55-5 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-((1,1'-biphenyl)-2-ylmethyl)-9H-
carbazol-4-yl]oxy]- (CA INDEX NAME)



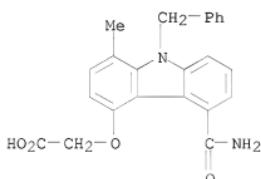
RN 220862-55-5 CAPLUS

CN Acetic acid, 2-[5-(aminocarbonyl)-9-((1,1'-biphenyl)-2-ylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



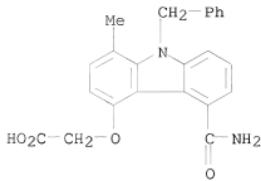
RN 220862-59-9 CAPLUS

CN Acetic acid, 2-[5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



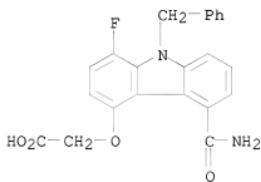
RN 220862-59-9 CAPLUS

CN Acetic acid, 2-[5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



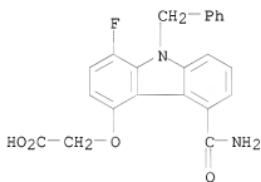
RN 220862-61-3 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yloxy]- (CA INDEX NAME)



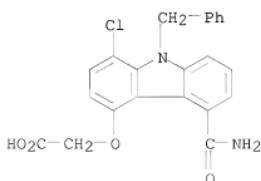
RN 220862-61-3 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yloxy]- (CA INDEX NAME)

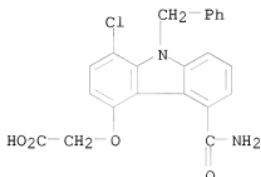


RN 220862-63-5 CAPLUS

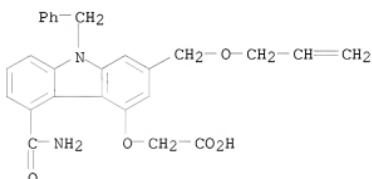
CN Acetic acid, 2-[(5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yloxy]- (CA INDEX NAME)



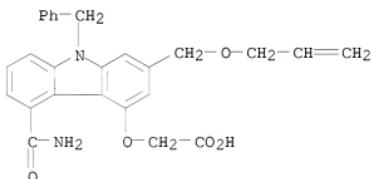
RN 220862-63-5 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



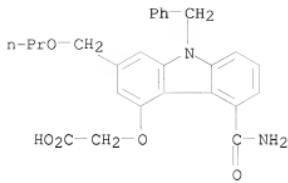
RN 220862-66-8 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[(2-propen-1-yloxy)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



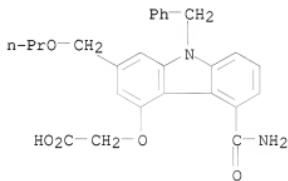
RN 220862-66-8 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[(2-propen-1-yloxy)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



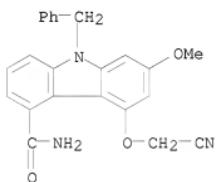
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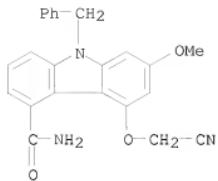
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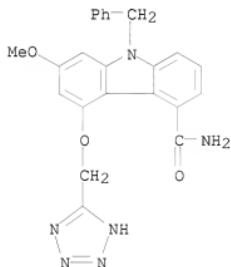
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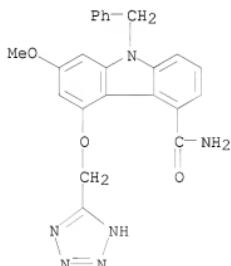
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CN 9H-Carbazole-4-carboxamide, 5-(cyanomethoxy)-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



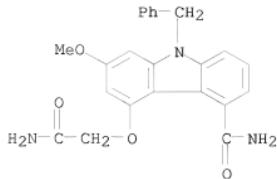
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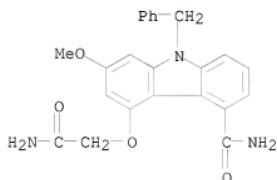
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 CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-(2H-tetrazol-5-ylmethoxy)- (CA INDEX NAME)



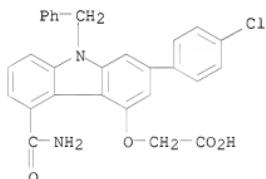
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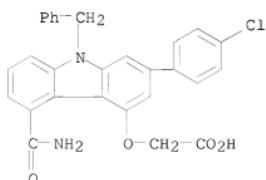
RN 220862-76-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-(2-amino-2-oxoethoxy)-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



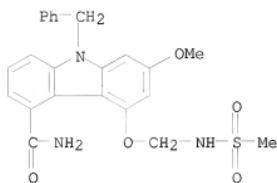
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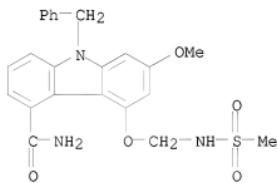
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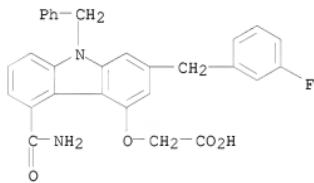
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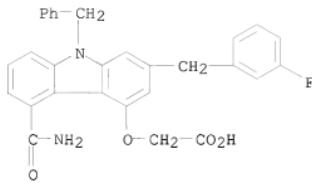
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CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[(methylsulfonyl)amino]methoxy]-9-(phenylmethyl)- (CA INDEX NAME)



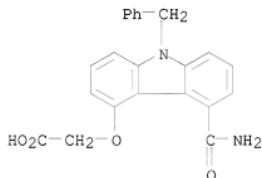
RN 278171-82-7 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-[(3-fluorophenyl)methyl]-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 278171-82-7 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-[(3-fluorophenyl)methyl]-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



IT 207340-86-1
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (phospholipase A2 inhibitor combination for sepsis treatment)
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 (CA INDEX NAME)

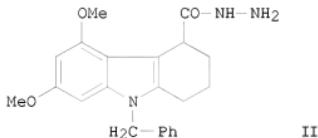
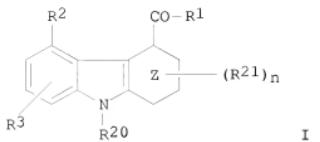


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
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 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 40 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 1999:690826 CAPLUS
 DOCUMENT NUMBER: 131:310547
 TITLE: Preparation of substituted carbazoles for use as
 secretory phospholipase A2 (sPLA2) inhibitors
 INVENTOR(S): Anderson, Benjamin Alan; Bach, Nicholas James;
 Bastian, Jolie Anne; Harn, Nancy Kay; Harper, Richard
 Waltz; Hite, Gary Alan; Kinnick, Michael Dean; Lin,
 Ho-sheng; Loncharich, Richard James; McGill, John
 Mcneill; Mihelich, Edward David; Morin, John Michael,
 Jr.; Phillips, Michael Leroy; Richett, Michael Enrico;
 Sall, Daniel Jon; Sawyer, Jason Scott; Schevitz,
 Richard Walter; Vasileff, Robert Theodore
 PATENT ASSIGNEE(S): Eli Lilly and Co., USA
 SOURCE: Eur. Pat. Appl., 244 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| EP 952149 | A2 | 19991027 | EP 1999-302941 | 19990416 |
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| EP 952149 | A3 | 20010816 | | |
| EP 952149 | B1 | 20040609 | | |
| R: AT, BE, CH,
IE, SI, LT, | DE, DK,
LV, FI, RO | | | |
| CA 2269246 | A1 | 19991017 | CA 1999-2269246 | 19990416 |
| CA 2269246 | C | 20090825 | | |
| CA 2269262 | A1 | 19991017 | CA 1999-2269262 | 19990416 |
| NO 9901821 | A | 19991018 | NO 1999-1821 | 19990416 |
| NO 314400 | B1 | 20030317 | | |
| NO 9901822 | A | 19991018 | NO 1999-1822 | 19990416 |
| NO 312240 | B1 | 20020415 | | |
| AU 9923817 | A | 19991028 | AU 1999-23817 | 19990416 |
| AU 753436 | B2 | 20021017 | | |
| AU 9923819 | A | 19991028 | AU 1999-23819 | 19990416 |
| AU 753547 | B2 | 20021024 | | |
| TR 9900853 | A3 | 19991122 | TR 1999-853 | 19990416 |
| JP 11322713 | A | 19991124 | JP 1999-109629 | 19990416 |
| CN 1240210 | A | 20000105 | CN 1999-107687 | 19990416 |
| JP 2000026416 | A | 20000125 | JP 1999-152400 | 19990416 |
| JP 4435330 | B2 | 20100317 | | |
| TR 9900843 | A2 | 20000221 | TR 1999-843 | 19990416 |
| BR 9901279 | A | 20000502 | BR 1999-1279 | 19990416 |
| CN 1253948 | A | 20000524 | CN 1999-107957 | 19990416 |
| CN 1149193 | C | 20040512 | | |
| MX 9903587 | A | 20000731 | MX 1999-3587 | 19990416 |
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| NZ 335251 | A | 20001124 | NZ 1999-335251 | 19990416 |
| NZ 335253 | A | 20001124 | NZ 1999-335253 | 19990416 |
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| SG 81976 | A1 | 20010724 | SG 1999-1681 | 19990416 |
| SG 81977 | A1 | 20010724 | SG 1999-1869 | 19990416 |
| HU 99011220 | A3 | 20011128 | HU 1999-1220 | 19990416 |
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| ZA 9902771 | A | 20020418 | ZA 1999-2771 | 19990416 |
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| NZ 507564 | A | 20021025 | NZ 1999-507564 | 19990416 |
| NZ 518027 | A | 20030429 | NZ 1999-518027 | 19990416 |
| AT 268756 | T | 20040615 | AT 1999-302941 | 19990416 |
| AT 271037 | T | 20040715 | AT 1999-302967 | 19990416 |
| PT 950657 | E | 20041130 | PT 1999-302967 | 19990416 |
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| ES 2226286 | T3 | 20050316 | ES 1999-302967 | 19990416 |
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| IN 1999CA00346 | A | 20051202 | IN 1999-CA346 | 19990416 |
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| PRIORITY APPLN. INFO.: | | | US 1998-62328 | A 19980417 |
| OTHER SOURCE(S): | MARPAT | 131:310547 | NZ 1999-507564 | A1 19990416 |
| GI | | | | |



AB Substituted carbazoles (I) [where Z = cyclohexenyl or Ph; R1 = NHH2, NH2, or CONH2; R2 = OH or (un)substituted alkoxy; R3 = non-interfering substituent or (un)substituted carbocyclic or heterocyclic; R21 = non-interfering substituent; n = 1-3] were prepared as inhibitors of human non-pancreatic secretory phospholipase A2 (sPLA2) for treatment of septic shock and other sPLA2 related diseases. For instance, a solution of 3,5-dimethoxyaniline and benzaldehyde in MeOH was cooled and treated with Na cyanoborohydride to form N-benzyl-3,5-dimethoxyaniline. The aniline was coupled with 2-carbethoxy-6-bromocyclohexanone in benzene and the residue treated with ZnCl2, followed by refluxing with hydrazine hydrate for 5 days to yield the carbazole (II). The claimed tricyclics suppress sPLA2 mediated release of fatty acids, thereby inhibiting the arachidonic acid cascade. Compds. of the invention were found to be effective inhibitors at concns. of < 100 μ M in an sPLA2 chromogenic assay, to suppress contractile response in dorsal pleural strips from male guinea pigs at concns. of < 20 μ M, and to be effective in reducing PLA2 catalytic activity in the serum of transgenic mice (no data).

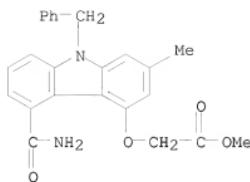
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of substituted carbazoles for use as sPLA2 inhibitors)

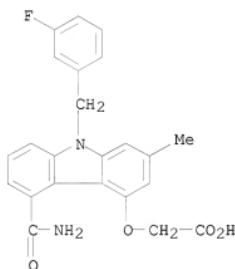
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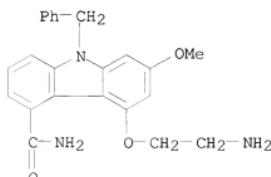
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RN 247904-07-0 CAPLUS
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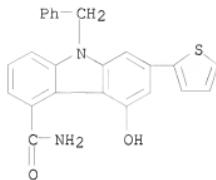
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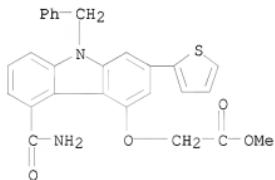


RN 247904-15-0 CAPLUS
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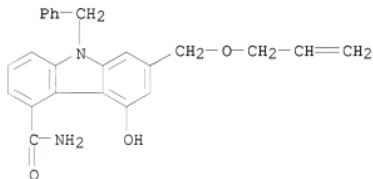
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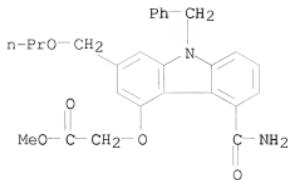
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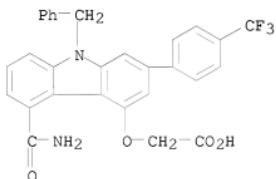
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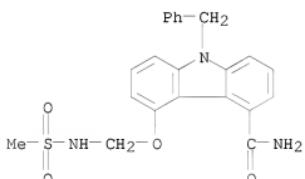
RN 247904-20-7 CAPLUS
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IT 220862-24-8P 220862-29-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of substituted carbazoles for use as sPLA₂ inhibitors)
 RN 220862-24-8 CAPLUS
 CN Acetic acid, 2-[{5-(aminocarbonyl)-9-(phenylmethyl)-2-[4-(trifluoromethyl)phenyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)



RN 220862-29-3 CAPLUS
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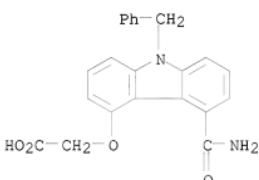
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| | 246513-76-8P | 246513-77-9P | 246513-80-4P |
| | 247902-64-3P | 247902-65-4P | 247902-68-7P |
| | 247902-69-8P | 247902-72-3P | 247902-73-4P |
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247903-30-6P 247903-33-9P 247903-34-0P
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 247903-75-9P 247903-76-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (target compound; preparation of substituted carbazoles for use as sPLA₂ inhibitors)

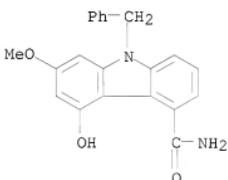
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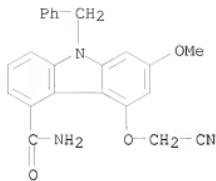
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CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)

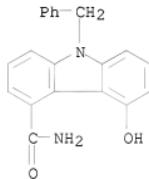


RN 220862-72-6 CAPLUS

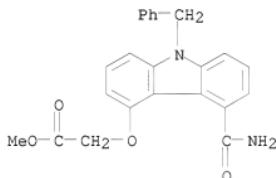
CN 9H-Carbazole-4-carboxamide, 5-(cyanomethoxy)-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



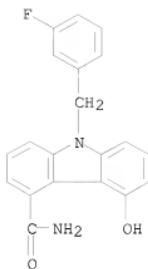
RN 246513-45-1 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)



RN 246513-46-2 CAPLUS
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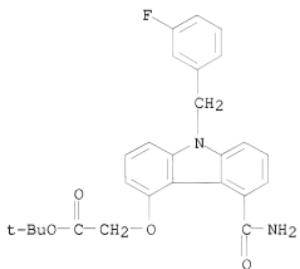


RN 246513-56-4 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 9-[(3-fluorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



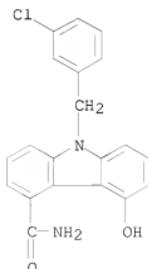
RN 246513-57-5 CAPLUS

CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl}oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

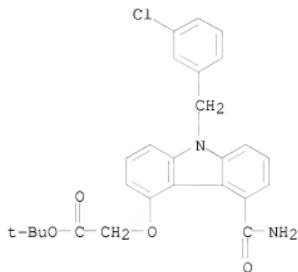


RN 246513-60-0 CAPLUS

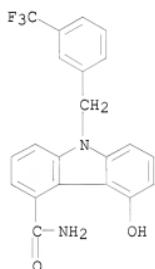
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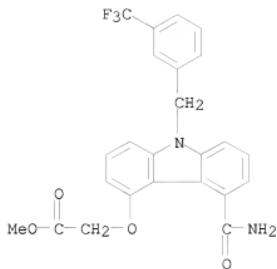
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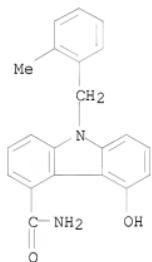
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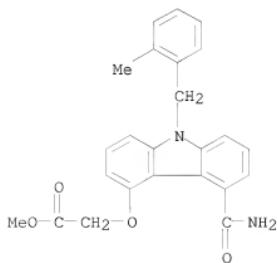
RN 246513-65-5 CAPLUS
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



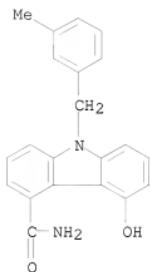
RN 246513-68-8 CAPLUS
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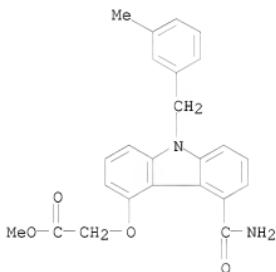
RN 246513-69-9 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



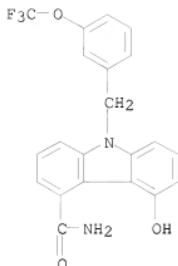
RN 246513-72-4 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(3-methylphenyl)methyl]- (CA INDEX NAME)



RN 246513-73-5 CAPLUS
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)

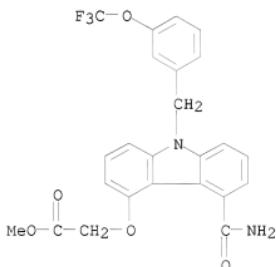


RN 246513-76-8 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(3-trifluoromethoxyphenyl)methyl]- (CA INDEX NAME)



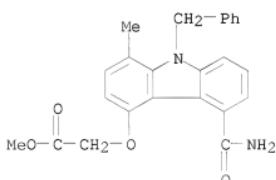
RN 246513-77-9 CAPLUS

CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(3-(trifluoromethoxy)phenyl)methyl]-9H-carbazol-4-yl}oxy]-, methyl ester (CA INDEX NAME)



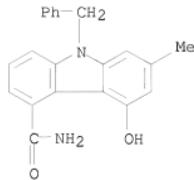
RN 246513-80-4 CAPLUS

CN Acetic acid, 2-[{5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl}oxy]-, methyl ester (CA INDEX NAME)



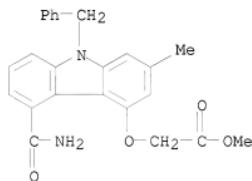
RN 247902-64-3 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-methyl-9-(phenylmethyl)- (CA INDEX NAME)



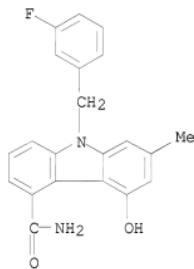
RN 247902-65-4 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



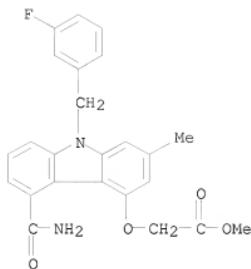
RN 247902-68-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-[(3-fluorophenyl)methyl]-5-hydroxy-7-methyl- (CA INDEX NAME)



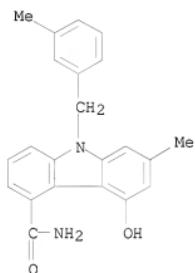
RN 247902-69-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-2-methyl-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



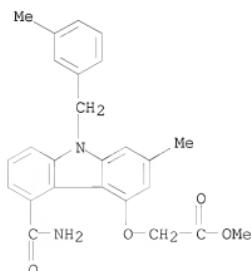
RN 247902-72-3 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-methyl-9-[(3-methylphenyl)methyl]-
(CA INDEX NAME)

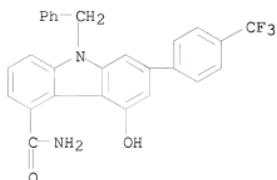


RN 247902-73-4 CAPLUS

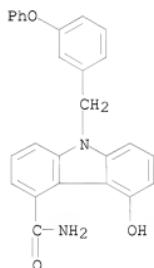
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-[(3-methylphenyl)methyl]-9H-
carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



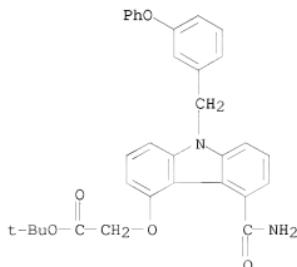
RN 247902-78-9 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(phenylmethyl)-7-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 247903-20-4 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(3-phenoxyphenyl)methyl]- (CA INDEX NAME)

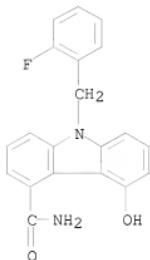


RN 247903-21-5 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl)oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



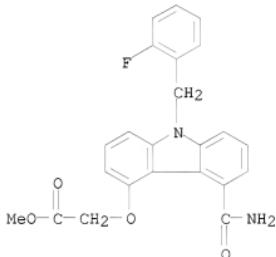
RN 247903-25-9 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-[(2-fluorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



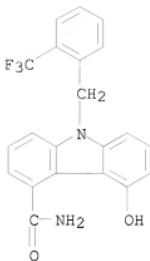
RN 247903-26-0 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



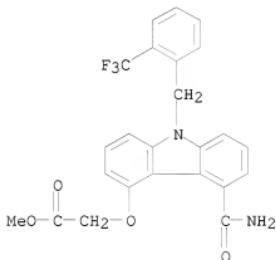
RN 247903-29-3 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(2-(trifluoromethyl)phenyl)methyl]- (CA INDEX NAME)



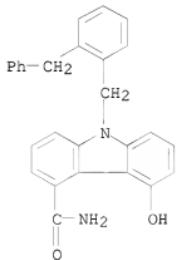
RN 247903-30-6 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)

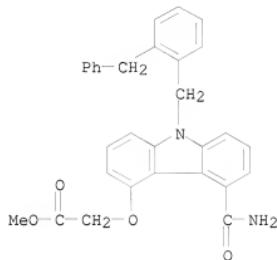


RN 247903-33-9 CAPLUS

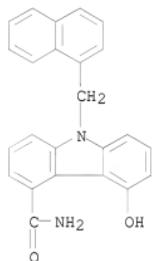
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(2-(phenylmethyl)phenyl)methyl]- (CA INDEX NAME)



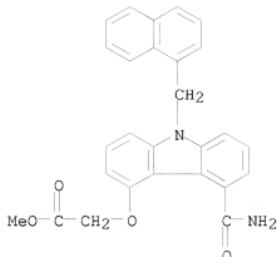
RN 247903-34-0 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-(phenylmethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy-, methyl ester (CA INDEX NAME)



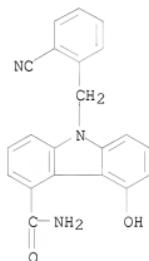
RN 247903-37-3 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-(1-naphthalenylmethyl)- (CA INDEX NAME)



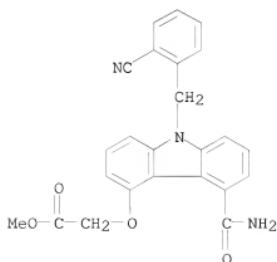
RN 247903-38-4 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy-, methyl ester (CA INDEX NAME)



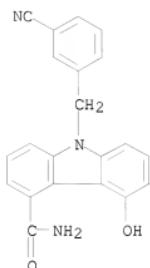
RN 247903-41-9 CAPLUS
CN 9H-Carbazole-4-carboxamide, 9-[(2-cyanophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



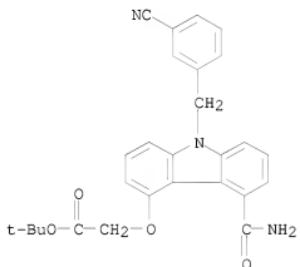
RN 247903-42-0 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



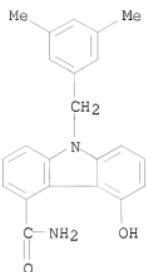
RN 247903-45-3 CAPLUS
CN 9H-Carbazole-4-carboxamide, 9-[(3-cyanophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



RN 247903-46-4 CAPLUS
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

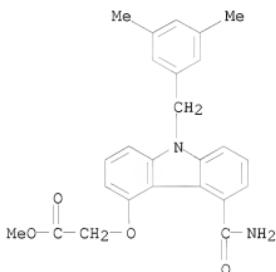


RN 247903-49-7 CAPLUS
CN 9H-Carbazole-4-carboxamide, 9-[(3,5-dimethylphenyl)methyl]-5-hydroxy- (CA INDEX NAME)



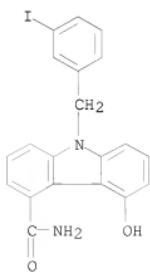
RN 247903-50-0 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)

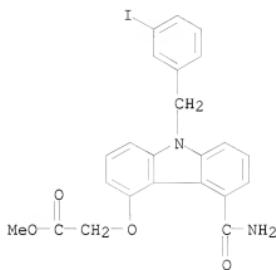


RN 247903-53-3 CAPLUS

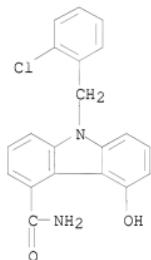
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(3-iodophenyl)methyl]- (CA INDEX NAME)



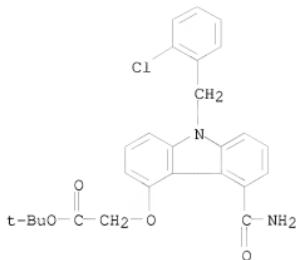
RN 247903-54-4 CAPLUS
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



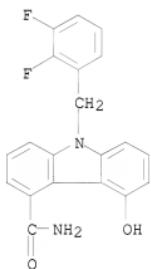
RN 247903-57-7 CAPLUS
CN 9H-Carbazole-4-carboxamide, 9-[(2-chlorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



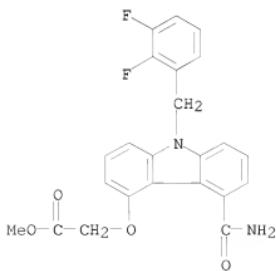
RN 247903-58-8 CAPLUS
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



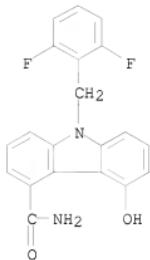
RN 247903-61-3 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 9-[(2,3-difluorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



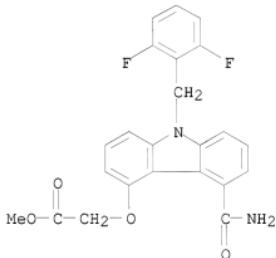
RN 247903-62-4 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



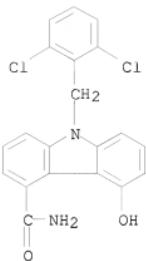
RN 247903-65-7 CAPLUS
CN 9H-Carbazole-4-carboxamide, 9-[(2,6-difluorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



RN 247903-66-8 CAPLUS
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)

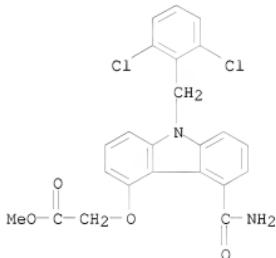


RN 247903-69-1 CAPLUS
CN 9H-Carbazole-4-carboxamide, 9-[(2,6-dichlorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



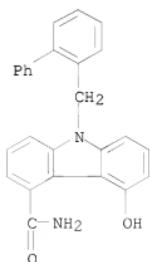
RN 247903-70-4 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,6-dichlorophenyl)methyl]-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



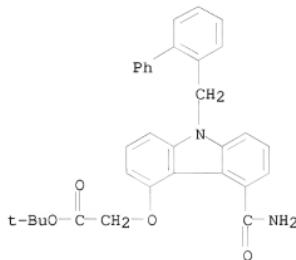
RN 247903-75-9 CAPLUS

CN 9H-Carbazole-4-carboxamide, 9-((1,1'-biphenyl)-2-ylmethyl)-5-hydroxy- (CA INDEX NAME)

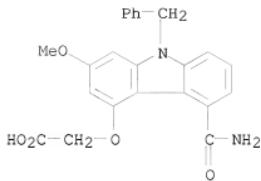


RN 247903-76-0 CAPLUS

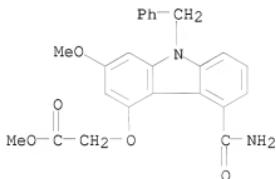
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-((1,1'-biphenyl)-2-ylmethyl)-9H-carbazol-4-yl)oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



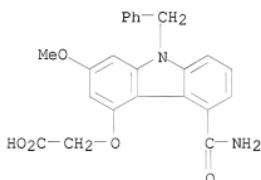
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| | 220862-23-7P | 220862-26-0P | 220862-27-1P |
| | 220862-30-6P | 220862-31-7P | 220862-32-8P |
| | 220862-33-9P | 220862-34-0P | 220862-35-1P |
| | 220862-36-2P | 220862-37-3P | 220862-38-4P |
| | 220862-39-5P | 220862-40-8P | 220862-41-9P |
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| | 247902-84-7P | 247902-85-8P | 247902-90-5P |
| | 247902-91-6P | 247902-95-0P | 247903-00-0P |
| | 247903-01-1P | 247903-06-6P | 247903-07-7P |
| | 247903-12-4P | 247903-13-5P | 247903-16-8P |
| | 247903-77-1P | 247903-95-3P | 247903-97-5P |
| | 247904-02-5P | 247904-05-8P | |
| | | | RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of substituted carbazoles for use as sPLA2 inhibitors) |
| RN | 207340-74-7 CAPLUS | | |
| CN | Acetic acid, 2-[(5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME) | | |



RN 207340-75-8 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)

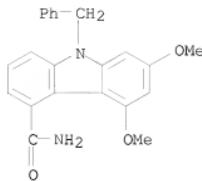


RN 207340-76-9 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)

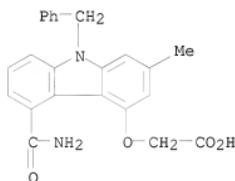


● Na

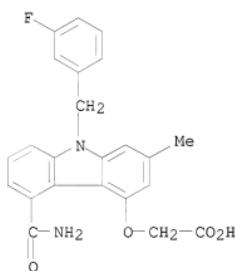
RN 207341-24-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5,7-dimethoxy-9-(phenylmethyl)- (CA INDEX NAME)



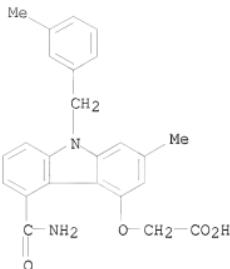
RN 220862-21-5 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 220862-22-6 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-2-methyl-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)

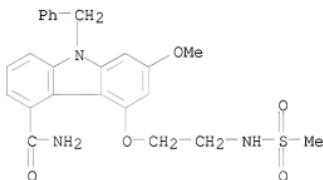


RN 220862-23-7 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



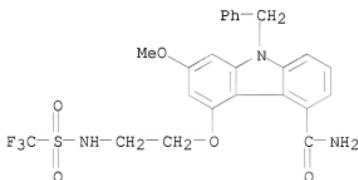
RN 220862-26-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[2-(methylsulfonyl)amino]ethoxy-9-(phenylmethyl)- (CA INDEX NAME)



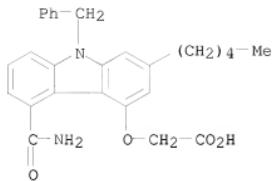
RN 220862-27-1 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-[2-[(trifluoromethyl)sulfonyl]amino]ethoxy- (CA INDEX NAME)

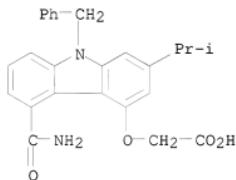


RN 220862-30-6 CAPLUS

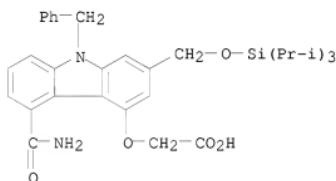
CN Acetic acid, 2-[(5-(aminocarbonyl)-2-pentyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



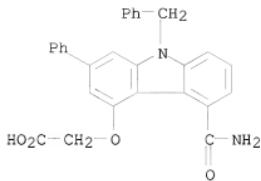
RN 220862-31-7 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



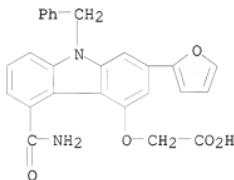
RN 220862-32-8 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



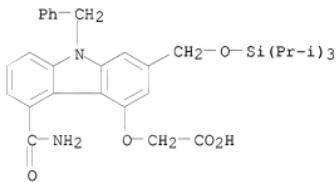
RN 220862-33-9 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-34-0 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)

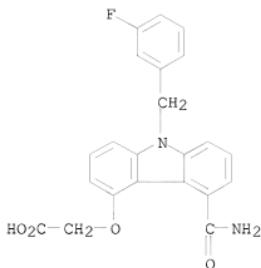


RN 220862-35-1 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[(tris(1-methylethyl)silyl)oxy]methyl]-9H-carbazol-4-yl)oxy]-, lithium salt (1:1) (CA INDEX NAME)

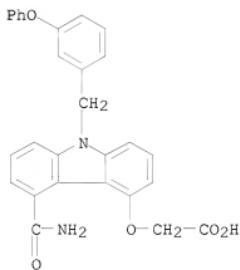


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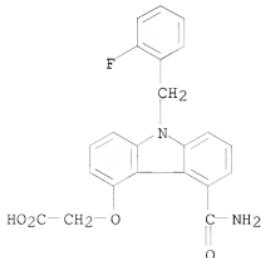
RN 220862-36-2 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



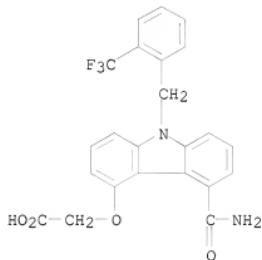
RN 220862-37-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



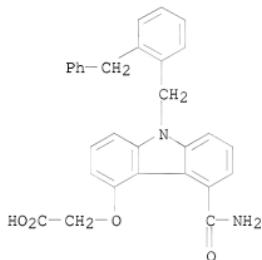
RN 220862-38-4 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



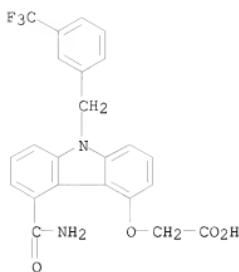
RN 220862-39-5 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-(trifluoromethyl)phenyl)methyl]-
9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-40-8 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-(phenylmethyl)phenyl)methyl]-9H-
carbazol-4-yl]oxy]- (CA INDEX NAME)

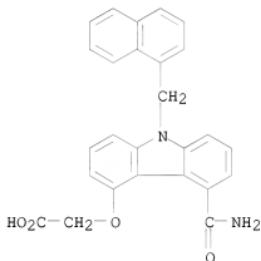


RN 220862-41-9 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-(trifluoromethyl)phenyl)methyl]-
9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



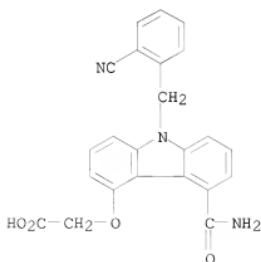
RN 220862-42-0 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

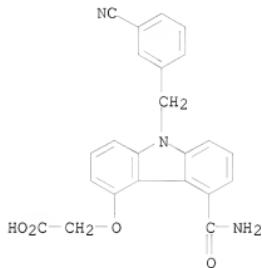


RN 220862-43-1 CAPLUS

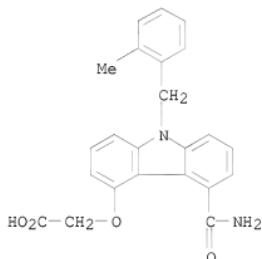
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



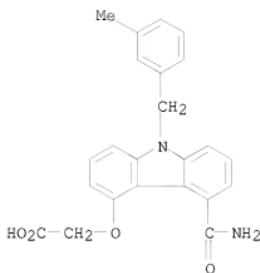
RN 220862-44-2 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-cyanophenyl)methyl]-9H-carbazol-4-yloxy]- (CA INDEX NAME)



RN 220862-45-3 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yloxy]- (CA INDEX NAME)

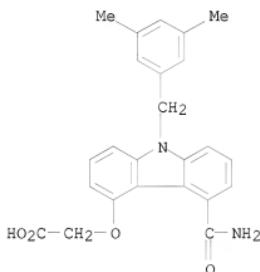


RN 220862-46-4 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yloxy]- (CA INDEX NAME)



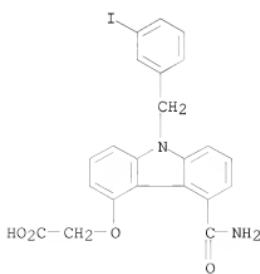
RN 220862-47-5 CAPLUS

CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)

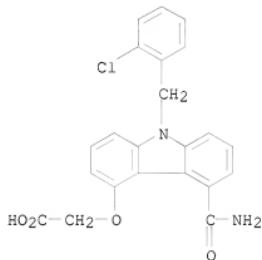


RN 220862-48-6 CAPLUS

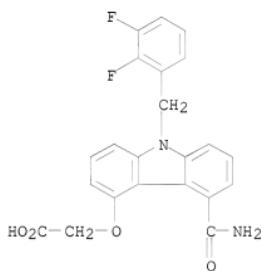
CN Acetic acid, 2-[{5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)



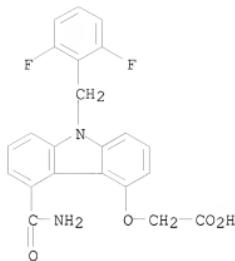
RN 220862-49-7 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-50-0 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

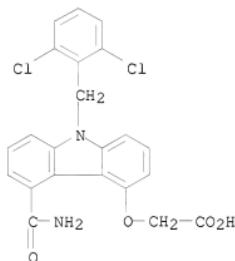


RN 220862-51-1 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



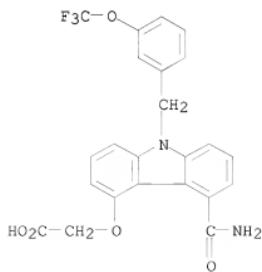
RN 220862-53-3 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,6-dichlorophenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)

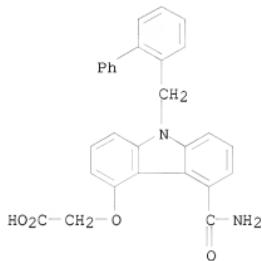


RN 220862-54-4 CAPLUS

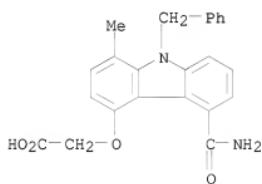
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-(trifluoromethoxy)phenyl)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



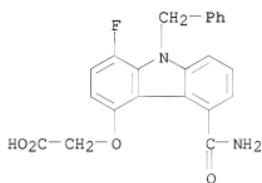
RN 220862-55-5 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-((1,1'-biphenyl)-2-ylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



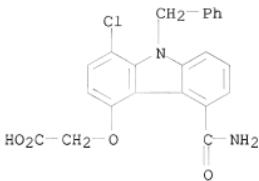
RN 220862-59-9 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



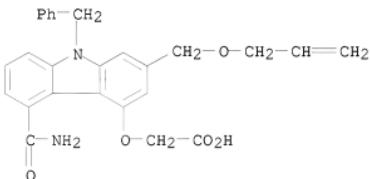
RN 220862-61-3 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



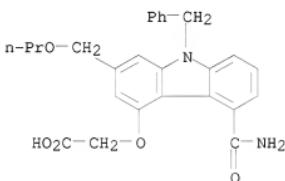
RN 220862-63-5 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



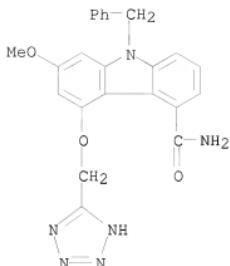
RN 220862-66-8 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-(2-propen-1-yloxy)methyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-68-0 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-(propoxymethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

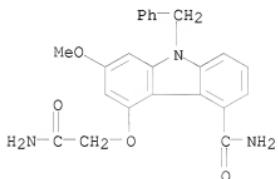


RN 220862-74-8 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-(2H-tetrazol-5-ylmethoxy)- (CA INDEX NAME)



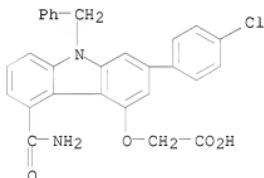
RN 220862-76-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-(2-amino-2-oxoethoxy)-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



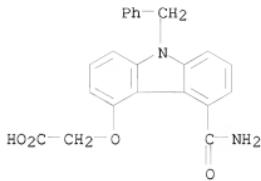
RN 220862-84-0 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(4-chlorophenyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



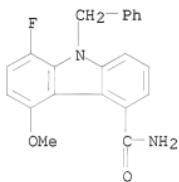
RN 246513-34-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)

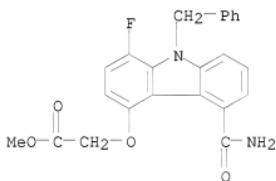


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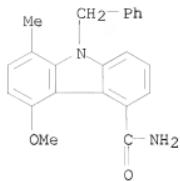
RN 246513-52-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 8-fluoro-5-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



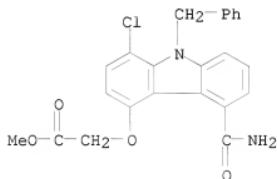
RN 246513-53-1 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



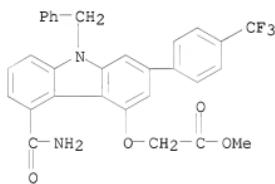
RN 246513-79-1 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-methoxy-8-methyl-9-(phenylmethyl)- (CA INDEX NAME)



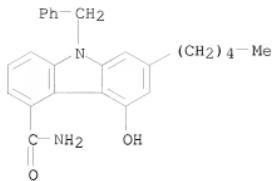
RN 246513-84-8 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yloxy]-, methyl ester (CA INDEX NAME)



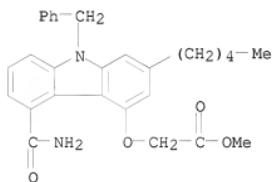
RN 247902-79-0 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[4-(trifluoromethyl)phenyl]-9H-carbazol-4-yloxy]-, methyl ester (CA INDEX NAME)



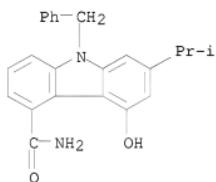
RN 247902-84-7 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-pentyl-9-(phenylmethyl)- (CA INDEX NAME)



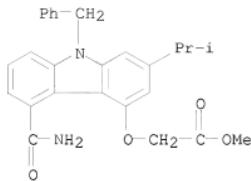
RN 247902-85-8 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



RN 247902-90-5 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-(1-methylethyl)-9-(phenylmethyl)- (CA INDEX NAME)

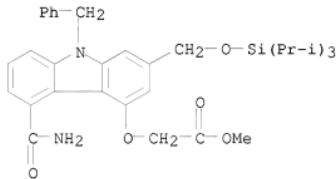


RN 247902-91-6 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



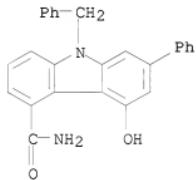
RN 247902-95-0 CAPLUS

CN Acetic acid, 2-[5-(aminocarbonyl)-9-(phenylmethyl)-2-[(tris(1-methylethyl)silyloxy)methyl]-9H-carbazol-4-yl]oxy-, methyl ester (CA INDEX NAME)



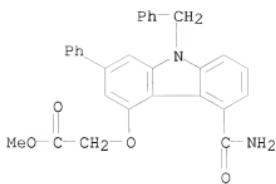
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CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-phenyl-9-(phenylmethyl)- (CA INDEX NAME)

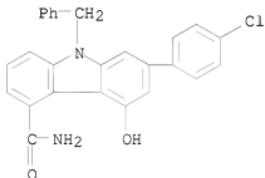


RN 247903-01-1 CAPLUS

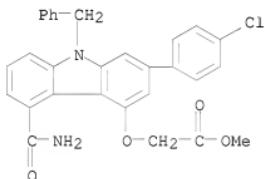
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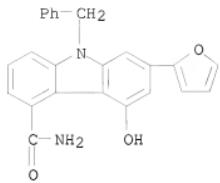
RN 247903-06-6 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 7-(4-chlorophenyl)-5-hydroxy-9-(phenylmethyl)-
 (CA INDEX NAME)



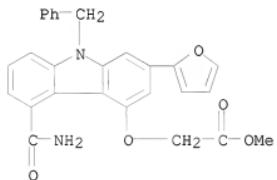
RN 247903-07-7 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(4-chlorophenyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



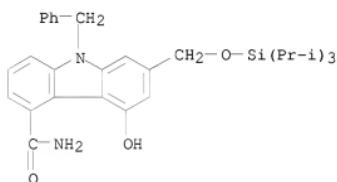
RN 247903-12-4 CAPLUS
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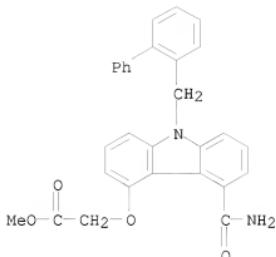
RN 247903-13-5 CAPLUS
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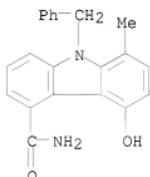
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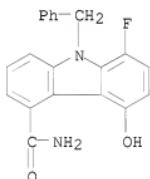
RN 247903-77-1 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-((1,1'-biphenyl)-2-ylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



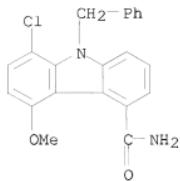
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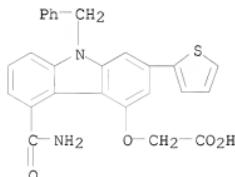
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 CN 9H-Carbazole-4-carboxamide, 8-fluoro-5-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)



RN 247904-02-5 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 8-chloro-5-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



RN 247904-05-8 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-(2-thienyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)

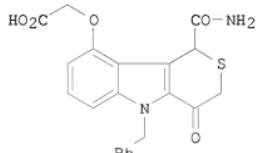
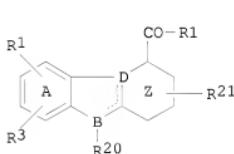


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
 (3 CITINGS)

L12 ANSWER 41 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 1999:672368 CAPLUS
 DOCUMENT NUMBER: 131:286503
 TITLE: Preparation of substituted tricyclics as secretory phospholipase A2 (sPLA2) inhibitors
 INVENTOR(S): Bach, Nicholas James; Bastian, Jolie Anne; Beight, Douglas Wade; Kinnick, Michael Dean; Martinelli, Michael John; Mihelich, Edward David; Morin, John Michael, Jr.; Salt, Daniel Jon; Sawyer, Jason Scott; Smith, Edward C. R.; Suarez, Tullio; Wang, Qiuping; Wilson, Thomas Michael
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: Eur. Pat. Appl., 74 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| EP 950661 | A1 | 19991020 | EP 1999-302969 | 19990416 |
| EP 950661 | B1 | 20031112 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| CA 2269256 | A1 | 19991017 | CA 1999-2269256 | 19990416 |
| NO 9901823 | A | 19991018 | NO 1999-1823 | 19990416 |
| AU 9923818 | A | 19991028 | AU 1999-23818 | 19990416 |
| AU 757454 | B2 | 20030220 | | |

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| CN 1235968 | A | 19991124 | CN 1999-108097 | 19990416 |
| JP 11322745 | A | 19991124 | JP 1999-109656 | 19990416 |
| JP 4435325 | B2 | 20100317 | | |
| BR 9901275 | A | 20000502 | BR 1999-1275 | 19990416 |
| MX 9903588 | A | 20000731 | MX 1999-3588 | 19990416 |
| HU 9901219 | A1 | 20000828 | HU 1999-1219 | 19990416 |
| TR 9900842 | A2 | 20000921 | TR 1999-842 | 19990416 |
| NZ 335252 | A | 20001124 | NZ 1999-335252 | 19990416 |
| EP 1156050 | A2 | 20011121 | EP 2001-203116 | 19990416 |
| EP 1156050 | A3 | 20011128 | | |
| EP 1156050 | B1 | 20040218 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
SI, LT, LV, FI, RO | | | | |
| ZA 9902773 | A' | 20020716 | ZA 1999-2773 | 19990416 |
| TW 555760 | B | 20031001 | TW 1999-106131 | 19990416 |
| AT 254128 | T | 20031115 | AT 1999-302969 | 19990416 |
| AT 259818 | T | 20040315 | AT 2001-203116 | 19990416 |
| ES 2210979 | T3 | 20040701 | ES 1999-302969 | 19990416 |
| ES 2213668 | T3 | 20040901 | ES 2001-203116 | 19990416 |
| SG 106935 | A1 | 20040930 | SG 1999-1844 | 19990416 |
| IN 1999CA00348 | A | 20050311 | IN 1999-CA348 | 19990416 |
| PRIORITY APPLN. INFO.: | | | US 1998-62165 | A 19980417 |
| OTHER SOURCE(S): | MARPAT | 131:286503 | EP 1999-302969 | A3 19990416 |
| GI | | | | |



AB Thiacarbazole, pyridoindole, azacarbazole, (thio)pyranoindole, and carboline derivs. (I) [where A = Ph or pyridyl; B or D = N and the other is C; Z = cyclohexenyl, Ph, pyridyl, or a heterocyclic ring with one S or O; R20 = (un)substituted alkyl, alkenyl, alkynyl, carbo- or heterocyclic radical, or L-R80; L = linking group of 1-12 C, H, O, N, and/or S atoms; R80 = (un)substituted alkyl, alkenyl, alkynyl, carbo- or heterocyclic radical; R21 = non-interfering substituent; R1 = NHNH2, NH2, or CONH2; R2 = OH or (un)substituted alkoxy; R3 = non-interfering substituent, (un)substituted carbo- or heterocyclic radical] were prepared as inhibitors of human non-pancreatic secretory phospholipase A2 (sPLA2). For instance, the thiacarbazole (II) was prepared in a nine step synthesis. 4-Methoxyindole was N-benzylated and then acylated with Me oxalyl chloride. The ketone was reduced to the alc. with NaBH4 to form Me (1-benzyl-4-methoxyindol-3-yl)hydroxyacetate. The alc. was displaced by mercaptoacetic acid and the thio ether cyclized to afford the 3-thia-1,2,3,4-tetrahydrocarbazol-5-ylcarboxylate. The ester was converted to the carboxamide. Finally, the Me ether was cleaved to give the alc., followed by O-acetylation with Et bromoacetate and deesterification to yield II. Compds. of the invention were found to be effective inhibitors at concns. of < 100 μ M in an sPLA2 chromogenic assay, to suppress contractile response in dorsal pleural strips from male guinea pigs at concns. < 20 μ M, and to be effective in reducing PLA2 catalytic activity in the serum of transgenic mice (no data). The claimed

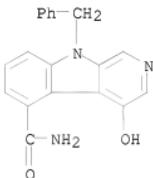
tricyclics suppress sPLA₂ mediated release of fatty acids, thereby inhibiting the arachidonic acid cascade, and are useful in the treatment of septic shock and other sPLA₂ related diseases.

IT 246868-15-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of substituted tricyclics as secretory phospholipase A2 (sPLA₂) inhibitors)

RN 246868-15-5 CAPLUS

CN 9H-Pyrido[3,4-b]indole-5-carboxamide, 4-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)

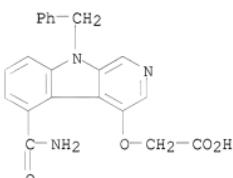


IT 246868-00-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of substituted tricyclics as secretory phospholipase A2 (sPLA₂) inhibitors)

RN 246868-00-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-pyrido[3,4-b]indol-4-yl)oxy]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
(9 CITINGS)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 42 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1999:672362 CAPLUS

DOCUMENT NUMBER: 131:286402

TITLE: Preparation of carbazolecarboxamides as sPLA₂ inhibitors

INVENTOR(S):

Bach, Nicholas James; Bastian, Jolie Anne; Hite, Gary Alan; Kinnick, Michael Dean; Mihelich, Edward David; Morin, John Michael, Jr.; Sall, Daniel Jon; Vasileff, Robert Theodore

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA

SOURCE:

Eur. Pat. Appl., 54 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

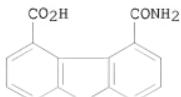
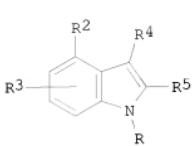
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PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------------|-------------------------------------------------------------------|----------|-----------------|-------------|
| EP 950657 | A2 | 19991020 | EP 1999-302967 | 19990416 |
| EP 950657 | A3 | 20010816 | | |
| EP 950657 | B1 | 20040714 | | |
| R: AT, BE, CH,
IE, SI, LT, | DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
LV, FI, RO | | | |
| CA 2269246 | A1 | 19991017 | CA 1999-2269246 | 19990416 |
| CA 2269246 | C | 20090825 | | |
| CA 2269262 | A1 | 19991017 | CA 1999-2269262 | 19990416 |
| NO 9901821 | A | 19991018 | NO 1999-1821 | 19990416 |
| NO 314400 | B1 | 20030317 | | |
| NO 9901822 | A | 19991018 | NO 1999-1822 | 19990416 |
| NO 312240 | B1 | 20020415 | | |
| AU 9923817 | A | 19991028 | AU 1999-23817 | 19990416 |
| AU 753436 | B2 | 20021017 | | |
| AU 9923819 | A | 19991028 | AU 1999-23819 | 19990416 |
| AU 753547 | B2 | 20021024 | | |
| TR 9900853 | A3 | 19991122 | TR 1999-853 | 19990416 |
| JP 11322713 | A | 19991124 | JP 1999-109629 | 19990416 |
| CN 1240210 | A | 20000105 | CN 1999-107687 | 19990416 |
| JP 2000026416 | A | 20000125 | JP 1999-152400 | 19990416 |
| JP 4435330 | B2 | 20100317 | | |
| TR 9900843 | A2 | 20000221 | TR 1999-843 | 19990416 |
| BR 9901279 | A | 20000502 | BR 1999-1279 | 19990416 |
| CN 1253948 | A | 20000524 | CN 1999-107957 | 19990416 |
| CN 1149193 | C | 20040512 | | |
| MX 9903587 | A | 20000731 | MX 1999-3587 | 19990416 |
| MX 9903589 | A | 20000731 | MX 1999-3589 | 19990416 |
| NZ 335251 | A | 20001124 | NZ 1999-335251 | 19990416 |
| NZ 335253 | A | 20001124 | NZ 1999-335253 | 19990416 |
| BR 9902365 | A | 20010424 | BR 1999-2365 | 19990416 |
| SG 81976 | A1 | 20010724 | SG 1999-1681 | 19990416 |
| SG 81977 | A1 | 20010724 | SG 1999-1869 | 19990416 |
| HU 9901220 | A3 | 20011128 | HU 1999-1220 | 19990416 |
| HU 9901221 | A3 | 20011128 | HU 1999-1221 | 19990416 |
| ZA 9902771 | A | 20020418 | ZA 1999-2771 | 19990416 |
| ZA 9902772 | A | 20020716 | ZA 1999-2772 | 19990416 |
| NZ 507564 | A | 20021025 | NZ 1999-507564 | 19990416 |
| NZ 518027 | A | 20030429 | NZ 1999-518027 | 19990416 |
| AT 268756 | T | 20040615 | AT 1999-302941 | 19990416 |
| AT 271037 | T | 20040715 | AT 1999-302967 | 19990416 |
| PT 950657 | E | 20041130 | PT 1999-302967 | 19990416 |
| ES 2222663 | T3 | 20050201 | ES 1999-302941 | 19990416 |
| ES 2226286 | T3 | 20050316 | ES 1999-302967 | 19990416 |
| TW 238160 | B | 20050821 | TW 1999-106130 | 19990416 |
| IN 1999CA00346 | A | 20051202 | IN 1999-CA346 | 19990416 |
| IN 240478 | A1 | 20100514 | IN 1999-CA347 | 19990416 |
| PRIORITY APPLN. INFO.: | | | US 1998-62328 | A 19980417 |
| | | | NZ 1999-507564 | A1 19990416 |

OTHER SOURCE(S):
GI

MARPAT 131:286402



1

II

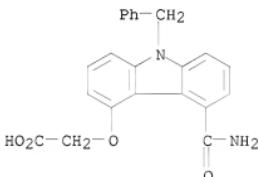
AB Title compds. [I; R = alk(en)yl, carbocyclic or heterocyclic radical (sic), etc.; R1 = OH or O(CH₂)R6; R3 = non-interfering substituent (sic), carbocyclic or heterocyclic radical (sic), etc.; R4R5 = (un)substituted CH(COR1)(CH₂)₃ or -C(COR1):CHCH:CH; R1 = NHNH₂, NH₂, CONH₂; R6 = H, cyano, NH₂, Ph, etc.; n = 1-5] were prepared. Thus, Me 3-amino-2-bromobenzoate (preparation given) was condensed with 1,3-cyclohexanedione and the product cyclized to give Me 1,2-dihydro-4(3H)-oxo-9H-carbazol-5-carboxylate which was converted in 5 steps to the Na salt of title compound II. Data for biol. activity of I were given.

| | | | |
|----|--------------|--------------|--------------|
| IT | 207340-86-1P | 220862-36-2P | 220862-59-9P |
| | 220862-61-3P | 220862-63-5P | 246513-34-8P |
| | 246513-35-9P | 246513-36-0P | 246513-37-1P |
| | 246513-39-3P | 246513-40-6P | |

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbazolecarboxamides as SPLA2 inhibitors)

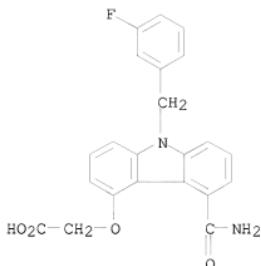
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(CA INDEX NAME)



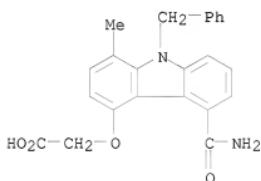
EN 220862-36-2 CAPIUS

RN 226662-36-2 CAFCOS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl]oxyl (CA INDEX NAME)



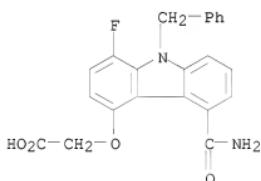
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CN Acetic acid, 2-[(5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



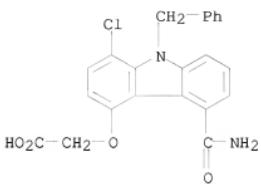
RN 220862-61-3 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

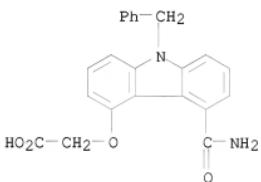


RN 220862-63-5 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

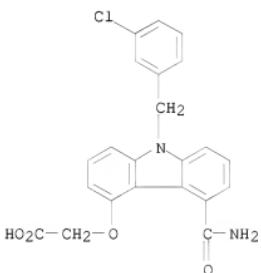


RN 246513-34-8 CAPLUS
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 , sodium salt (1:1) (CA INDEX NAME)

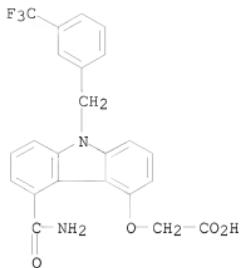


● Na

RN 246513-35-9 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-chlorophenyl)methyl]-9H-carbazol-
 4-yl)oxy]- (CA INDEX NAME)

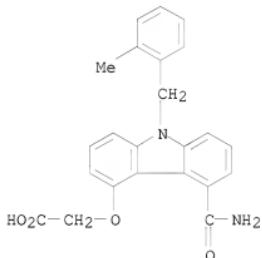


RN 246513-36-0 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-(trifluoromethyl)phenyl)methyl]-
 9H-carbazol-4-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)



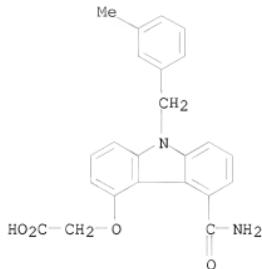
● Na

RN 246513-37-1 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

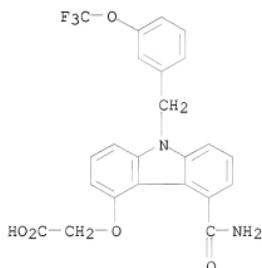
RN 246513-39-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 246513-40-6 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-(trifluoromethoxy)phenyl)methyl]-9H-carbazol-4-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)



● Na

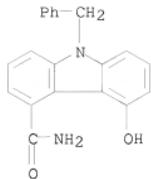
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| 246513-60-0P | 246513-61-1P | 246513-64-4P |
| 246513-65-5P | 246513-68-8P | 246513-69-9P |
| 246513-72-4P | 246513-73-5P | 246513-76-8P |
| 246513-77-9P | 246513-79-1P | 246513-80-4P |
| 246513-84-8P | | |

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of carbazolecarboxamides as sPLA2 inhibitors)

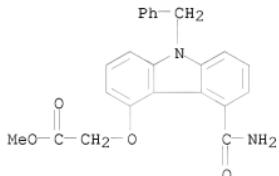
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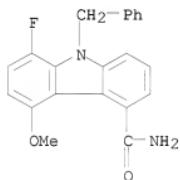
RN 246513-46-2 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



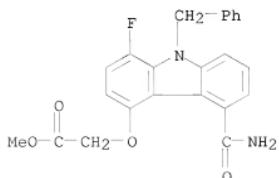
RN 246513-52-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 8-fluoro-5-methoxy-9-(phenylmethyl)- (CA INDEX NAME)

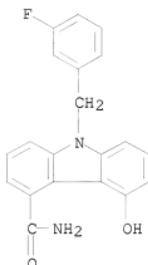


RN 246513-53-1 CAPLUS

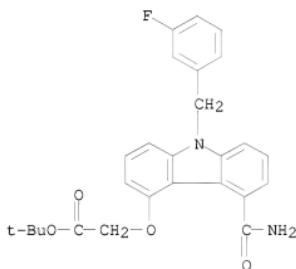
CN Acetic acid, 2-[(5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



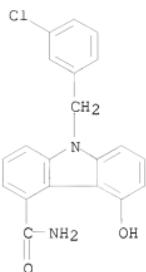
RN 246513-56-4 CAPLUS
CN 9H-Carbazole-4-carboxamide, 9-[(3-fluorophenyl)methyl]-5-hydroxy- (CA INDEX NAME)



RN 246513-57-5 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl)oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

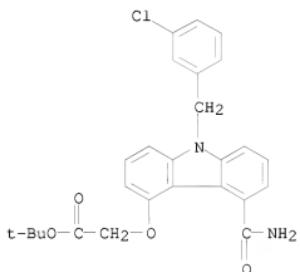


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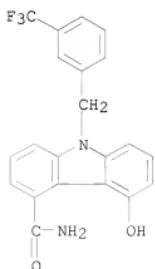
RN 246513-61-1 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

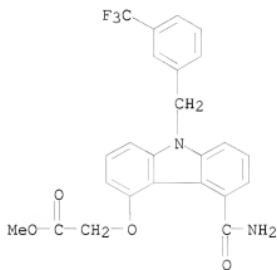


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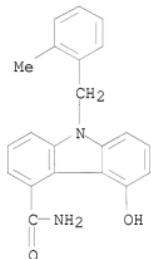
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(3-(trifluoromethyl)phenyl)methyl]- (CA INDEX NAME)



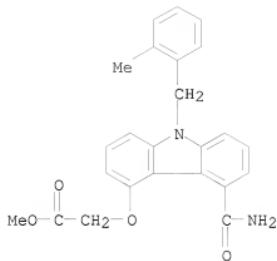
RN 246513-65-5 CAPLUS
CN Acetic acid, 2-[[5-(aminocarbonyl)-9-[(3-(trifluoromethyl)phenyl)methyl]-
9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



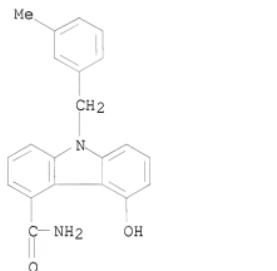
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INDEX NAME)



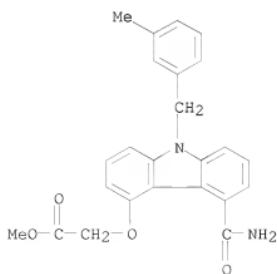
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4-yl]oxy]-, methyl ester (CA INDEX NAME)



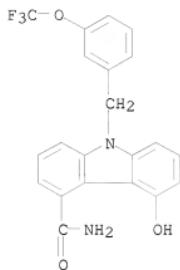
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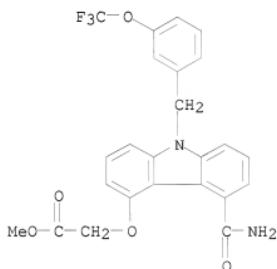
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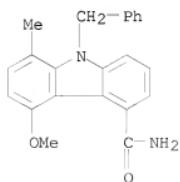
RN 246513-76-8 CAPLUS
CN 9H-Carbazole-4-carboxamide, 5-hydroxy-9-[(3-(trifluoromethoxy)phenyl)methyl]- (CA INDEX NAME)



RN 246513-77-9 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-(trifluoromethoxy)phenyl)methyl]-9H-carbazol-4-yloxy)-, methyl ester (CA INDEX NAME)

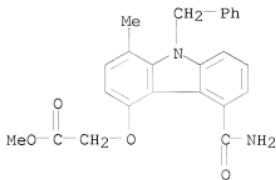


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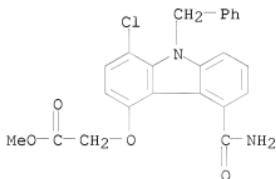
RN 246513-80-4 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



RN 246513-84-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
(14 CITINGS)

L12 ANSWER 43 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1999:350594 CAPLUS

DOCUMENT NUMBER: 131:5186

TITLE: Preparation of (tetrahydro)carbazolecarboxylates as sPLA₂ inhibitors

INVENTOR(S): Watanabe, August Masaru

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

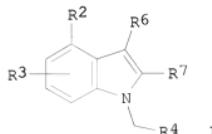
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 9925340 | A1 | 19990527 | WO 1998-US24258 | 19981113 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
TT, UA, UG, US, UZ, VN, YU, ZW
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2310250 | A1 | 19990527 | CA 1998-2310250 | 19981113 |

| | | | |
|-------------------------------------------------------------------|-------------|-----------------|------------|
| AU 9914073 | A 19990607 | AU 1999-14073 | 19981113 |
| EP 1043991 | A1 20001018 | EP 1998-957934 | 19981113 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI | T 20011120 | JP 2000-520774 | 19981113 |
| JP 2001522884 | | US 2000-529565 | 20000412 |
| US 6514984 | B1 20030204 | US 1997-66035P | P 19971114 |
| PRIORITY APPLN. INFO.: | | WO 1998-US24258 | W 19981113 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 131:5186

GI



AB Title compds. [e.g., I; R6R7 = CH(COR1)(CH2)3 or C(COR1):CHCH:CH substituted by R21; R1 = NH2 or NHHH2; R2 = OH or O(CH2)mR5; R3 = H, halo, alkyl, alkoxy, etc.; R4 = H, (cyclo)alkyl, pyridyl, (un)substituted Ph; R5 = H, CO2H, alkoxy carbonyl, CONH2, tetrazolyl, etc.; R21 = a non-interfering substituent (sic); m = 1-3] were claimed as sPLA2 inhibitors (no data). Thus, 4-[(9-benzyl-4-carbamoyl-1,2,3,4-tetrahydro-6-carbazolyl)oxy]butyric acid was claimed.

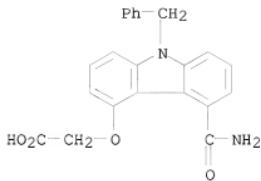
| | | |
|-----------------|--------------|--------------|
| IT 207340-86-1P | 220862-21-5P | 220862-22-6P |
| 220862-23-7P | 220862-24-8P | 220862-26-0P |
| 220862-27-1P | 220862-30-6P | 220862-31-7P |
| 220862-32-8P | 220862-33-9P | 220862-34-0P |
| 220862-35-1P | 220862-36-2P | 220862-37-3P |
| 220862-38-4P | 220862-39-5P | 220862-40-8P |
| 220862-41-9P | 220862-42-0P | 220862-43-1P |
| 220862-44-2P | 220862-45-3P | 220862-46-4P |
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| 220862-50-0P | 220862-51-1P | 220862-53-3P |
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| 220862-61-3P | 220862-63-5P | 220862-66-8P |
| 220862-68-0P | 220862-72-6P | 220862-74-8P |
| 220862-76-0P | 220862-84-0P | 225653-40-7P |

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

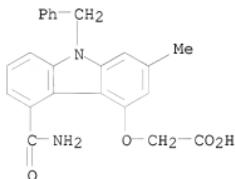
(preparation of (tetrahydro)carbazole carboxylates as sPLA2 inhibitors)

RN 207340-86-1 CAPLUS

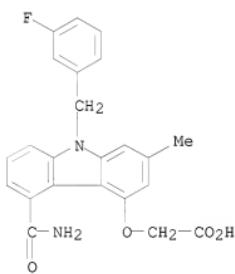
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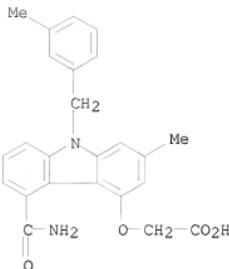
RN 220862-21-5 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 220862-22-6 CAPLUS
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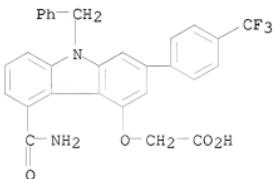


RN 220862-23-7 CAPLUS
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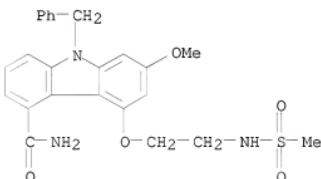
RN 220862-24-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-(4-(trifluoromethyl)phenyl)phenyl]oxy]- (CA INDEX NAME)



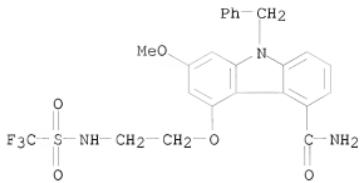
RN 220862-26-0 CAPLUS

CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[2-[(methylsulfonyl)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)

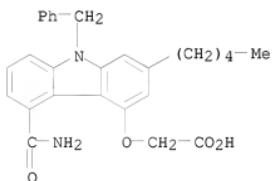


RN 220862-27-1 CAPLUS

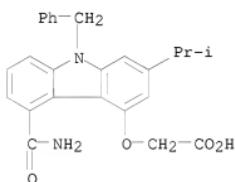
CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-{[(trifluoromethyl)sulfonyl]amino}ethoxy- (CA INDEX NAME)



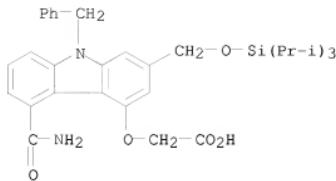
RN 220862-30-6 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-penty1-9-(phenylmethyl)-9H-carbazol-4-yloxy]- (CA INDEX NAME)



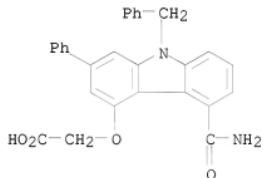
RN 220862-31-7 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-carbazol-4-yloxy]- (CA INDEX NAME)



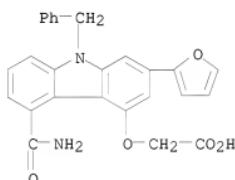
RN 220862-32-8 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[[{tris(1-methylethyl)silyl}oxy]methyl}-9H-carbazol-4-yloxy]- (CA INDEX NAME)



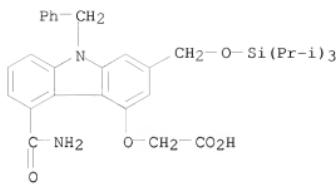
RN 220862-33-9 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yloxy]- (CA INDEX NAME)



RN 220862-34-0 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yloxy]- (CA INDEX NAME)

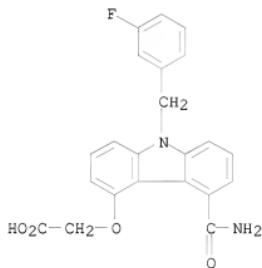


RN 220862-35-1 CAPLUS
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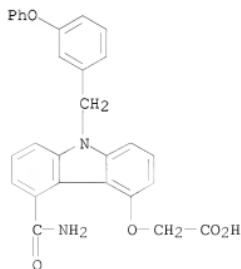


● Li

RN 220862-36-2 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

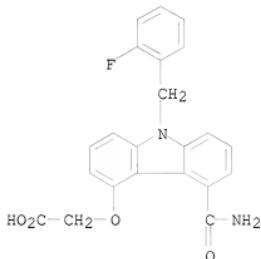


RN 220862-37-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



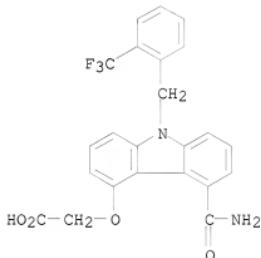
RN 220862-38-4 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



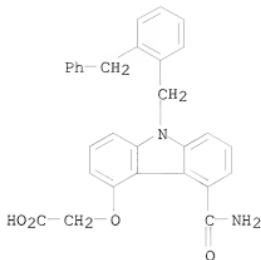
RN 220862-39-5 CAPLUS

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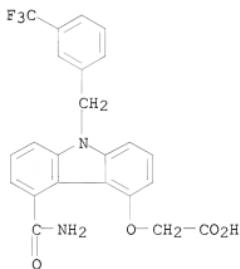
RN 220862-40-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-(phenylmethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



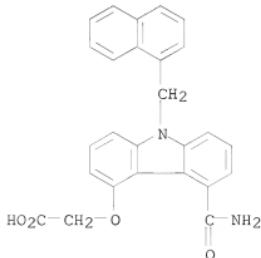
RN 220862-41-9 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

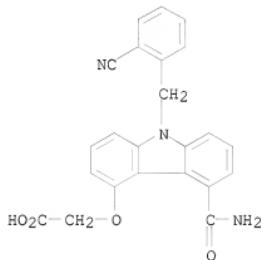


RN 220862-42-0 CAPLUS

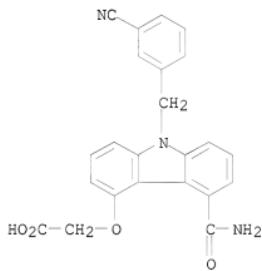
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



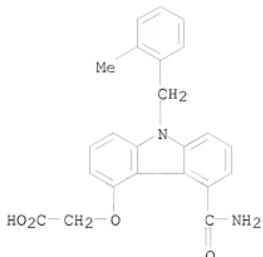
RN 220862-43-1 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yloxy]- (CA INDEX NAME)



RN 220862-44-2 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-cyanophenyl)methyl]-9H-carbazol-4-yloxy]- (CA INDEX NAME)

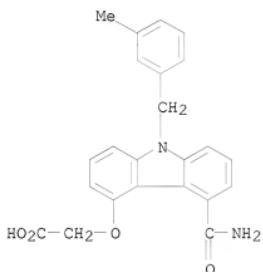


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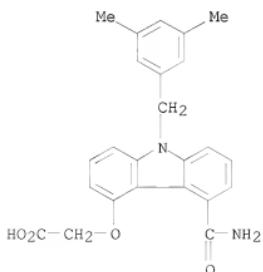
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CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

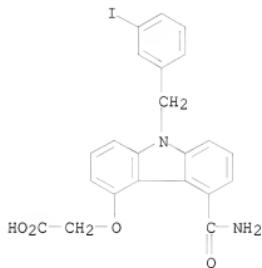


RN 220862-47-5 CAPLUS

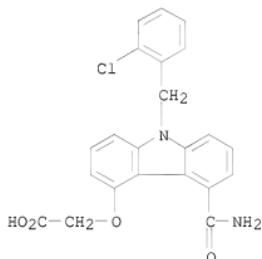
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



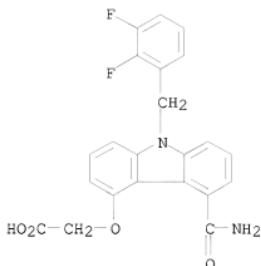
RN 220862-48-6 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



RN 220862-49-7 CAPLUS
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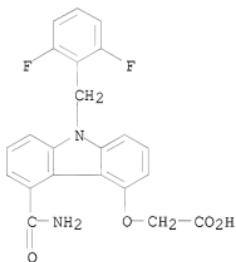


RN 220862-50-0 CAPLUS
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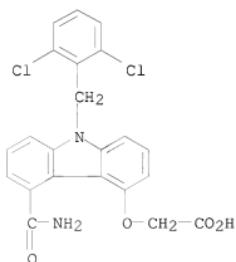
RN 220862-51-1 CAPLUS

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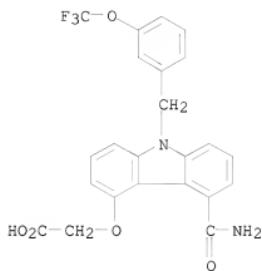


RN 220862-53-3 CAPLUS

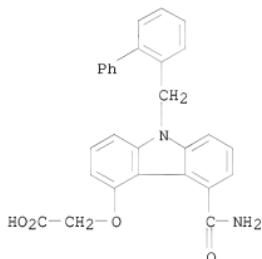
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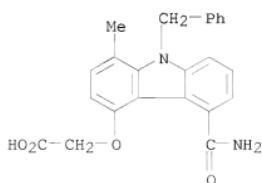
RN 220862-54-4 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-(trifluoromethoxy)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-55-5 CAPLUS
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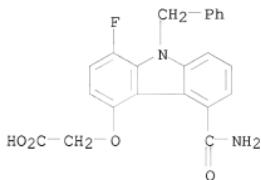


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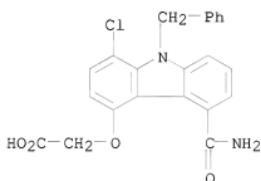
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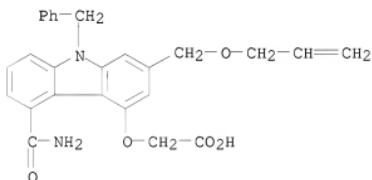
RN 220862-63-5 CAPLUS

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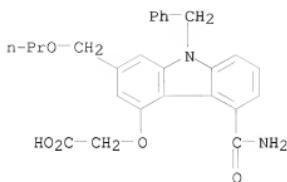
RN 220862-66-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[(2-propen-1-yloxy)methyl]-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)

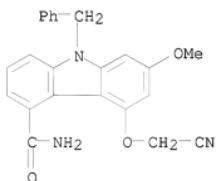


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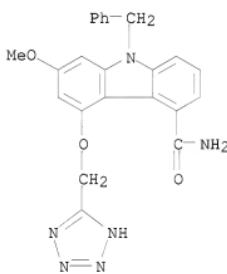
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-(propoxymethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



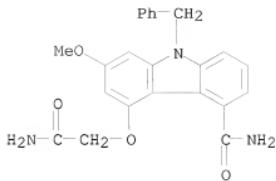
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 (CA INDEX NAME)



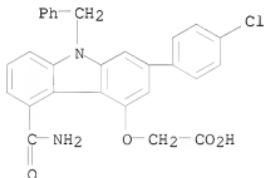
RN 220862-74-8 CAPLUS
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 ylmethoxy)- (CA INDEX NAME)



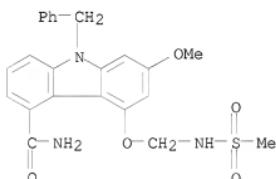
RN 220862-76-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-(2-amino-2-oxoethoxy)-7-methoxy-9-
 (phenylmethyl)- (CA INDEX NAME)



RN 220862-84-0 CAPLUS
 CN Acetic acid, 2-[{5-(aminocarbonyl)-2-(4-chlorophenyl)-9-(phenylmethyl)-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)



RN 225653-40-7 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[(methylsulfonyl)amino]methoxy-9-(phenylmethyl)- (CA INDEX NAME)



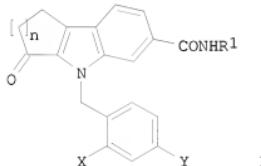
OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD
 (10 CITINGS)
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 44 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 1999:303240 CAPLUS
 DOCUMENT NUMBER: 130:311699
 TITLE: Preparation of tricyclic compounds as cGMP-PDE
 inhibitors
 INVENTOR(S): Oku, Teruo; Sawada, Kozo; Kuroda, Akio; Ohne, Kazuhiko
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 26 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 9921831 | A1 | 19990506 | WO 1998-JP4429 | 19981001 |
| W: CA, CN, JP, KR, US | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| JP 2002509553 | T | 20020326 | JP 1999-523667 | 19981001 |
| PRIORITY APPLN. INFO.: | | | AU 1997-30 | A 19971027 |
| | | | AU 1998-2990 | A 19980416 |
| | | | WO 1998-JP4429 | W 19981001 |

OTHER SOURCE(S): MARPAT 130:311699
GI



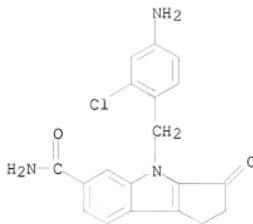
AB The title compds. [I; X = halo; Y = lower alkoxy, OH, NH2; R1 = H, lower alkyl optionally substituted with a heterocycll or aryl; n = 1-2] and their salts, useful in the treatment and prevention of, for example, micturination disorder, or incontinence or storage of urine disorder, were prepared. Thus, deprotection of 4-(4-tert-butoxycarbonylamino-2-chlorobenzyl)-3-oxo-1,2,3,4-tetrahydrocyclopent[b]indole-6-carboxamide (preparation given) with F3CCO2H in CH2Cl2 afforded I [X = Cl; Y = NH2; R1 = H; n = 1] which showed IC50 of < 100 nM.

IT 223645-39-4P 223645-40-7P 223645-45-2P
223645-46-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic compds. as cGMP-PDE inhibitors)

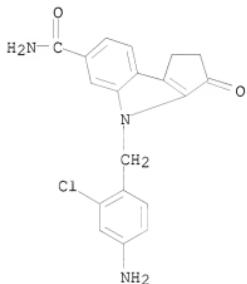
RN 223645-39-4 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(4-amino-2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-3-oxo- (CA INDEX NAME)



RN 223645-40-7 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(4-amino-2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)



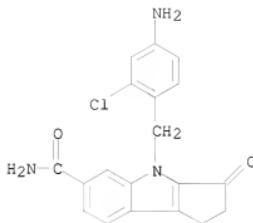
● HCl

RN 223645-45-2 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(4-amino-2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-3-oxo-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 223645-39-4
CMF C19 H16 Cl N3 O2



CM 2

CRN 75-75-2
CMF C H4 O3 S

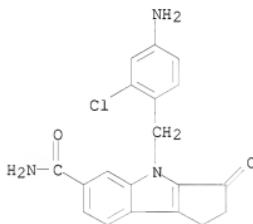


RN 223645-46-3 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(4-amino-2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-3-oxo-, sulfate (2:1) (CA INDEX NAME)

CM 1

CRN 223645-39-4
CMF C19 H16 Cl N3 O2

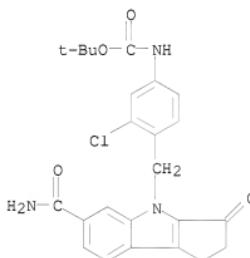


CM 2

CRN 7664-93-9
CMF H2 O4 S



IT 223645-38-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of tricyclic compds. as cGMP-PDE inhibitors)
 RN 223645-38-3 CAPLUS
 CN Carbanic acid, [4-[(6-(aminocarbonyl)-2,3-dihydro-3-oxocyclopent[b]indol-4(1H)-yl)methyl]-3-chlorophenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 45 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 1999:233807 CAPLUS
 DOCUMENT NUMBER: 130:267344
 TITLE: Compounds for treatment of cystic fibrosis
 INVENTOR(S): Macias, William Louis
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 260 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 9916453 | A1 | 19990408 | WO 1998-US19906 | 19980923 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE,
KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
TT, UA, UG, US, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, | | | | |

CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 CA 2304482 A1 19990408 CA 1998-2304482 19980923
 AU 9896641 A 19990423 AU 1998-96641 19980923
 EP 1007056 A1 20000614 EP 1998-950654 19980923
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI
 JP 2001517707 T 20011009 JP 2000-513587 19980923
 US 6576654 B1 20030610 US 2000-508209 20000308
 PRIORITY APPLN. INFO.: US 1997-60128P P 19970926
 WO 1998-US19906 W 19980923

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 130:267344

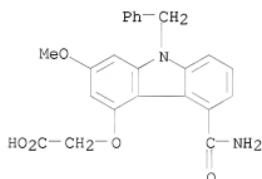
AB Title compds., sPLA2 inhibitors (no data), were selected from indoleglyoxylamides, -acetamides, -acetic acid hydrazides, etc. Preparation of [β -(2-amino-1,2-dioxoethyl)-2-ethyl-1-phenylmethyl-1H-indol-4-yl]oxyacetic acid was described.

IT 207340-74-7P 207340-75-8P 207340-86-1P
 220862-21-5P 220862-22-6P 220862-23-7P
 220862-24-8P 220862-26-0P 220862-27-1P
 220862-30-6P 220862-31-7P 220862-32-8P
 220862-33-9P 220862-34-0P 220862-35-1P
 220862-36-2P 220862-37-3P 220862-38-4P
 220862-39-5P 220862-40-8P 220862-41-9P
 220862-42-0P 220862-43-1P 220862-44-2P
 220862-45-3P 220862-46-4P 220862-47-5P
 220862-48-6P 220862-49-7P 220862-50-0P
 220862-51-1P 220862-53-3P 220862-54-4P
 220862-55-5P 220862-59-9P 220862-61-3P
 220862-63-5P 220862-66-8P 220862-68-0P
 220862-72-6P 220862-74-8P 220862-76-0P
 220862-84-0P 222417-25-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(compds. for treatment of cystic fibrosis)

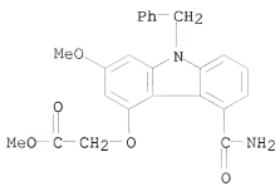
RN 207340-74-7 CAPLUS

CN Acetic acid, 2-[β -(5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)

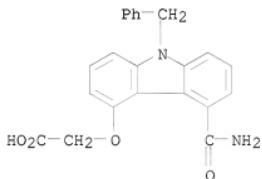


RN 207340-75-8 CAPLUS

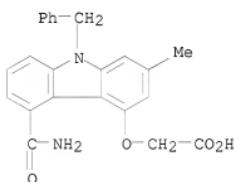
CN Acetic acid, 2-[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]-, methyl ester (CA INDEX NAME)



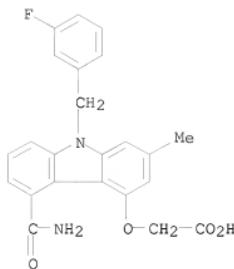
RN 207340-86-1 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-
 (CA INDEX NAME)



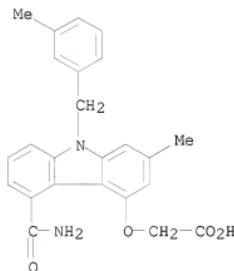
RN 220862-21-5 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methyl-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-
 (CA INDEX NAME)



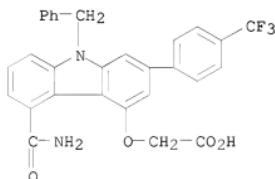
RN 220862-22-6 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-2-methyl-9H-
 carbazol-4-yl)oxy]- (CA INDEX NAME)



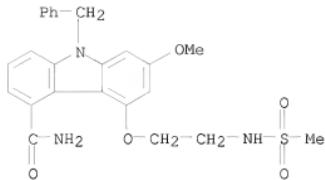
RN 220862-23-7 CAPLUS
 CN Acetic acid, 2-[5-(aminocarbonyl)-2-methyl-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yloxy]- (CA INDEX NAME)



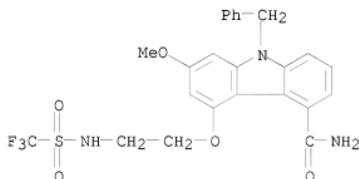
RN 220862-24-8 CAPLUS
 CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(phenylmethyl)-2-{4-(trifluoromethyl)phenyl}-9H-carbazol-4-yloxy]- (CA INDEX NAME)



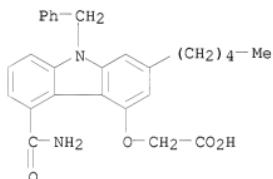
RN 220862-26-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 7-methoxy-5-[2-[(methylsulfonyl)amino]ethoxy]-9-(phenylmethyl)- (CA INDEX NAME)



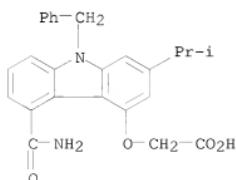
RN 220862-27-1 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-[(2-[(trifluoromethyl)sulfonyl]amino)ethoxy]- (CA INDEX NAME)



RN 220862-30-6 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-pentyl-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)

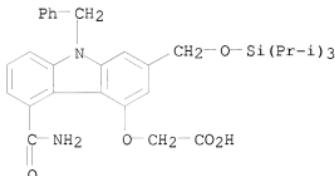


RN 220862-31-7 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(1-methylethyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



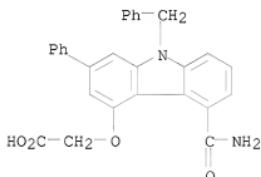
RN 220862-32-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



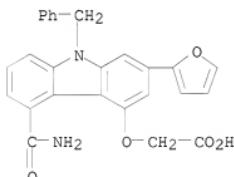
RN 220862-33-9 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



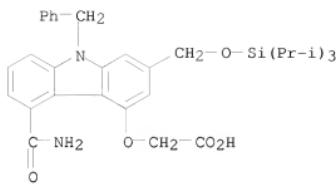
RN 220862-34-0 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



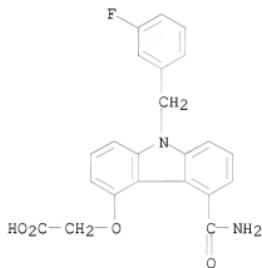
RN 220862-35-1 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-[[tris(1-methylethyl)silyl]oxy]methyl]-9H-carbazol-4-yl]oxy-, lithium salt (1:1) (CA INDEX NAME)

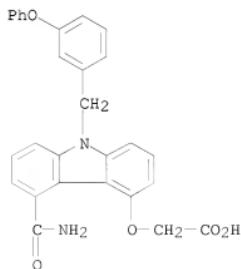


● Li

RN 220862-36-2 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

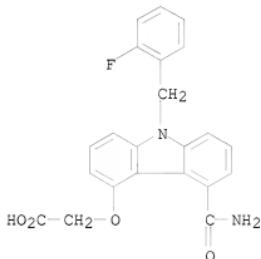


RN 220862-37-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



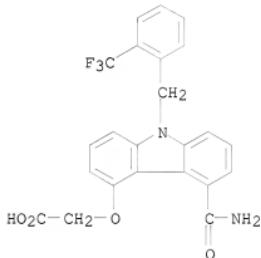
RN 220862-38-4 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-fluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



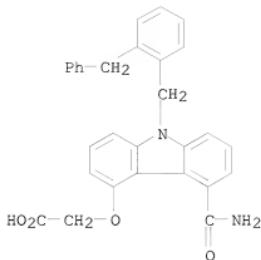
RN 220862-39-5 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



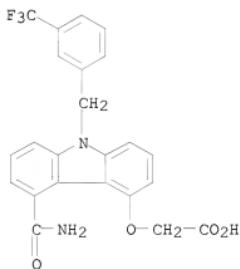
RN 220862-40-8 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2-(phenylmethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



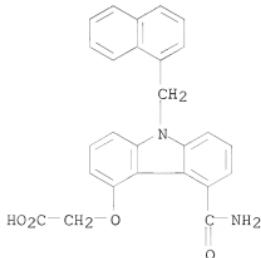
RN 220862-41-9 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-(trifluoromethyl)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

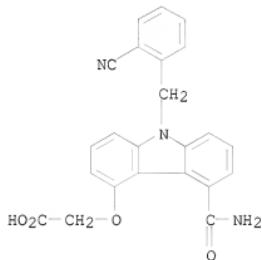


RN 220862-42-0 CAPLUS

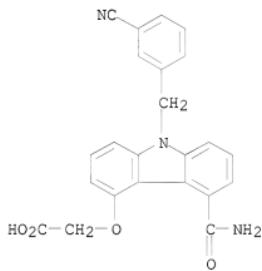
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(1-naphthalenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



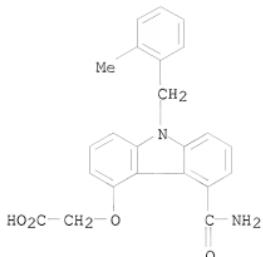
RN 220862-43-1 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-cyanophenyl)methyl]-9H-carbazol-4-yloxy]- (CA INDEX NAME)



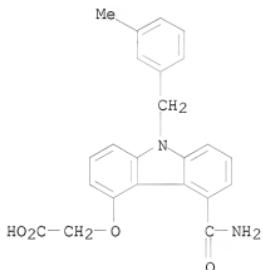
RN 220862-44-2 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-cyanophenyl)methyl]-9H-carbazol-4-yloxy]- (CA INDEX NAME)



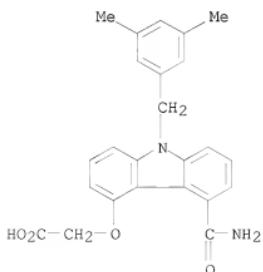
RN 220862-45-3 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-methylphenyl)methyl]-9H-carbazol-4-yloxy]- (CA INDEX NAME)



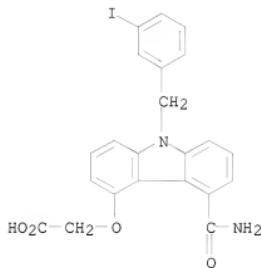
RN 220862-46-4 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



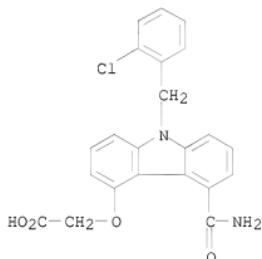
RN 220862-47-5 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3,5-dimethylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



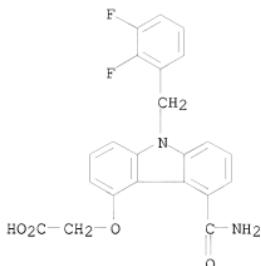
RN 220862-48-6 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



RN 220862-49-7 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2-chlorophenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)

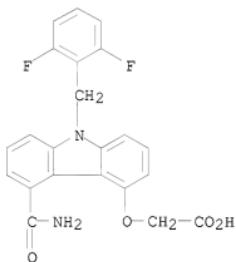


RN 220862-50-0 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(2,3-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



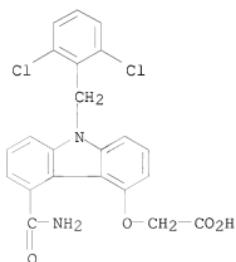
RN 220862-51-1 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,6-difluorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

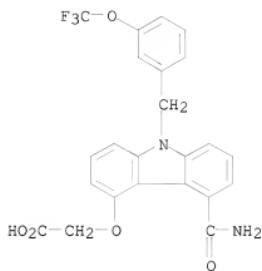


RN 220862-53-3 CAPLUS

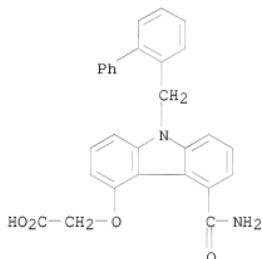
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(2,6-dichlorophenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



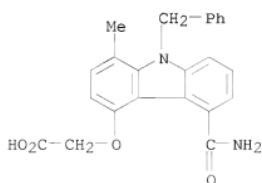
RN 220862-54-4 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-(trifluoromethoxy)phenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-55-5 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-((1,1'-biphenyl)-2-ylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

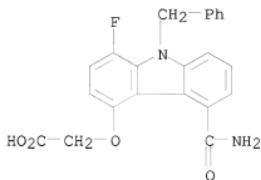


RN 220862-59-9 CAPLUS
CN Acetic acid, 2-[(5-(aminocarbonyl)-1-methyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



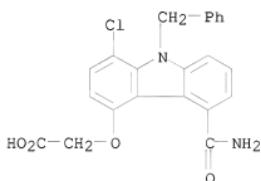
RN 220862-61-3 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-1-fluoro-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



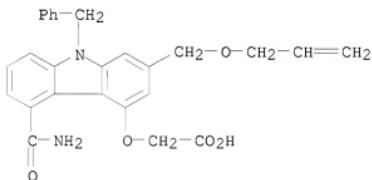
RN 220862-63-5 CAPLUS

CN Acetic acid, 2-[(5-(aminocarbonyl)-1-chloro-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



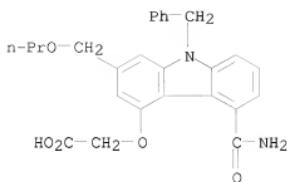
RN 220862-66-8 CAPLUS

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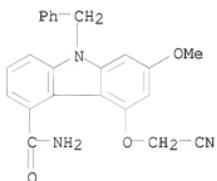


RN 220862-68-0 CAPLUS

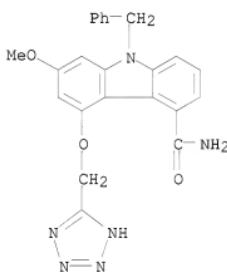
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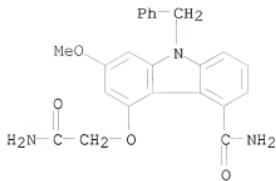
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 CN 9H-Carbazole-4-carboxamide, 5-(cyanomethoxy)-7-methoxy-9-(phenylmethyl)-
 (CA INDEX NAME)



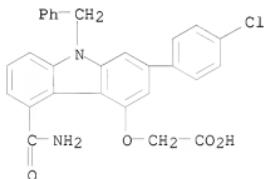
RN 220862-74-8 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-(2H-tetrazol-5-ylmethoxy)-
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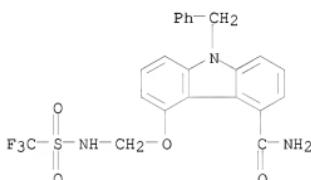
RN 220862-76-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-(2-amino-2-oxoethoxy)-7-methoxy-9-(phenylmethyl)-
 (CA INDEX NAME)



RN 220862-84-0 CAPLUS
 CN Acetic acid, 2-[{5-(aminocarbonyl)-2-(4-chlorophenyl)-9-(phenylmethyl)-9H-carbazol-4-yl}oxy]- (CA INDEX NAME)



RN 222417-25-6 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 9-(phenylmethyl)-5-[[[(trifluoromethyl)sulfonyl]amino]methoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
 (4 CITINGS)
 REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

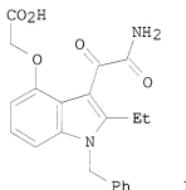
L12 ANSWER 46 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 1999:172589 CAPLUS
 DOCUMENT NUMBER: 130:196575
 TITLE: Method for treatment of non-rheumatoid arthritis by
 administration of an sPLA2 inhibitor.
 INVENTOR(S): Macias, William Louis
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 273 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 9909978 | A1 | 19990304 | WO 1998-US17778 | 19980827 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2301586 | A1 | 19990304 | CA 1998-2301586 | 19980827 |
| AU 9891231 | A | 19990316 | AU 1998-91231 | 19980827 |
| EP 1011670 | A1 | 20000628 | EP 1998-943430 | 19980827 |
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| JP 2001513555 | T | 20010904 | JP 2000-507368 | 19980827 |
| ZA 9807867 | A | 20000228 | ZA 1998-7867 | 19980828 |
| US 20030119860 | A1 | 20030626 | US 2000-486472 | 20000224 |
| US 6610728 | B2 | 20030826 | | |
| PRIORITY APPLN. INFO.: | | | US 1997-57726P | P 19970828 |
| | | | WO 1998-US17778 | W 19980827 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 130:196575

GI



AB A method for treatment of non-rheumatoid arthritis by administration of of an sPLA2 inhibitor is claimed (no data). Thus, preferred compound (I) was prepared in 6 steps via 2-ethyl-4-methoxy-1H-indole.

IT 207340-74-7 207340-75-8 207340-86-1
 220862-21-5 220862-22-6 220862-23-7
 220862-24-8 220862-26-0 220862-27-1
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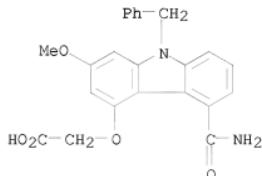
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220862-68-0 220862-72-6 220862-74-8
220862-76-0 220862-84-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method for treatment of non-rheumatoid arthritis by administration of an sPLA₂ inhibitor)

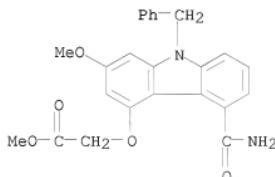
RN 207340-74-7 CAPLUS

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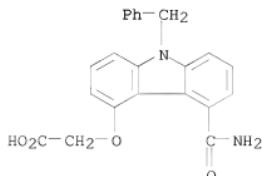
RN 207340-75-8 CAPLUS

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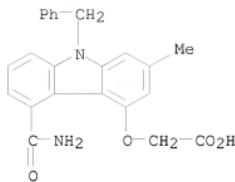
RN 207340-86-1 CAPLUS

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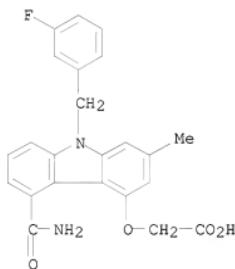


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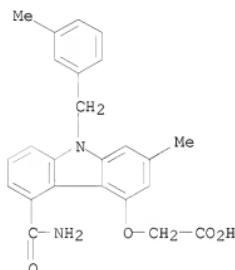
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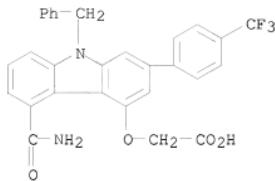
RN 220862-22-6 CAPLUS
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RN 220862-23-7 CAPLUS
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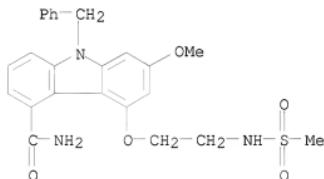


RN 220862-24-8 CAPLUS
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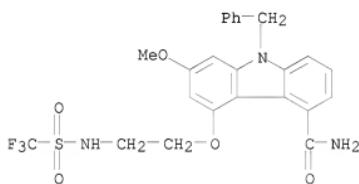
RN 220862-26-0 CAPLUS

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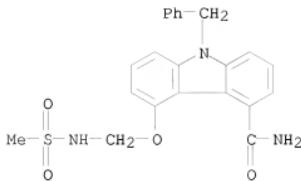
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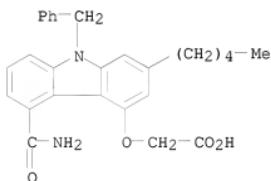


RN 220862-29-3 CAPLUS

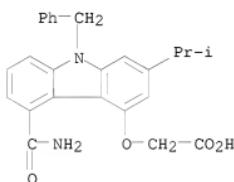
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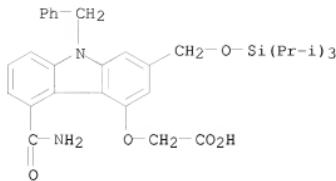
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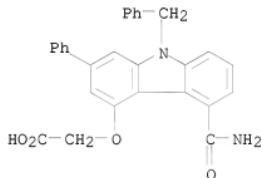
RN 220862-31-7 CAPLUS
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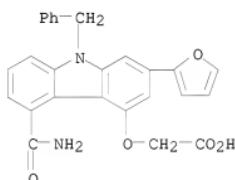
RN 220862-32-8 CAPLUS
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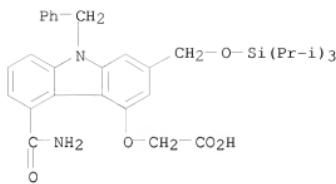
RN 220862-33-9 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-phenyl-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



RN 220862-34-0 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(2-furanyl)-9-(phenylmethyl)-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)

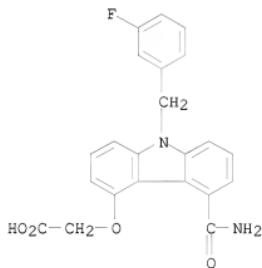


RN 220862-35-1 CAPLUS
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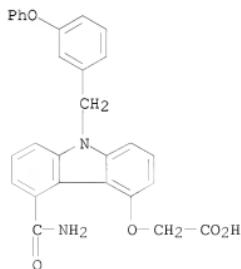


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RN 220862-36-2 CAPLUS
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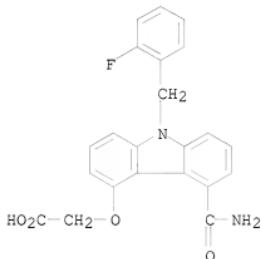


RN 220862-37-3 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-phenoxyphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



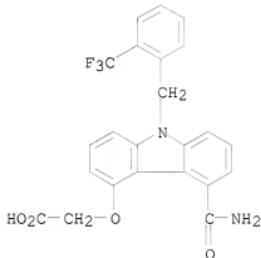
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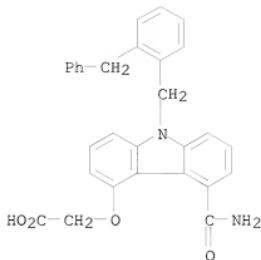
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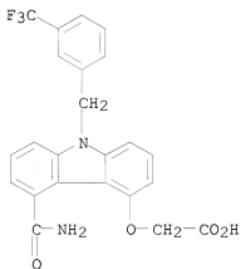
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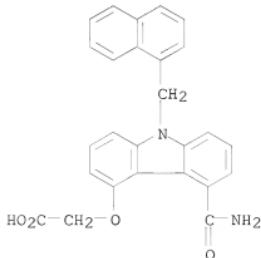
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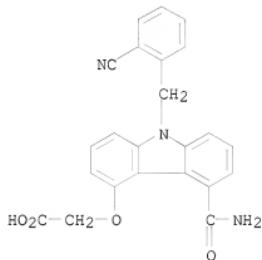


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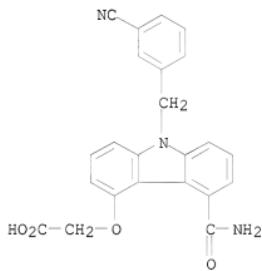
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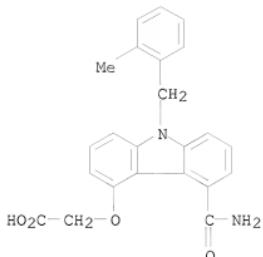
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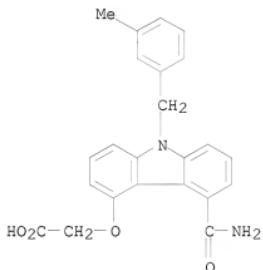
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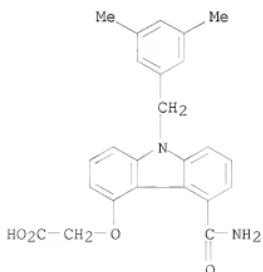
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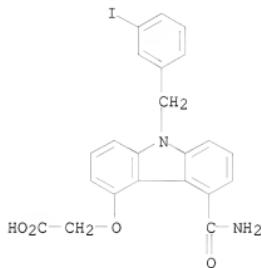
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CN Acetic acid, 2-[(5-(aminocarbonyl)-9-[(3-methylphenyl)methyl]-9H-carbazol-4-yl]oxy]- (CA INDEX NAME)



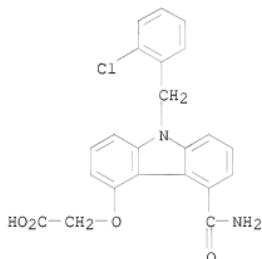
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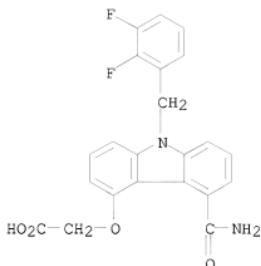
RN 220862-48-6 CAPLUS
CN Acetic acid, 2-[5-(aminocarbonyl)-9-[(3-iodophenyl)methyl]-9H-carbazol-4-yl]oxy- (CA INDEX NAME)



RN 220862-49-7 CAPLUS
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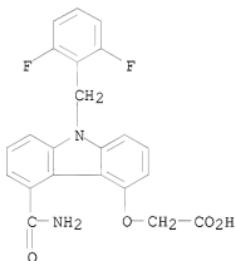


RN 220862-50-0 CAPLUS
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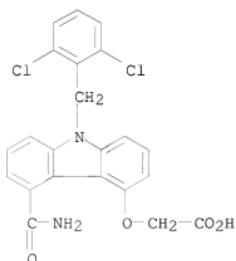
RN 220862-51-1 CAPLUS

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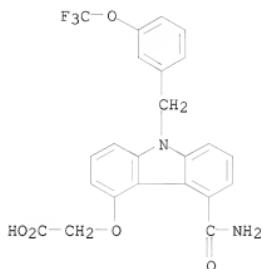


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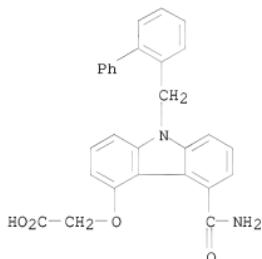
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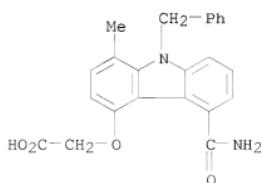
RN 220862-54-4 CAPLUS
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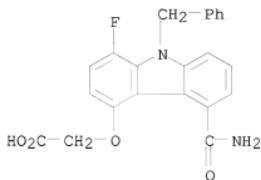


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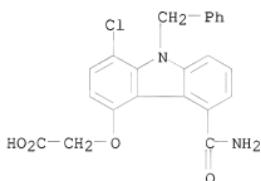
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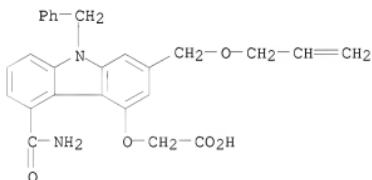
RN 220862-63-5 CAPLUS

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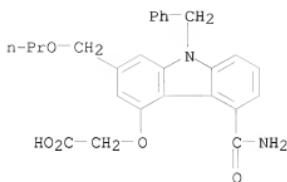
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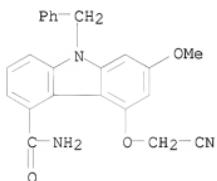


RN 220862-68-0 CAPLUS

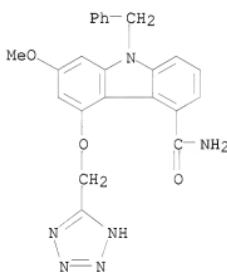
CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-2-(propoxymethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



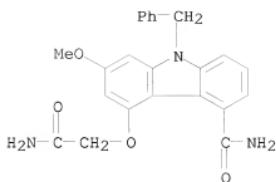
RN 220862-72-6 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-(cyanomethoxy)-7-methoxy-9-(phenylmethyl)-
 (CA INDEX NAME)



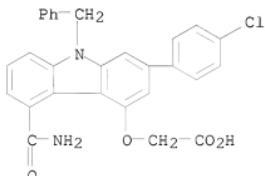
RN 220862-74-8 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 7-methoxy-9-(phenylmethyl)-5-(2H-tetrazol-5-
 ylmethoxy)- (CA INDEX NAME)



RN 220862-76-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-(2-amino-2-oxoethoxy)-7-methoxy-9-
 (phenylmethyl)- (CA INDEX NAME)



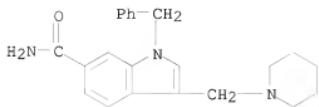
RN 220862-84-0 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-(4-chlorophenyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



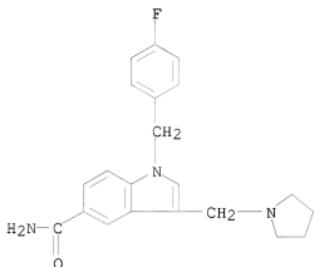
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD
 (7 CITINGS)
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 47 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 1998:358667 CAPLUS
 DOCUMENT NUMBER: 129:108959
 ORIGINAL REFERENCE NO.: 129:22389a,22392a
 TITLE: Facile substitution of resin-bound indoles via the Mannich reaction
 AUTHOR(S): Zhang, Han-Cheng; Brumfield, Kimberly K.; Jaroskova, Libuse; Maryanoff, Bruce E.
 CORPORATE SOURCE: Drug Discovery, The R. W. Johnson Pharmaceutical Research Institute, Spring House, PA, 19477, USA
 SOURCE: Tetrahedron Letters (1998), 39(25), 4449-4452
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 129:108959
 AB Mannich reaction of resin-bound indoles provided 3-aminomethylindoles. Palladium-mediated heteroannulation of terminal alkynes with resin-bound o-iodosulfonanilide, followed by Mannich reaction, afforded 2-substituted 3-aminomethylindoles. Nucleophilic substitution of resin-bound 3-[(dimethylamino)methyl]indole with KCN or Et 2-nitroacetate gave 3-substituted indoles.
 IT 210052-38-3P 210052-39-4P 210052-40-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (solid phase synthesis of (aminomethyl)indoles)
 RN 210052-38-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-(phenylmethyl)-3-(1-piperidinylmethyl)- (CA

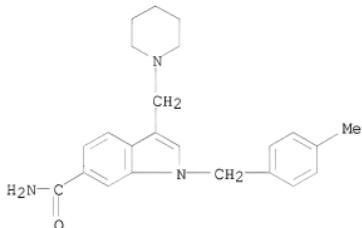
INDEX NAME)



RN 210052-39-4 CAPLUS
CN 1H-Indole-5-carboxamide, 1-[(4-fluorophenyl)methyl]-3-(1-pyrrolidinylmethyl)- (CA INDEX NAME)



RN 210052-40-7 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(4-methylphenyl)methyl]-3-(1-piperidinylmethyl)- (CA INDEX NAME)

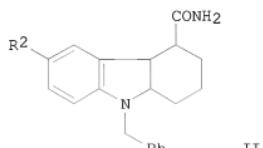
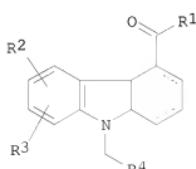


OS.CITING REF COUNT: 72 THERE ARE 72 CAPLUS RECORDS THAT CITE THIS RECORD (72 CITINGS)
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 48 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1998:293372 CAPLUS
DOCUMENT NUMBER: 129:4575
ORIGINAL REFERENCE NO.: 129:1101a, 1104a

TITLE: Preparation and formulation of
 4-carbamoyltetrahydrocarbazoloxylalkanoates and
 analogs as secretory phospholipase A2 inhibitors
 INVENTOR(S): Bach, Nicholas J.; Dillard, Robert D.; Draheim, Susan
 E.; Morin, John M., Jr.
 PATENT ASSIGNEE(S): Eli Lilly and Co., USA
 SOURCE: PCT Int. Appl., 143 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--------|----------|-----------------|------------|
| WO 9818464 | A1 | 19980507 | WO 1997-US19183 | 19971023 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH,
HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV,
MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL,
TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN,
ML, MR, NE, SN, TD, TG | | | | |
| CA 2269203 | A1 | 19980507 | CA 1997-2269203 | 19971023 |
| AU 9851494 | A | 19980522 | AU 1998-51494 | 19971023 |
| AU 734096 | B2 | 20010607 | | |
| CN 1233176 | A | 19991027 | CN 1997-198834 | 19971023 |
| HU 9903545 | A2 | 20000228 | HU 1999-3545 | 19971023 |
| HU 9903545 | A3 | 20010528 | | |
| BR 9713261 | A | 20000328 | BR 1997-13261 | 19971023 |
| JP 2001503055 | T | 20010306 | JP 1998-520585 | 19971023 |
| IN 1997CA01995 | A | 20050311 | IN 1997-CA1995 | 19971023 |
| EP 839806 | A1 | 19980506 | EP 1997-308645 | 19971029 |
| EP 839806 | B1 | 20030709 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO | | | | |
| AT 244703 | T | 20030715 | AT 1997-308645 | 19971029 |
| PT 839806 | E | 20031128 | PT 1997-308645 | 19971029 |
| ES 2202560 | T3 | 20040401 | ES 1997-308645 | 19971029 |
| TW 513428 | B | 20021211 | TW 1997-116217 | 19971030 |
| NO 9901831 | A | 19990621 | NO 1999-1831 | 19990416 |
| NO 314899 | B1 | 20030610 | | |
| KR 2000049210 | A | 20000725 | KR 1999-7003309 | 19990416 |
| PRIORITY APPLN. INFO.: | | | US 1996-29849P | P 19961030 |
| | | | WO 1997-US19183 | W 19971023 |
| OTHER SOURCE(S): GI | MARPAT | 129:4575 | US 2000-688106 | A 20001013 |



AB Title compds. [e.g., I; R1 = NH2 or NHNH2; R2 = OH or O(CH2)mR5; R3 = H,

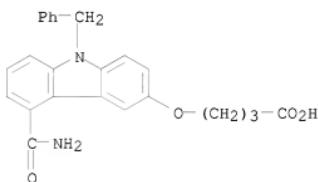
alkoxy, (amino)alkyl, phenylalkyl, etc.; R4 = H, (cyclo)alkyl, (un)substituted Ph; R5 = H, CO2H, alkoxy carbonyl, Ph, etc.; m = 1-3; dashed lines = optional addnl. bonds] were prepared. Thus, 4-(MeO)C6H4NHCH2Ph was cyclocondensed with Et 3-bromo-2-oxocyclohexanecarboxylate and the product converted in 3 steps to carbazole II (R2 = OH) which was etherified by Br(CH2)3CO2Et to give, after saponification, II [R2 = O(CH2)4CO2H]. Data for biol. activity of I were given.

IT 207340-73-6P 207340-74-7P 207340-75-8P
207340-76-9P 207340-84-9P 207340-86-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and formulation of 4-carbamoyltetrahydrocarbazolyloxyalkanoates and analogs as secretory phospholipase A2 inhibitors)

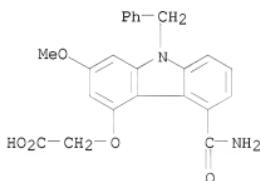
RN 207340-73-6 CAPLUS

CN Butanoic acid, 4-[5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-3-yl]oxy- (CA INDEX NAME)



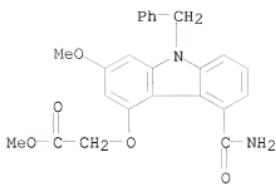
RN 207340-74-7 CAPLUS

CN Acetic acid, 2-[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy- (CA INDEX NAME)

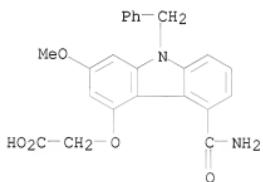


RN 207340-75-8 CAPLUS

CN Acetic acid, 2-[5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl]oxy-, methyl ester (CA INDEX NAME)

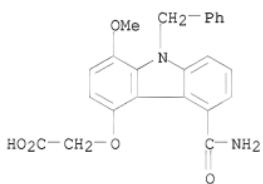


RN 207340-76-9 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-2-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]-, sodium salt (1:1) (CA INDEX NAME)

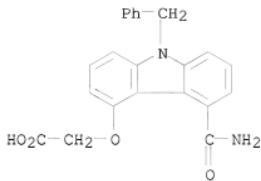


● Na

RN 207340-84-9 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-1-methoxy-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



RN 207340-86-1 CAPLUS
 CN Acetic acid, 2-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-4-yl)oxy]- (CA INDEX NAME)



IT 207341-21-7P 207341-22-8P 207341-23-9P

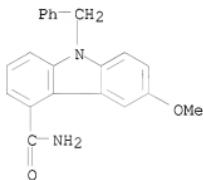
207341-24-0P 207341-25-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and formulation of 4-carbamoyltetrahydrocarbazolyloxyalkanoates and analogs as secretory phospholipase A2 inhibitors)

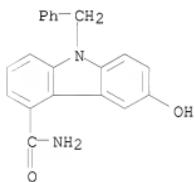
RN 207341-21-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 6-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



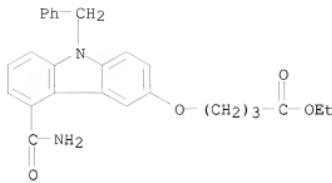
RN 207341-22-8 CAPLUS

CN 9H-Carbazole-4-carboxamide, 6-hydroxy-9-(phenylmethyl)- (CA INDEX NAME)

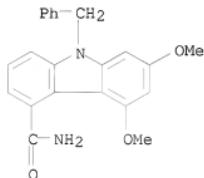


RN 207341-23-9 CAPLUS

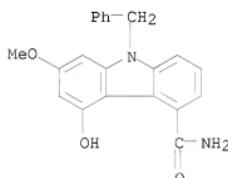
CN Butanoic acid, 4-[(5-(aminocarbonyl)-9-(phenylmethyl)-9H-carbazol-3-yl]oxy]-, ethyl ester (CA INDEX NAME)



RN 207341-24-0 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5,7-dimethoxy-9-(phenylmethyl)- (CA INDEX NAME)



RN 207341-25-1 CAPLUS
 CN 9H-Carbazole-4-carboxamide, 5-hydroxy-7-methoxy-9-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
 (9 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 49 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 1998:274848 CAPLUS
 DOCUMENT NUMBER: 129:45274
 ORIGINAL REFERENCE NO.: 129:9399a,9402a
 TITLE: Therapeutic uses and formulations of blood
 sugar-lowering indoles and their uses in preparation
 of pharmaceuticals
 INVENTOR(S): Oku, Teruo; Sawada, Kozo; Kuroda, Akio; One, Kazuhiko;
 Yamazaki, Noritsugu; Imoto, Takafumi
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 63 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

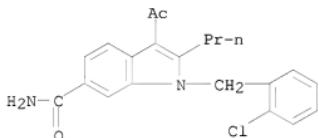
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------------------|----------|-----------------|----------|
| JP 10114654 | A | 19980506 | JP 1996-268402 | 19961009 |
| PRIORITY APPLN. INFO.: | | | JP 1996-268402 | 19961009 |
| OTHER SOURCE(S): | MARPAT 129:45274 | | | |

AB Pharmaceutical preps. containing indoles their pharmacol. acceptable salts are useful for prevention and/or treatment of glucose tolerance disorders, diabetes mellitus, hyperlipidemia, insulin resistance syndrome, cardiovascular disease, or hyperglycemia. The indoles are also useful in preparation of pharmaceuticals. Administration of 6-benzenesulfonylcarbamoyl-1-(2-chlorobenzyl)-2-methylindole at 300 mg/kg p.o. to db/db mice showed 70% lowering of blood sugar concns.

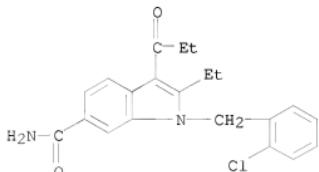
IT 184147-58-8P 184147-86-2P 184148-12-7P
 184148-20-7P 184148-72-9P 184148-89-8P
 184150-27-4P 184150-38-7P 184150-41-2P
 205528-05-8P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation and therapeutic uses of blood sugar-lowering indoles)

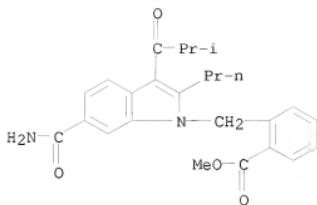
RN 184147-58-8 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-propyl-
 (CA INDEX NAME)



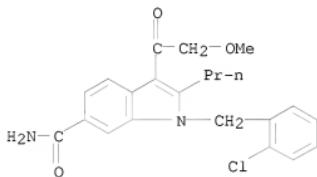
RN 184147-86-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(1-oxopropyl)- (CA INDEX NAME)



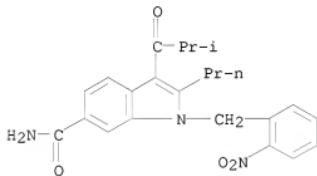
RN 184148-12-7 CAPLUS
 CN Benzoic acid, 2-[(6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl)methyl]-, methyl ester (CA INDEX NAME)



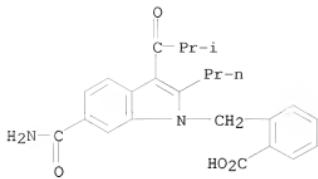
RN 184148-20-7 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



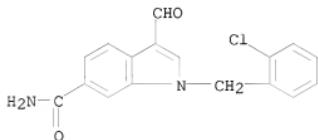
RN 184148-72-9 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-[(2-nitrophenyl)methyl]-2-propyl- (CA INDEX NAME)



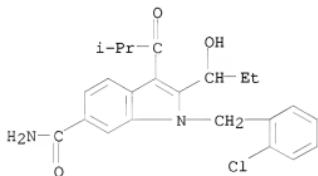
RN 184148-89-8 CAPLUS
 CN Benzoic acid, 2-[(6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl)methyl]- (CA INDEX NAME)



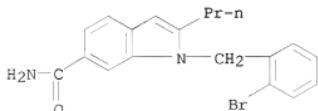
RN 184150-27-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-formyl- (CA INDEX NAME)



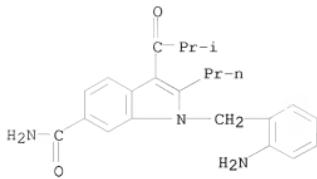
RN 184150-38-7 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(1-hydroxypropyl)-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



RN 184150-41-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-bromophenyl)methyl]-2-propyl- (CA INDEX NAME)

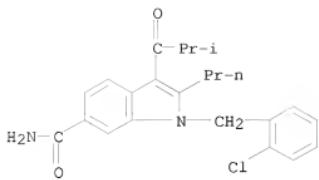


RN 205528-05-8 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-aminophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl-, hydrochloride (1:1) (CA INDEX NAME)

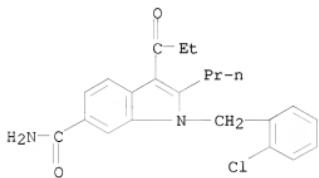


● HCl

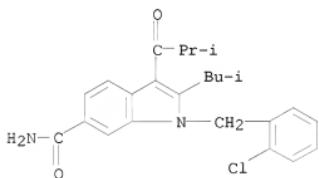
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| | 184147-92-0P | 184147-98-6P | 184148-11-6P |
| | 184148-13-8P | 184148-14-9P, | |
| | | | 184148-15-0P |
| | 1-Benzyl-3-isobutyryl-2-propylindole-6-carboxamide | | |
| | 184148-16-1P | 184148-17-2P | 184148-19-4P, |
| | 3-Isobutyryl-1-phenethyl-2-propylindole-6-carboxamide | | |
| | 184148-21-8P | 184148-66-1P | 184148-67-2P |
| | 184148-68-3P | 184148-69-4P | 184148-70-7P |
| | 184148-71-8P | 184148-73-0P | 184148-74-1P |
| | 184148-75-2P | 184148-76-3P | 184148-77-4P |
| | 184148-78-5P | 184148-79-6P | 184148-80-9P |
| | 184148-82-1P | 184148-83-2P | 184148-84-3P |
| | 184148-85-4P | 184148-86-5P | 184148-87-6P |
| | 184148-90-1P | 184149-00-6P | 184149-12-0P |
| | 184149-15-3P | 184149-16-4P | 184149-17-5P |
| | 184149-18-6P | 184149-22-2P | 184149-23-3P |
| | 184149-24-4P | 184149-35-7P | 184149-56-2P |
| | 184149-57-3P | 184149-58-4P | 184149-59-5P |
| | 184149-60-8P | 184149-61-9P | 184149-62-0P |
| | 184149-63-1P | 184149-64-2P | 184149-65-3P |
| | 184149-66-4P | 184149-67-5P | 184150-10-5P |
| | 184150-11-6P | 184150-12-7P | 184150-13-8P |
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| | 184150-56-9P | 184150-57-0P | 184150-58-1P |
| | 184150-59-2P | 184150-66-1P | 184151-83-5P |
| | 184151-84-6P | | |
| | RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) | | |
| | (preparation and therapeutic uses of blood sugar-lowering indoles) | | |
| RN | 184147-65-7 CAPLUS | | |
| CN | 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME) | | |



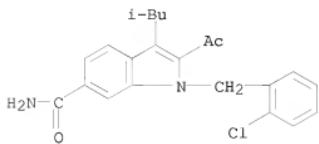
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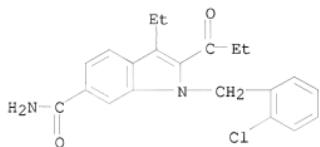
RN 184147-80-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-(2-methylpropyl)- (CA INDEX NAME)



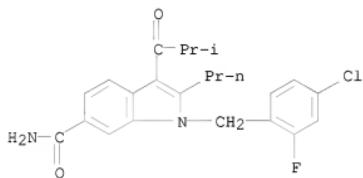
RN 184147-92-0 CAPLUS
 CN 1H-Indole-6-carboxamide, 2-acetyl-1-[(2-chlorophenyl)methyl]-3-(2-methylpropyl)- (CA INDEX NAME)



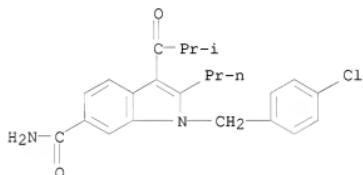
RN 184147-98-6 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-ethyl-2-(1-oxopropyl)- (CA INDEX NAME)



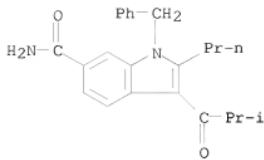
RN 184148-11-6 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(4-chloro-2-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



RN 184148-13-8 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(4-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

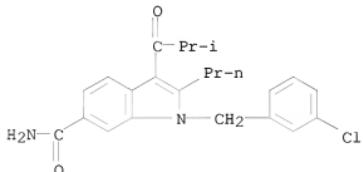


RN 184148-14-9 CAPLUS
CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(phenylmethyl)-2-propyl- (CA INDEX NAME)



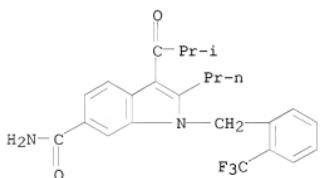
RN 184148-15-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-((3-chlorophenyl)methyl)-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



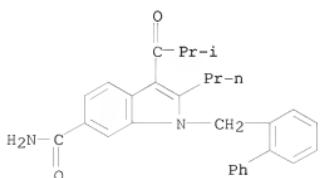
RN 184148-16-1 CAPLUS

CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-2-propyl-1-[(2-(trifluoromethyl)phenyl)methyl]- (CA INDEX NAME)

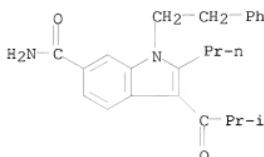


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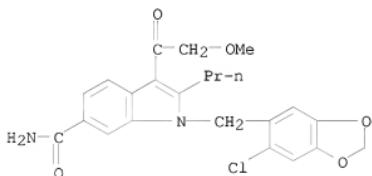
CN 1H-Indole-6-carboxamide, 1-((1,1'-biphenyl)-2-ylmethyl)-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



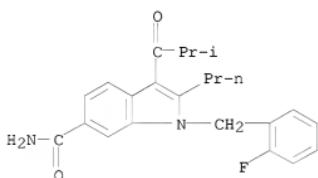
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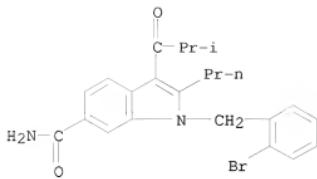
RN 184148-21-8 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



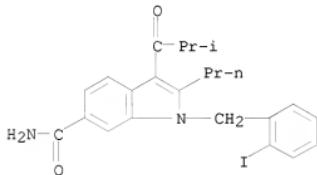
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CN 1H-Indole-6-carboxamide, 1-[(2-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



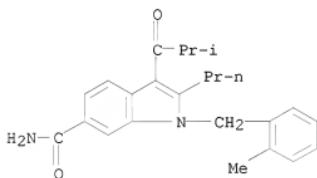
RN 184148-67-2 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-bromophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



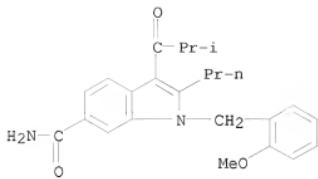
RN 184148-68-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-iodophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



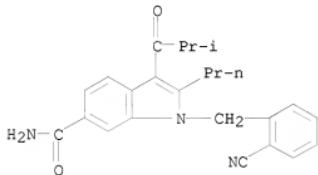
RN 184148-69-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-[(2-methyliphenyl)methyl]-2-propyl- (CA INDEX NAME)



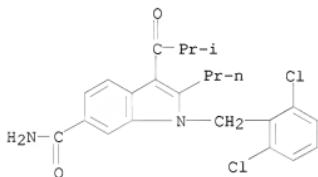
RN 184148-70-7 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-methoxyphenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



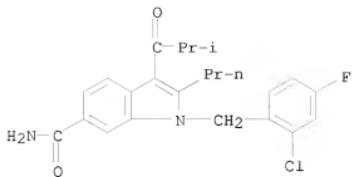
RN 184148-71-8 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-cyanophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



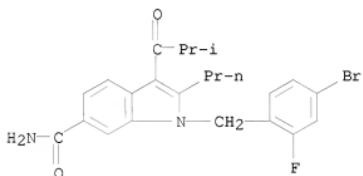
RN 184148-73-0 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2,6-dichlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



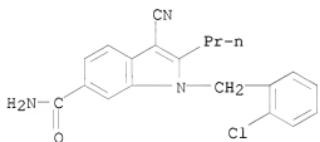
RN 184148-74-1 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



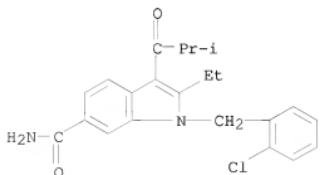
RN 184148-75-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



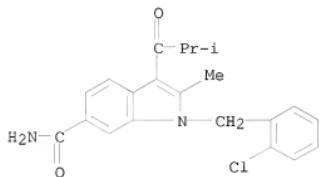
RN 184148-76-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-cyano-2-propyl- (CA INDEX NAME)



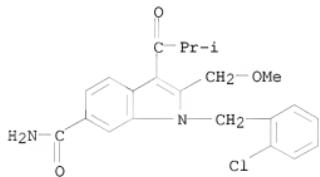
RN 184148-77-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



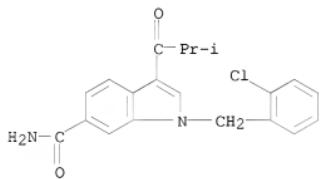
RN 184148-78-5 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-methyl-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



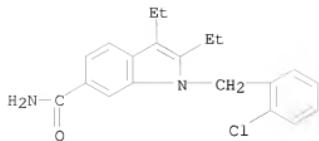
RN 184148-79-6 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(methoxymethyl)-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



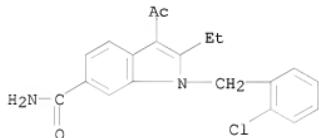
RN 184148-80-9 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



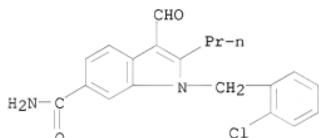
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CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2,3-diethyl- (CA INDEX NAME)



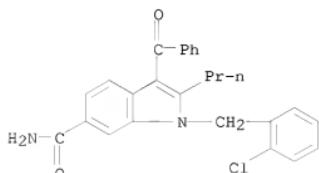
RN 184148-83-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-ethyl- (CA INDEX NAME)



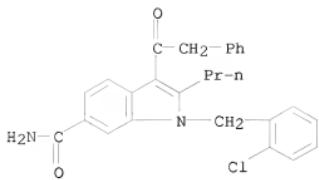
RN 184148-84-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-formyl-2-propyl- (CA INDEX NAME)



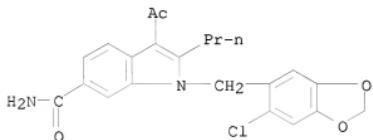
RN 184148-85-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-benzoyl-1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



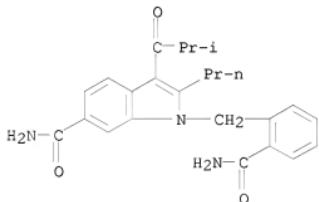
RN 184148-86-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-phenylacetyl)-2-propyl- (CA INDEX NAME)



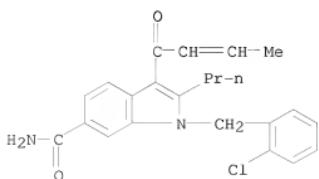
RN 184148-87-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-2-propyl- (CA INDEX NAME)



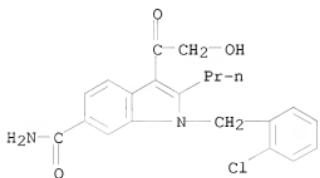
RN 184148-90-1 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-(aminocarbonyl)phenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



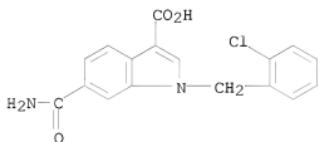
RN 184149-00-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)



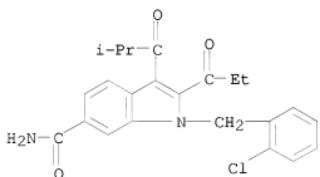
RN 184149-12-0 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-hydroxyacetyl)-2-propyl- (CA INDEX NAME)



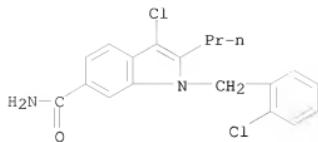
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CN 1H-Indole-3-carboxylic acid, 6-(aminocarbonyl)-1-[(2-chlorophenyl)methyl]- (CA INDEX NAME)



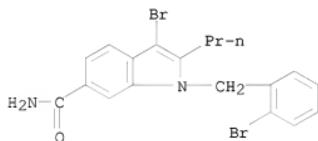
RN 184149-16-4 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-(1-oxopropyl)- (CA INDEX NAME)



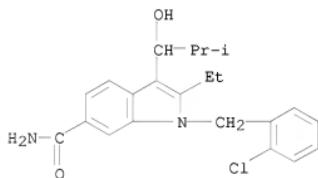
RN 184149-17-5 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



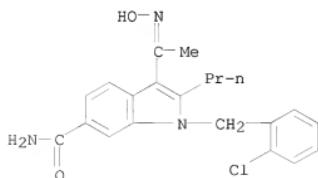
RN 184149-18-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-bromo-1-[(2-bromophenyl)methyl]-2-propyl- (CA INDEX NAME)



RN 184149-22-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(1-hydroxy-2-methylpropyl)- (CA INDEX NAME)

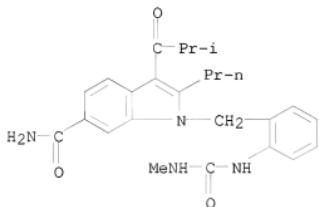


RN 184149-23-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-[1-(hydroxymino)ethyl]-2-propyl- (CA INDEX NAME)



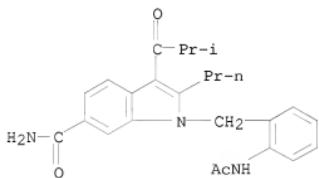
RN 184149-24-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-

[[(methylamino)carbonyl]amino]phenyl]methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



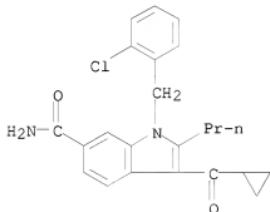
RN 184149-35-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-(acetylamino)phenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



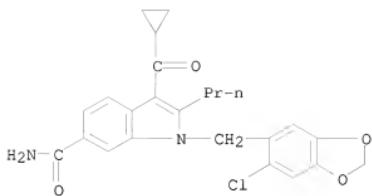
RN 184149-56-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclopropylcarbonyl)-2-propyl- (CA INDEX NAME)

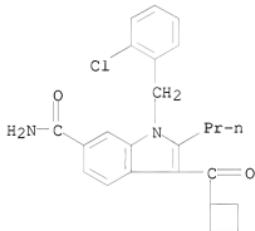


RN 184149-57-3 CAPLUS

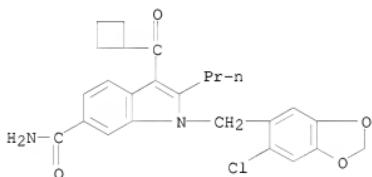
CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclopropylcarbonyl)-2-propyl- (CA INDEX NAME)



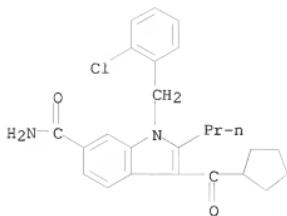
RN 184149-58-4 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclobutylcarbonyl)-2-propyl- (CA INDEX NAME)



RN 184149-59-5 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclobutylcarbonyl)-2-propyl- (CA INDEX NAME)

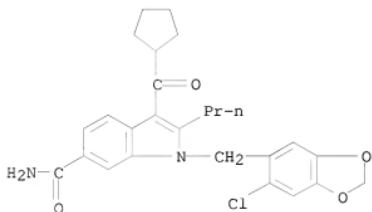


RN 184149-60-8 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclopentylcarbonyl)-2-propyl- (CA INDEX NAME)



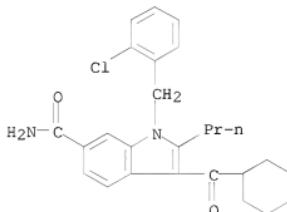
RN 184149-61-9 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclopentylcarbonyl)-2-propyl- (CA INDEX NAME)



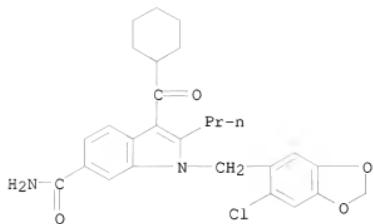
RN 184149-62-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclohexylcarbonyl)-2-propyl- (CA INDEX NAME)

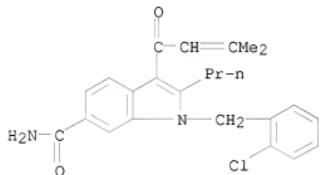


RN 184149-63-1 CAPLUS

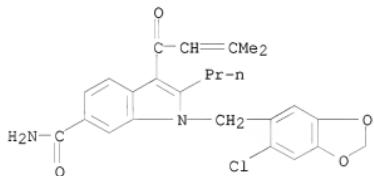
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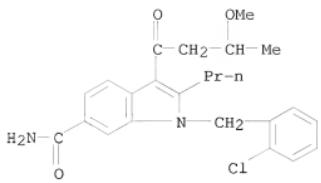
RN 184149-64-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-methyl-1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)



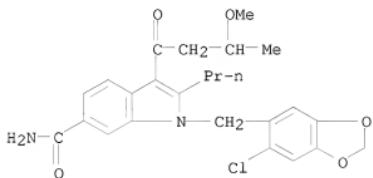
RN 184149-65-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(3-methyl-1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)



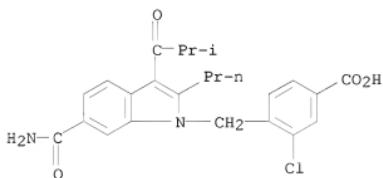
RN 184149-66-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-methoxy-1-oxobutyl)-2-propyl- (CA INDEX NAME)



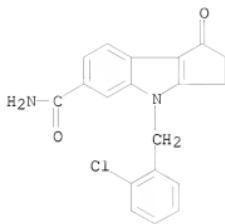
RN 184149-67-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(3-methoxy-1-oxobutyl)-2-propyl- (CA INDEX NAME)



RN 184150-10-5 CAPLUS
 CN Benzoic acid, 4-[(6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl)methyl]-3-chloro- (CA INDEX NAME)

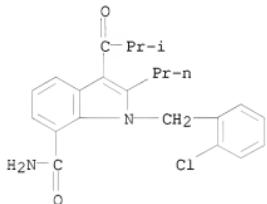


RN 184150-11-6 CAPLUS
 CN Cyclopent[b]indole-6-carboxamide, 4-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-1-oxo- (CA INDEX NAME)



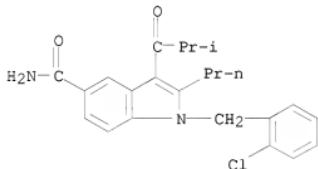
RN 184150-12-7 CAPLUS

CN 1H-Indole-7-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



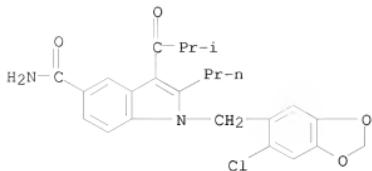
RN 184150-13-8 CAPLUS

CN 1H-Indole-5-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

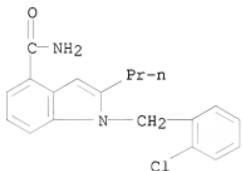


RN 184150-14-9 CAPLUS

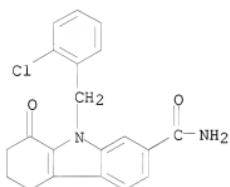
CN 1H-Indole-5-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



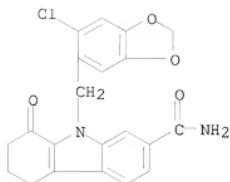
RN 184150-15-0 CAPLUS
 CN 1H-Indole-4-carboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



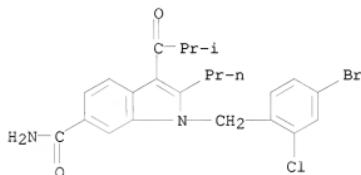
RN 184150-16-1 CAPLUS
 CN 1H-Carbazole-7-carboxamide, 9-[(2-chlorophenyl)methyl]-2,3,4,9-tetrahydro-1-oxo- (CA INDEX NAME)



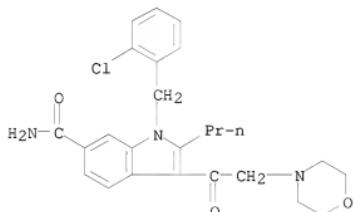
RN 184150-17-2 CAPLUS
 CN 1H-Carbazole-7-carboxamide, 9-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-2,3,4,9-tetrahydro-1-oxo- (CA INDEX NAME)



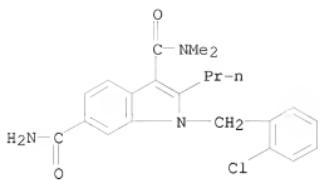
RN 184150-18-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



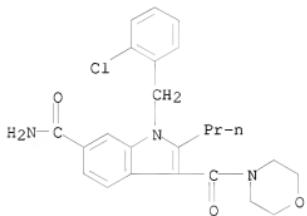
RN 184150-19-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-[2-(4-morpholinyl)acetyl]-2-propyl- (CA INDEX NAME)



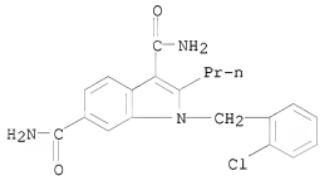
RN 184150-22-9 CAPLUS
 CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-N3,N3-dimethyl-2-propyl- (CA INDEX NAME)



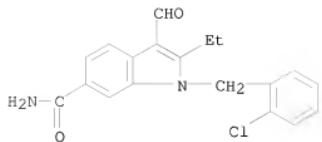
RN 184150-23-0 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(4-morpholinylcarbonyl)-2-propyl- (CA INDEX NAME)



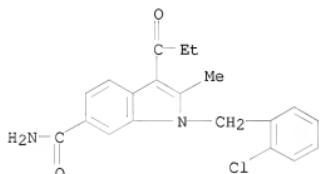
RN 184150-24-1 CAPLUS
 CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



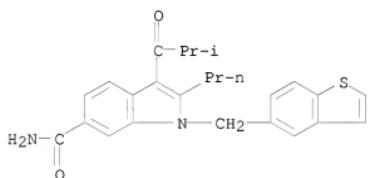
RN 184150-25-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-formyl- (CA INDEX NAME)



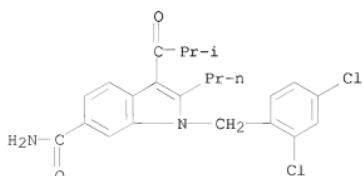
RN 184150-28-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-methyl-3-(1-oxopropyl)- (CA INDEX NAME)



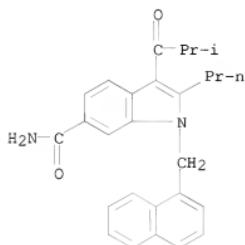
RN 184150-31-0 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-(benzo[b]thien-5-ylmethyl)-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



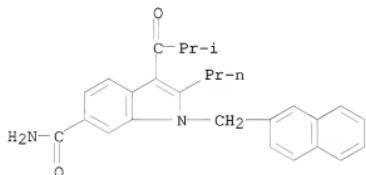
RN 184150-32-1 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2,4-dichlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



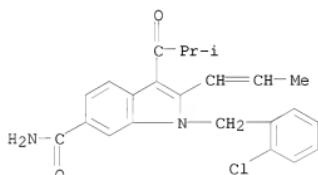
RN 184150-34-3 CAPLUS
CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(1-naphthalenylmethyl)-
2-propyl- (CA INDEX NAME)



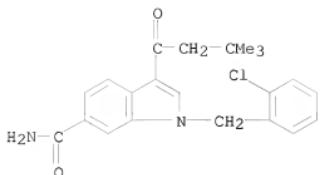
RN 184150-35-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(2-naphthalenylmethyl)-
2-propyl- (CA INDEX NAME)



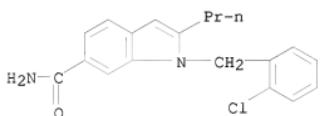
RN 184150-37-6 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-
oxopropyl)-2-(1-propen-1-yl)- (CA INDEX NAME)



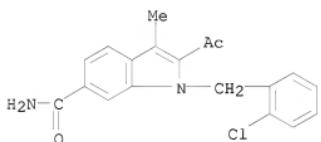
RN 184150-39-8 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3,3-dimethyl-1-
oxobutyl)- (CA INDEX NAME)



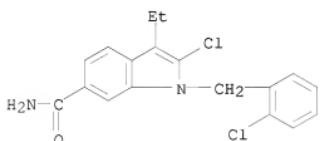
RN 184150-40-1 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



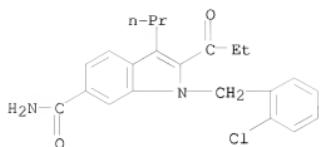
RN 184150-42-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 2-acetyl-1-[(2-chlorophenyl)methyl]-3-methyl- (CA INDEX NAME)



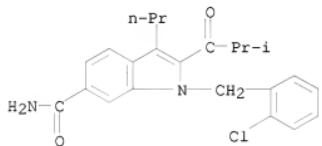
RN 184150-43-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 2-chloro-1-[(2-chlorophenyl)methyl]-3-ethyl- (CA INDEX NAME)



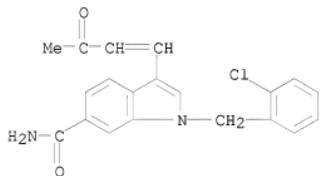
RN 184150-44-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(1-oxopropyl)-3-propyl- (CA INDEX NAME)



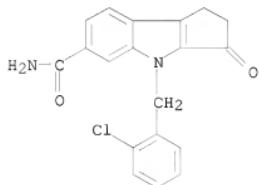
RN 184150-45-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(2-methyl-1-oxopropyl)-3-propyl (CA INDEX NAME)



RN 184150-46-7 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-oxo-1-buten-1-yl)- (CA INDEX NAME)

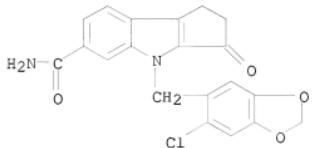


RN 184150-47-8 CAPLUS
 CN Cyclopent[b]indole-6-carboxamide, 4-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-3-oxo- (CA INDEX NAME)



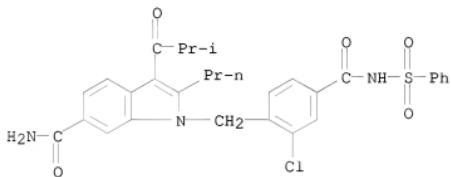
RN 184150-48-9 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-1,2,3,4-tetrahydro-3-oxo- (CA INDEX NAME)



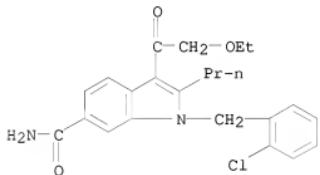
RN 184150-49-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-[(phenylsulfonyl)amino]carbonyl)phenyl]methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



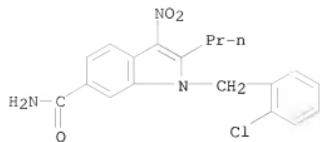
RN 184150-50-3 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-ethoxyacetyl)-2-propyl- (CA INDEX NAME)

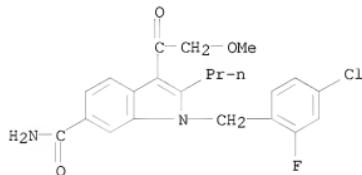


RN 184150-53-6 CAPLUS

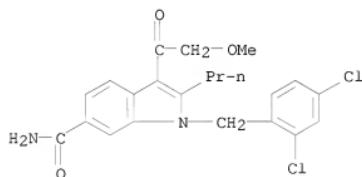
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-nitro-2-propyl- (CA INDEX NAME)



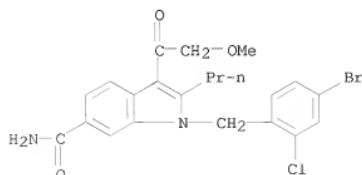
RN 184150-54-7 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(4-chloro-2-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



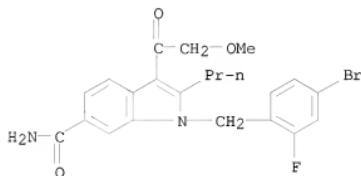
RN 184150-55-8 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2,4-dichlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



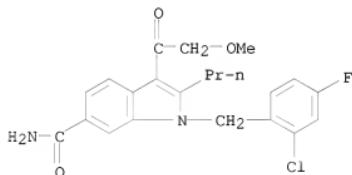
RN 184150-56-9 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-chlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



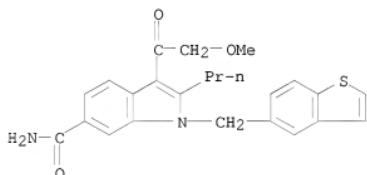
RN 184150-57-0 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



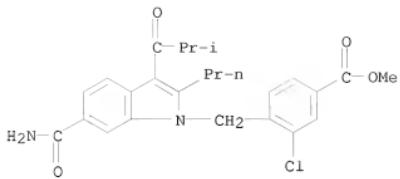
RN 184150-58-1 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



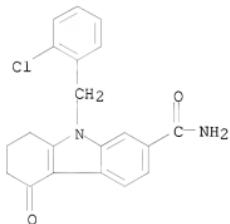
RN 184150-59-2 CAPLUS
CN 1H-Indole-6-carboxamide, 1-(benzo[b]thien-5-ylmethyl)-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



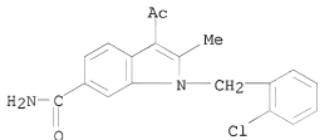
RN 184150-66-1 CAPLUS
CN Benzoic acid, 4-[(6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl)methyl]-3-chloro-, methyl ester (CA INDEX NAME)



RN 184151-83-5 CAPLUS
 CN 1H-Carbazole-7-carboxamide, 9-[(2-chlorophenyl)methyl]-2,3,4,9-tetrahydro-
 4-oxo- (CA INDEX NAME)



RN 184151-84-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-methyl-
 (CA INDEX NAME)

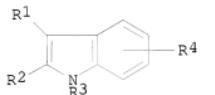


OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
 (5 CITINGS)

L12 ANSWER 50 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 1998:155177 CAPLUS
 DOCUMENT NUMBER: 128:275074
 ORIGINAL REFERENCE NO.: 128:54365a,54368a
 TITLE: Cyclic nucleotide phosphodiesterase (PDE) inhibitors
 for prevention and treatment of lupus erythematosus
 and nephritis, and indoles as cGMP-PDE inhibitors
 INVENTOR(S): Nomoto, Atsushi; Hamada, Kaori; Kodama, Hiroshi;
 Sokabe, Keizo
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 61 pp.

DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|------------|-----------------|------------|
| JP 10067682 | A | 19980310 | JP 1997-191618 | 19970716 |
| PRIORITY APPLN. INFO.: | | | AU 1996-1188 | A 19960723 |
| OTHER SOURCE(S):
GI | MARPAT | 128:275074 | | |



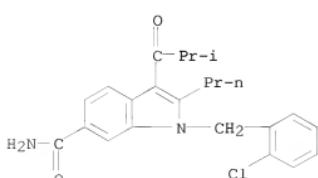
AB Prophylactic and therapeutic agents for (systemic) lupus erythematosus and lupus nephritis contain cyclic nucleotide PDE inhibitors as active ingredients. Also claimed are indoles I [R1 = H, halo, NO₂, (protected) CO₂H, acyl, cyano, hydroxymino-lower alkyl, (oxo-substituted) lower alkenyl, etc.; R2 = H, halo, lower alkenyl, acyl, (protected) CO₂H, lower alkoxy, lower (hydroxy)alkyl; R3 = (un)substituted lower alkenyl, (un)substituted lower alkyl; R4 = (protected) CO₂H, acyl, cyano, halo, heterocyclyl, (un)substituted NH₂, (un)substituted alkyl; R1CCR2 may form (oxo-substituted) 4- to 7-membered heterocyclic ring] or their medically acceptable salts as cGMP-PDE inhibitors.
 1-(6-Chloro-3,4-methylenedioxybenzyl)-3-methoxyacetyl-2-propylindole-6-carboxamide was effective in treatment of immune-complex nephritis in mice.

IT 184147-65-7P 205527-99-7P

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of indoles as cyclic nucleotide PDE inhibitors for treatment of lupus erythematosus and nephritis)

RN 184147-65-7 CAPLUS

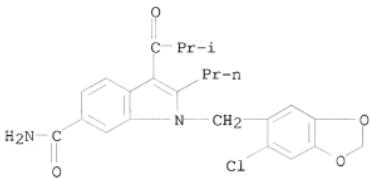
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



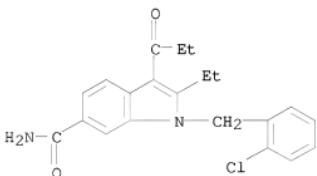
RN 205527-99-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-

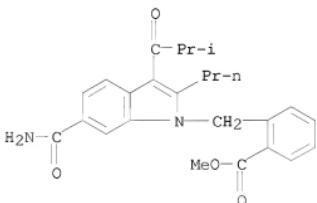
methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



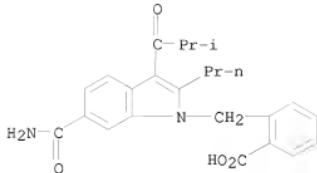
IT 184147-86-2P 184148-12-7P 184148-89-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indoles as cyclic nucleotide PDE inhibitors for treatment of lupus erythematosus and nephritis)
RN 184147-86-2 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(1-oxopropyl)- (CA INDEX NAME)



RN 184148-12-7 CAPLUS
CN Benzoic acid, 2-[(6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl)methyl]-, methyl ester (CA INDEX NAME)



RN 184148-89-8 CAPLUS
CN Benzoic acid, 2-[(6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl)methyl]- (CA INDEX NAME)



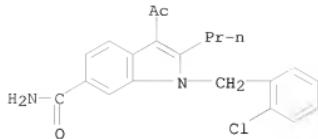
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| | 184148-14-9P, 1-Benzyl-3-isobutyryl-2-propylindole-6-carboxamide | | |
| | 184148-15-0P | 184148-16-1P | 184148-17-2P |
| | 184148-19-4P, 3-Isobutyryl-1-phenethyl-2-propylindole-6-carboxamide | 184148-66-1P | 184148-67-2P |
| | 184148-68-3P | 184148-69-4P | 184148-70-7P |
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| | 184148-82-1P | 184148-83-2P | 184148-84-3P |
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| | 184150-53-6P | 184150-54-7P | 184150-55-8P |
| | 184150-56-9P | 184150-57-0P | 184150-58-1P |
| | 184150-59-2P | 184150-66-1P | 184151-83-5P |
| | 184151-84-6P | 205527-90-8P | 205527-98-6P |
| | 205528-01-4P | 205528-05-8P | |

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

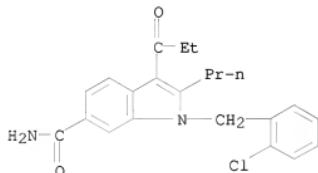
(preparation of indoles as cyclic nucleotide PDE inhibitors for treatment of lupus erythematosus and nephritis)

RN 184147-58-8 CAPLUS

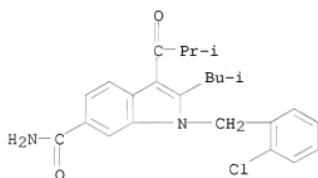
CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-propyl-
(CA INDEX NAME)



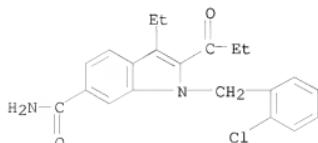
RN 184147-72-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(1-oxopropyl)-2-propyl- (CA INDEX NAME)



RN 184147-80-6 CAPLUS
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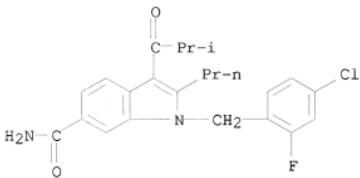


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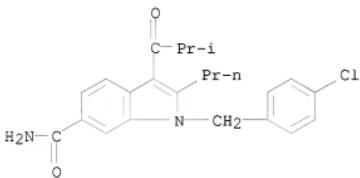
RN 184148-11-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(4-chloro-2-fluorophenyl)methyl]-3-(2-methyl-1-

oxopropyl)-2-propyl- (CA INDEX NAME)



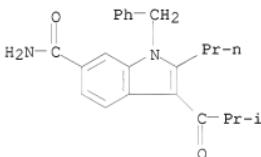
RN 184148-13-8 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(4-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



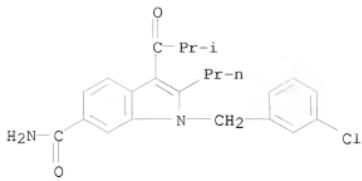
RN 184148-14-9 CAPLUS

CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(phenylmethyl)-2-propyl- (CA INDEX NAME)

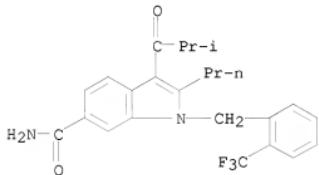


RN 184148-15-0 CAPLUS

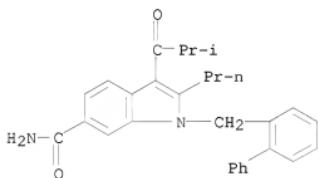
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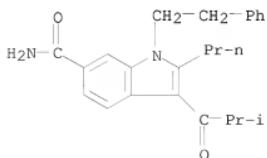
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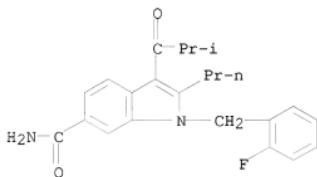
RN 184148-17-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-((1,1'-biphenyl)-2-ylmethyl)-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



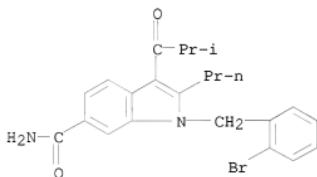
RN 184148-19-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(2-phenylethyl)-2-propyl- (CA INDEX NAME)



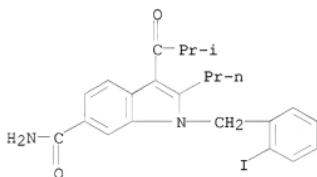
RN 184148-66-1 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



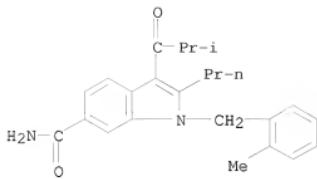
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CN 1H-Indole-6-carboxamide, 1-[(2-bromophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



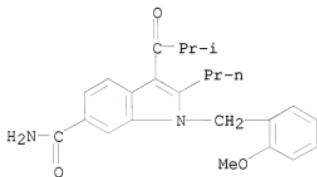
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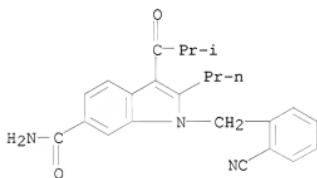
RN 184148-69-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-[(2-methylphenyl)methyl]-2-propyl- (CA INDEX NAME)



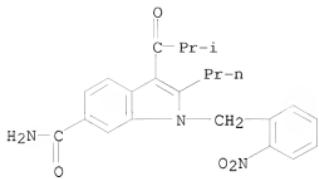
RN 184148-70-7 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-methoxyphenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



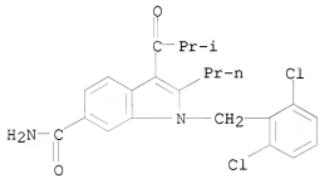
RN 184148-71-8 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-cyanophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



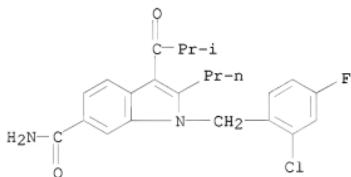
RN 184148-72-9 CAPLUS
CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-[(2-nitrophenyl)methyl]-2-propyl- (CA INDEX NAME)



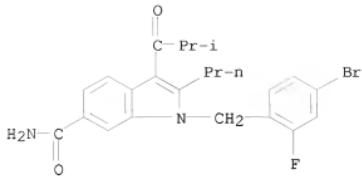
RN 184148-73-0 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2,6-dichlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



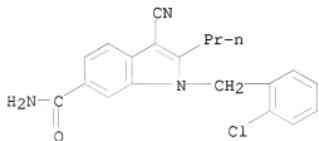
RN 184148-74-1 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



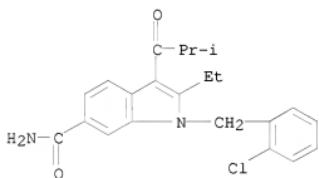
RN 184148-75-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



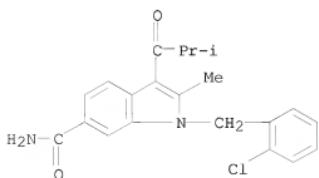
RN 184148-76-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-cyano-2-propyl- (CA INDEX NAME)



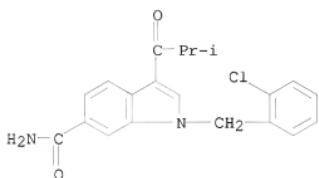
RN 184148-77-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



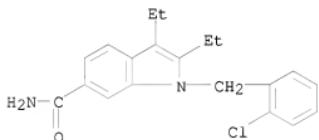
RN 184148-78-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-methyl-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



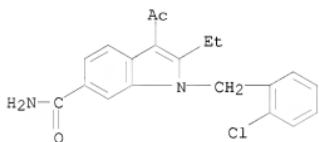
RN 184148-80-9 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



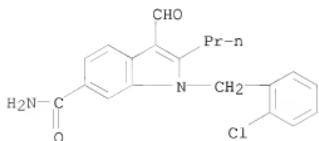
RN 184148-82-1 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2,3-diethyl- (CA INDEX NAME)



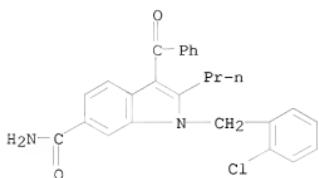
RN 184148-83-2 CAPLUS
CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-ethyl- (CA INDEX NAME)



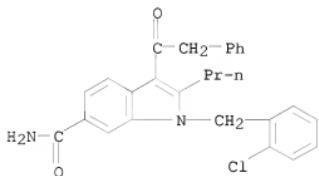
RN 184148-84-3 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-formyl-2-propyl- (CA INDEX NAME)



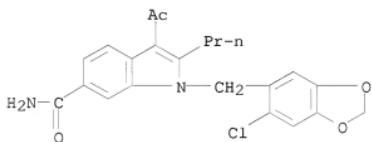
RN 184148-85-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-benzoyl-1-[(2-chlorophenyl)methyl]-2-propyl-
(CA INDEX NAME)



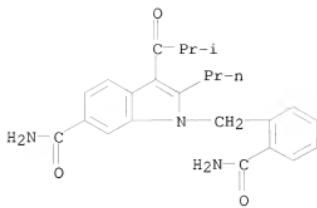
RN 184148-86-5 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-phenylacetyl)-2-
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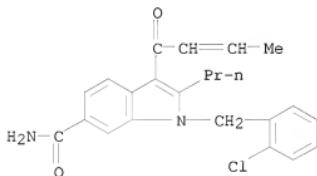
RN 184148-87-6 CAPLUS
CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(6-chloro-1,3-benzodioxol-5-
yl)methyl]-2-propyl- (CA INDEX NAME)



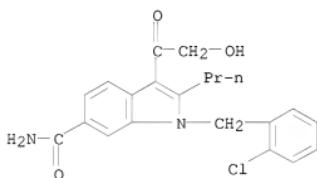
RN 184148-90-1 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-(aminocarbonyl)phenyl)methyl]-3-(2-methyl-1-
oxopropyl)-2-propyl- (CA INDEX NAME)



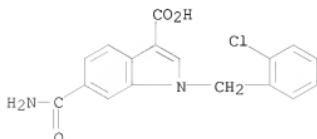
RN 184149-00-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)



RN 184149-12-0 CAPLUS
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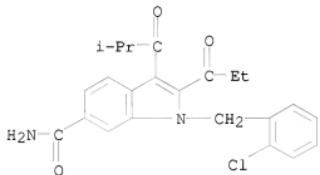


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 CN 1H-Indole-3-carboxylic acid, 6-(aminocarbonyl)-1-[(2-chlorophenyl)methyl]- (CA INDEX NAME)



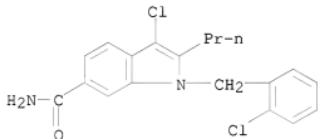
RN 184149-16-4 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-(1-oxopropyl)- (CA INDEX NAME)



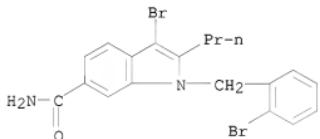
RN 184149-17-5 CAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



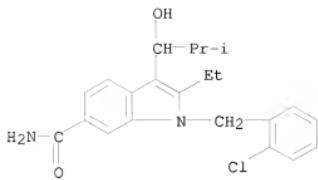
RN 184149-18-6 CAPLUS

CN 1H-Indole-6-carboxamide, 3-bromo-1-[(2-bromophenyl)methyl]-2-propyl- (CA INDEX NAME)

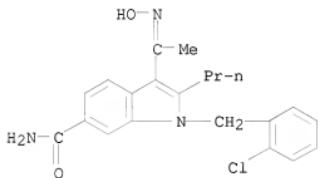


RN 184149-22-2 CAPLUS

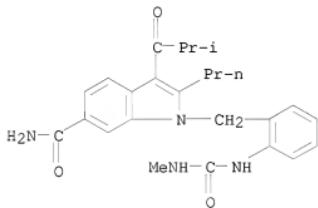
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(1-hydroxy-2-methylpropyl)- (CA INDEX NAME)



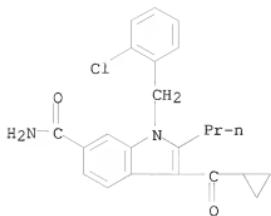
RN 184149-23-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-[(1-hydroxyimino)ethyl]-2-propyl- (CA INDEX NAME)



RN 184149-24-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-[(methylamino)carbonyl]amino]phenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

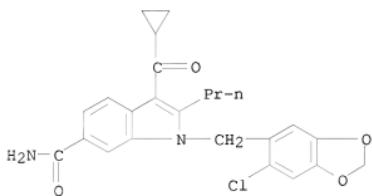


RN 184149-56-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclopropylcarbonyl)-2-propyl- (CA INDEX NAME)



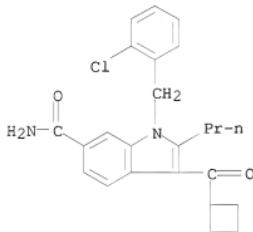
RN 184149-57-3 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclopropylcarbonyl)-2-propyl- (CA INDEX NAME)



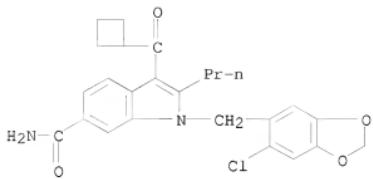
RN 184149-58-4 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclobutylcarbonyl)-2-propyl- (CA INDEX NAME)

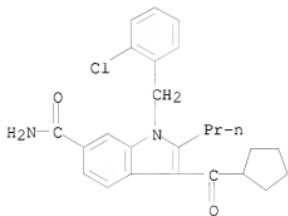


RN 184149-59-5 CAPLUS

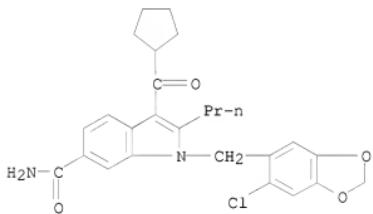
CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclobutylcarbonyl)-2-propyl- (CA INDEX NAME)



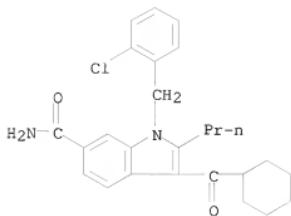
RN 184149-60-8 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclopentylcarbonyl)-2-propyl- (CA INDEX NAME)



RN 184149-61-9 CAPLUS
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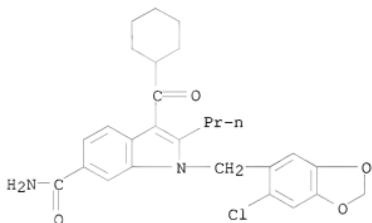


RN 184149-62-0 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclohexylcarbonyl)-2-propyl- (CA INDEX NAME)



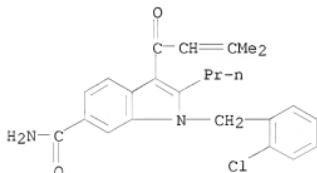
RN 184149-63-1 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclohexylcarbonyl)-2-propyl- (CA INDEX NAME)



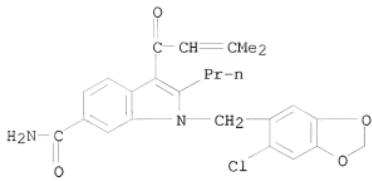
RN 184149-64-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-methyl-1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)

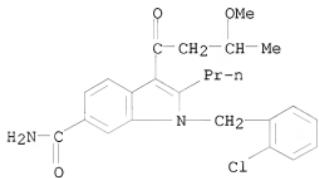


RN 184149-65-3 CAPLUS

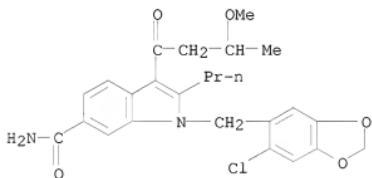
CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(3-methyl-1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)



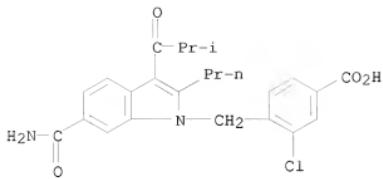
RN 184149-66-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-methoxy-1-oxobutyl)-2-propyl- (CA INDEX NAME)



RN 184149-67-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(3-methoxy-1-oxobutyl)-2-propyl- (CA INDEX NAME)

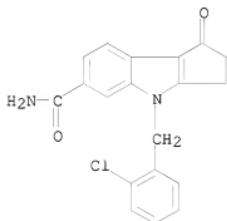


RN 184150-10-5 CAPLUS
 CN Benzoic acid, 4-[(6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl)methyl]-3-chloro- (CA INDEX NAME)



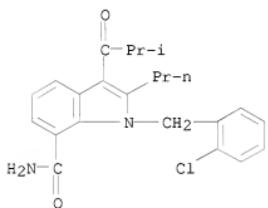
RN 184150-11-6 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-1-oxo- (CA INDEX NAME)



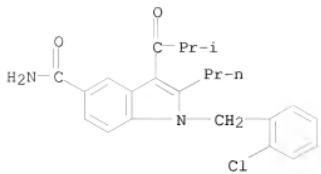
RN 184150-12-7 CAPLUS

CN 1H-Indole-7-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

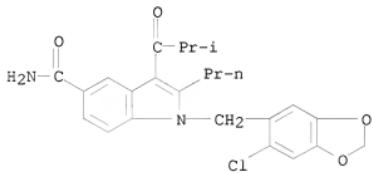


RN 184150-13-8 CAPLUS

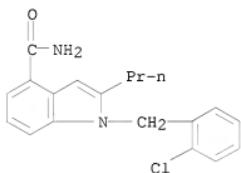
CN 1H-Indole-5-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



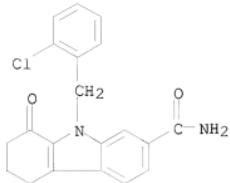
RN 184150-14-9 CAPLUS
 CN 1H-Indole-5-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



RN 184150-15-0 CAPLUS
 CN 1H-Indole-4-carboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)

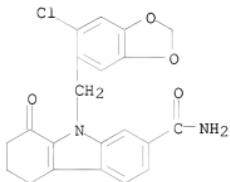


RN 184150-16-1 CAPLUS
 CN 1H-Carbazole-7-carboxamide, 9-[(2-chlorophenyl)methyl]-2,3,4,9-tetrahydro-1-oxo- (CA INDEX NAME)



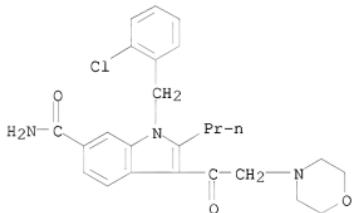
RN 184150-17-2 CAPLUS

CN 1H-Carbazole-7-carboxamide, 9-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-2,3,4,9-tetrahydro-1-oxo- (CA INDEX NAME)



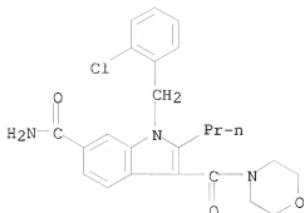
RN 184150-19-4 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-[2-(4-morpholinyl)acetyl]-2-propyl- (CA INDEX NAME)



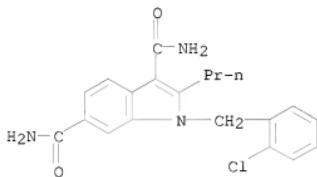
RN 184150-23-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(4-morpholinylcarbonyl)-2-propyl- (CA INDEX NAME)



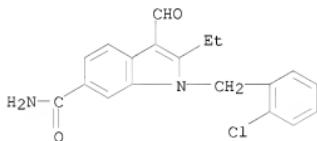
RN 184150-24-1 CAPLUS

CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



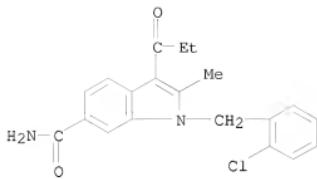
RN 184150-25-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-formyl- (CA INDEX NAME)

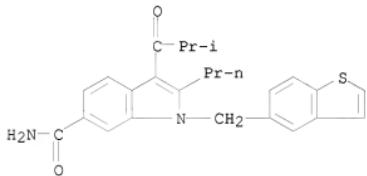


RN 184150-28-5 CAPLUS

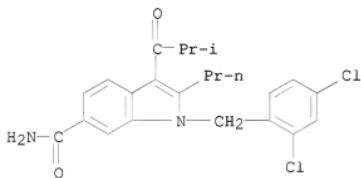
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-methyl-3-(1-oxopropyl)- (CA INDEX NAME)



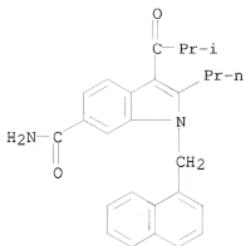
RN 184150-31-0 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-(benzo[b]thien-5-ylmethyl)-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



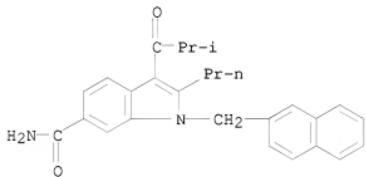
RN 184150-32-1 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2,4-dichlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



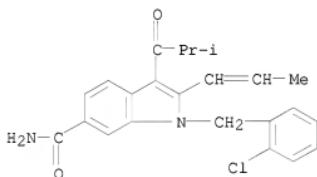
RN 184150-34-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(1-naphthalenylmethyl)-2-propyl- (CA INDEX NAME)



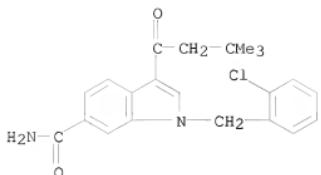
RN 184150-35-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(2-naphthalenylmethyl)-
 2-propyl- (CA INDEX NAME)



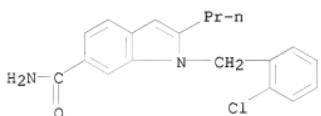
RN 184150-37-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-(1-propen-1-yl)- (CA INDEX NAME)



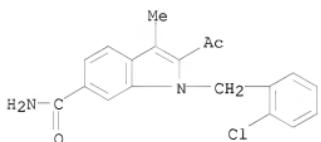
RN 184150-39-8 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3,3-dimethyl-1-oxobutyl)- (CA INDEX NAME)



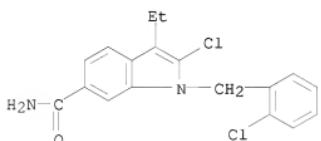
RN 184150-40-1 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



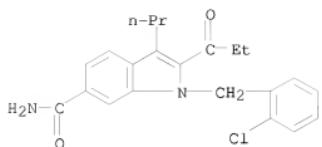
RN 184150-42-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 2-acetyl-1-[(2-chlorophenyl)methyl]-3-methyl- (CA INDEX NAME)



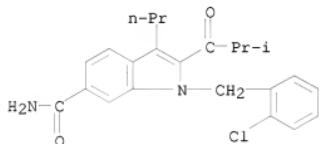
RN 184150-43-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 2-chloro-1-[(2-chlorophenyl)methyl]-3-ethyl- (CA INDEX NAME)



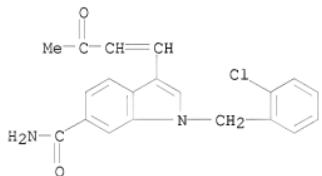
RN 184150-44-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(1-oxopropyl)-3-propyl- (CA INDEX NAME)



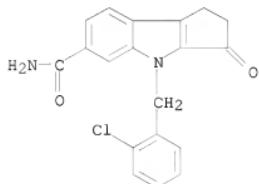
RN 184150-45-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(2-methyl-1-oxopropyl)-3-propyl (CA INDEX NAME)



RN 184150-46-7 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-oxo-1-buten-1-yl)- (CA INDEX NAME)

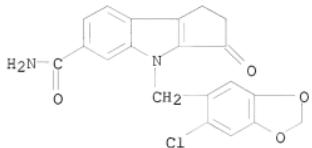


RN 184150-47-8 CAPLUS
 CN Cyclopent[b]indole-6-carboxamide, 4-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-3-oxo- (CA INDEX NAME)



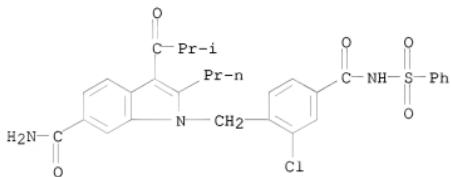
RN 184150-48-9 CAPLUS

CN Cyclopent[b]indole-6-carboxamide, 4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-1,2,3,4-tetrahydro-3-oxo- (CA INDEX NAME)



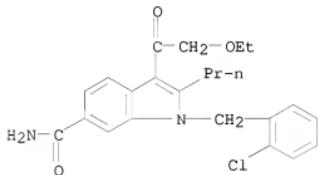
RN 184150-49-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-[(phenylsulfonyl)amino]carbonyl)phenyl]methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



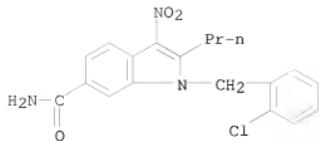
RN 184150-50-3 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-ethoxyacetyl)-2-propyl- (CA INDEX NAME)



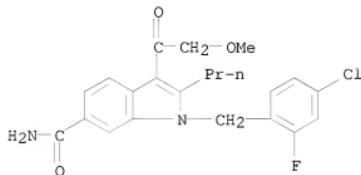
RN 184150-53-6 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-nitro-2-propyl- (CA INDEX NAME)



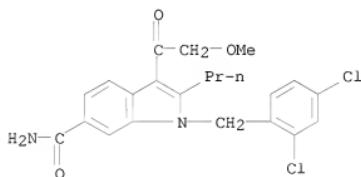
RN 184150-54-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(4-chloro-2-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



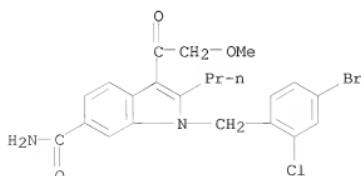
RN 184150-55-8 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2,4-dichlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)

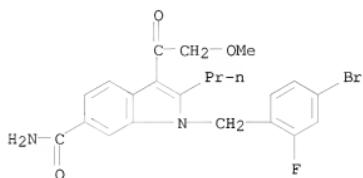


RN 184150-56-9 CAPLUS

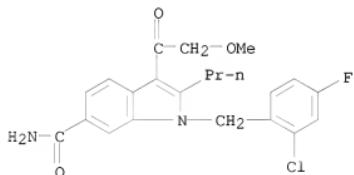
CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-chlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



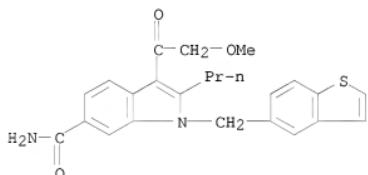
RN 184150-57-0 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



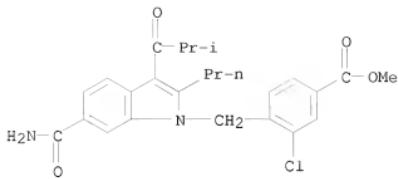
RN 184150-58-1 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



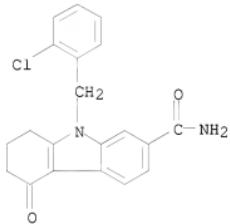
RN 184150-59-2 CAPLUS
CN 1H-Indole-6-carboxamide, 1-(benzo[b]thien-5-ylmethyl)-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



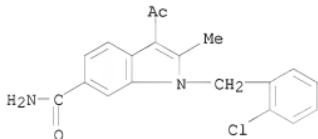
RN 184150-66-1 CAPLUS
CN Benzoic acid, 4-[(6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl)methyl]-3-chloro-, methyl ester (CA INDEX NAME)



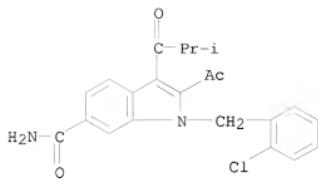
RN 184151-83-5 CAPLUS
 CN 1H-Carbazole-7-carboxamide, 9-[(2-chlorophenyl)methyl]-2,3,4,9-tetrahydro-
 4-oxo- (CA INDEX NAME)



RN 184151-84-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-methyl-
 (CA INDEX NAME)

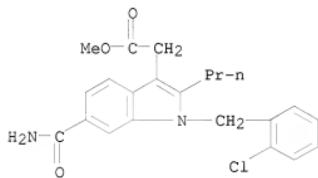


RN 205527-90-8 CAPLUS
 CN 1H-Indole-6-carboxamide, 2-acetyl-1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-
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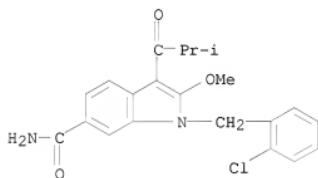
RN 205527-98-6 CAPLUS

CN 1H-Indole-3-acetic acid, 6-(aminocarbonyl)-1-[(2-chlorophenyl)methyl]-2-propyl-, methyl ester (CA INDEX NAME)



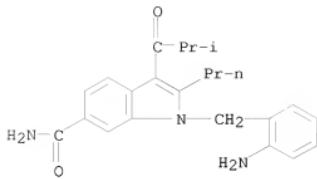
RN 205528-01-4 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-methoxy-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



RN 205528-05-8 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-aminophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl-, hydrochloride (1:1) (CA INDEX NAME)



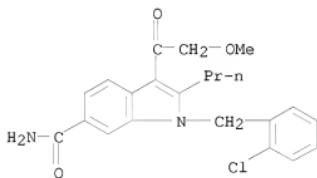
● HCl

IT 184148-20-7 184150-27-4 184150-38-7
184150-41-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of indoles as cyclic nucleotide PDE inhibitors for treatment of lupus erythematosus and nephritis)

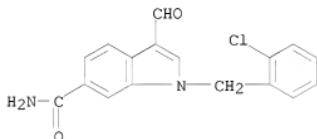
RN 184148-20-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



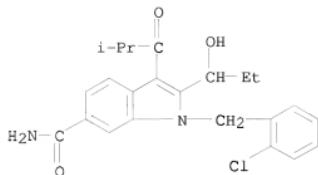
RN 184150-27-4 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-formyl- (CA INDEX NAME)

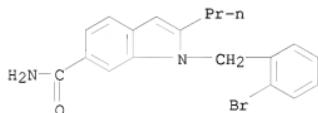


RN 184150-38-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(1-hydroxypropyl)-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



RN 184150-41-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-bromophenyl)methyl]-2-propyl- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
 (6 CITINGS)

L12 ANSWER 51 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 1996:746234 CAPLUS
 DOCUMENT NUMBER: 126:18786
 ORIGINAL REFERENCE NO.: 126:3901a,3904a
 TITLE: Indole derivatives as cGMP-PDE inhibitors
 INVENTOR(S): Oku, Teruo; Sawada, Kozo; Kuroda, Akio; Ohne, Kazuhiko; Nomoto, Atsushi; Hosogai, Naomi; Nakajima, Yoshimitsu; Nagashima, Akira; Sogabe, Keizo; Amura, Kouichi
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 211 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 9632379 | A1 | 19961017 | WO 1996-JP892 | 19960402 |
| CA 2217707 | A1 | 19961017 | CA 1996-2217707 | 19960402 |
| AU 9651234 | A | 19961030 | AU 1996-51234 | 19960402 |
| AU 713460 | B2 | 19991202 | | |
| EP 820441 | A1 | 19980128 | EP 1996-907750 | 19960402 |
| EP 820441 | B1 | 20020626 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| CN 1187812 | A | 19980715 | CN 1996-194691 | 19960402 |
| JP 11503445 | T | 19990326 | JP 1996-530864 | 19960402 |
| AT 219765 | T | 20020715 | AT 1996-907750 | 19960402 |
| ES 2175079 | T3 | 20021116 | ES 1996-907750 | 19960402 |
| ZA 9602859 | A | 19961011 | ZA 1996-2859 | 19960410 |
| TW 420663 | B | 20010201 | TW 1996-104519 | 19960416 |
| US 6069156 | A | 20000530 | US 1997-930597 | 19971210 |

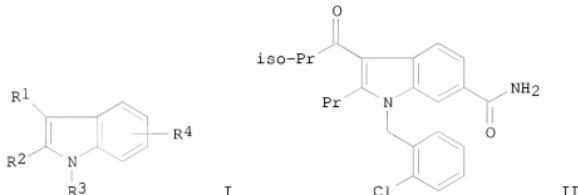
PRIORITY APPLN. INFO.:

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| GB | 1995-7432 | A | 19950410 |
| GB | 1995-12560 | A | 19950621 |
| GB | 1995-16136 | A | 19950807 |
| AU | 1996-8294 | A | 19960227 |
| WO | 1996-JP892 | W | 19960402 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 126:18786

GT



AB The invention relates to new indole derivs. I and their pharmaceutically acceptable salts [wherein R₁ = H, halo, NO₂, CO₂H, protected CO₂H, acyl, (un)substituted alk(en)yl, etc.; R₂ = H, halo, alkenyl, acyl, (un)substituted alkyl, etc.; R₃ = (un)substituted alk(en)yl where the substituent is oxo, (un)substituted aryl, or heterocyclyl; R₄ = CO₂H, protected CO₂H, acyl, cyano, amino, halo, etc.; R₁ and R₂ may form 4- to 7-membered carboxylic ring (un)substituted with oxo]. I are cyclic nucleotide-PDE inhibitors (specifically cGMP-PDE), and are useful for treating and preventing a variety of conditions, including angina, hypertension, renal failure, atherosclerosis, stroke, asthma, impotence, diabetic complications, and glaucoma. Almost 300 compds. I and numerous intermediates were prepared. For example, Me 3-isobutyryl-2-propylindole-6-carboxylate (preparation given) was N-benzylated by 2-chlorobenzyl bromide using NaH in DMF. The product underwent saponification.

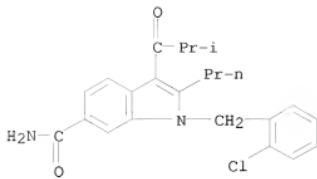
with NaOH in aqueous EtOH, followed by amidation of the resultant acid using EDC, HOBT, and aqueous NH₃, to give title amide II. II inhibited human platelet cGMP-PDE *in vitro* with IC₅₀ <100 nM. I were also active in a variety of other bioassays, including relaxation of isolated rat aorta, inhibition of vascular smooth muscle cell proliferation, inhibition of vasopressin-induced vasoconstriction, the cyclosporin and FK506 nephritis models, the diabetic glomerulosclerosis model, and several animal impotence models.

IT 184147-65-7P 184148-21-8P

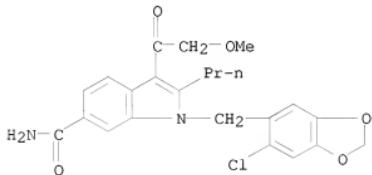
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as cGMP-PDE inhibitors)

BN 184147-65-7 CAPIUS

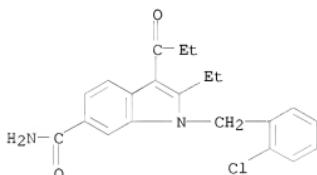
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



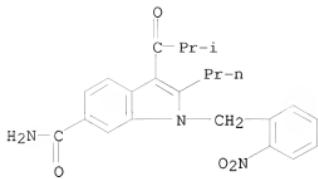
RN 184148-21-8 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



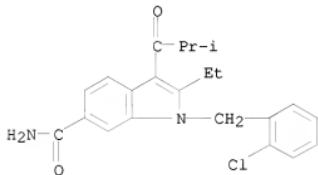
IT 184147-86-2P 184148-72-9P 184148-77-4P
 184148-89-8P 184149-11-9P 184149-15-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of indole derivs. as cGMP-PDE inhibitors)
 RN 184147-86-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(1-oxopropyl)- (CA INDEX NAME)



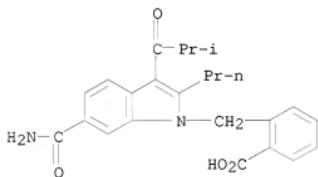
RN 184148-72-9 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-[(2-nitrophenyl)methyl]-2-propyl- (CA INDEX NAME)



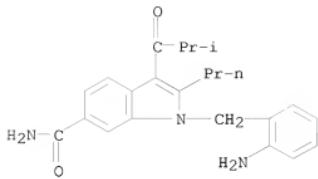
RN 184148-77-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



RN 184148-89-8 CAPLUS
 CN Benzoic acid, 2-[(6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl)methyl]- (CA INDEX NAME)

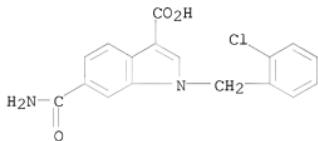


RN 184149-11-9 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-aminophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl-, hydrochloride (1:?) (CA INDEX NAME)



● x HCl

RN 184149-15-3 CAPLUS
 CN 1H-Indole-3-carboxylic acid, 6-(aminocarbonyl)-1-[(2-chlorophenyl)methyl]-(CA INDEX NAME)



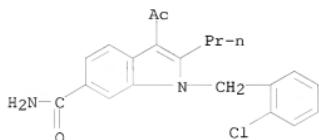
| | | | |
|----|-------------------------------------------------------|--------------|----------------------------------------------------------------------------------|
| IT | 184147-58-8P | 184147-72-6P | 184147-80-6P |
| | 184147-92-0P | 184147-98-6P | 184148-11-6P |
| | 184148-12-7P | 184148-13-8P | 184148-14-9P,
1-Benzyl-3-isobutyryl-2-propylindole-6-carboxamide 184148-15-0P |
| | 184148-16-1P | 184148-17-2P | 184148-19-4P, |
| | 3-Isobutyryl-1-phenethyl-2-propylindole-6-carboxamide | | |
| | 184148-20-7P | 184148-66-1P | 184148-67-2P |
| | 184148-68-3P | 184148-69-4P | 184148-70-7P |
| | 184148-71-8P | 184148-73-0P | 184148-74-1P |
| | 184148-75-2P | 184148-76-3P | 184148-78-5P |
| | 184148-79-6P | 184148-80-9P | 184148-82-1P |
| | 184148-83-2P | 184148-84-3P | 184148-85-4P |
| | 184148-86-5P | 184148-87-6P | 184148-90-1P |
| | 184149-00-6P | 184149-12-0P | 184149-16-4P |
| | 184149-17-5P | 184149-18-6P | 184149-22-2P |
| | 184149-23-3P | 184149-24-4P | 184149-35-7P |
| | 184149-56-2P | 184149-57-3P | 184149-58-4P |
| | 184149-59-5P | 184149-60-8P | 184149-61-9P |
| | 184149-62-0P | 184149-63-1P | 184149-64-2P |
| | 184149-65-3P | 184149-66-4P | 184149-67-5P |
| | 184150-10-5P | 184150-11-6P | 184150-12-7P |
| | 184150-13-8P | 184150-14-9P | 184150-15-0P |
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| | 184150-19-4P | 184150-22-9P | 184150-23-0P |
| | 184150-24-1P | 184150-25-2P | 184150-27-4P |
| | 184150-28-5P | 184150-31-0P | 184150-32-1P |
| | 184150-34-3P | 184150-35-4P | 184150-37-6P |
| | 184150-38-7P | 184150-39-8P | 184150-40-1P |
| | 184150-41-2P | 184150-42-3P | 184150-43-4P |
| | 184150-44-5P | 184150-45-6P | 184150-46-7P |

184150-47-8P 184150-48-9P 184150-49-0P
 184150-50-3P 184150-53-6P 184150-54-7P
 184150-55-8P 184150-56-9P 184150-57-0P
 184150-58-1P 184150-59-2P 184150-66-1P
 184150-67-2P 184151-83-5P 184151-84-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of indole derivs. as cGMP-PDE inhibitors)

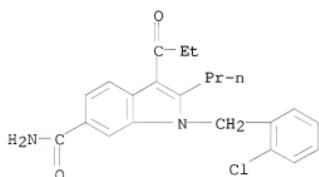
RN 184147-58-8 CAPLUS

CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-propyl-
(CA INDEX NAME)



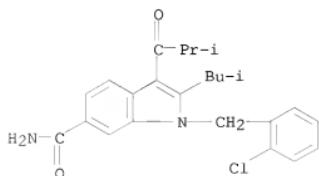
RN 184147-72-6 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(1-oxopropyl)-2-
propyl- (CA INDEX NAME)



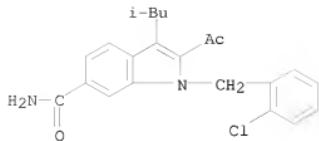
RN 184147-80-6 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-
oxopropyl)-2-(2-methylpropyl)- (CA INDEX NAME)

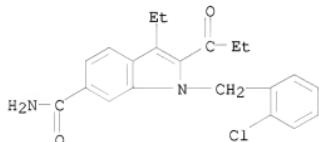


RN 184147-92-0 CAPLUS

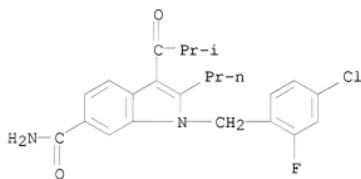
CN 1H-Indole-6-carboxamide, 2-acetyl-1-[(2-chlorophenyl)methyl]-3-(2-
methylpropyl)- (CA INDEX NAME)



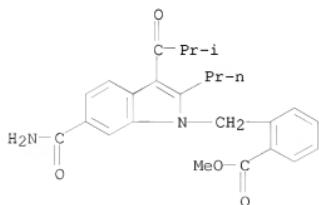
RN 184147-98-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-ethyl-2-(1-oxopropyl)- (CA INDEX NAME)



RN 184148-11-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(4-chloro-2-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

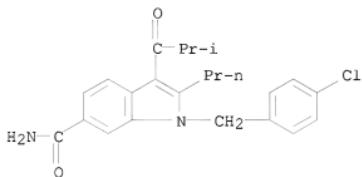


RN 184148-12-7 CAPLUS
 CN Benzoic acid, 2-[(6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl)methyl]-, methyl ester (CA INDEX NAME)



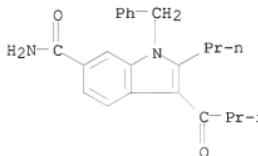
RN 184148-13-8 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(4-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



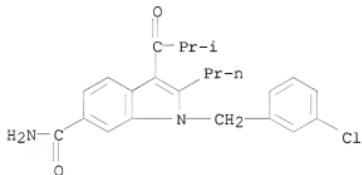
RN 184148-14-9 CAPLUS

CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(phenylmethyl)-2-propyl- (CA INDEX NAME)



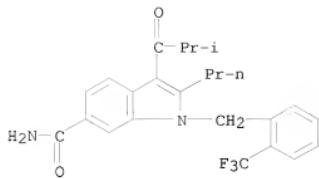
RN 184148-15-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(3-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

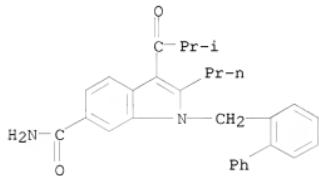


RN 184148-16-1 CAPLUS

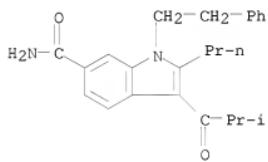
CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-2-propyl-1-[(2-trifluoromethyl)phenyl]methyl- (CA INDEX NAME)



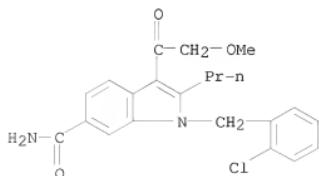
RN 184148-17-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-([1,1'-biphenyl]-2-ylmethy1)-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



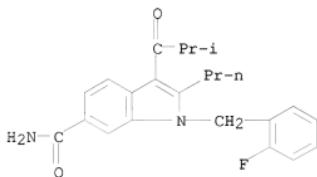
RN 184148-19-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(2-phenylethyl)-2-propyl- (CA INDEX NAME)



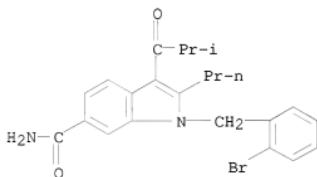
RN 184148-20-7 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



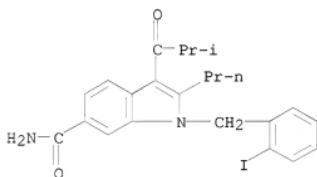
RN 184148-66-1 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



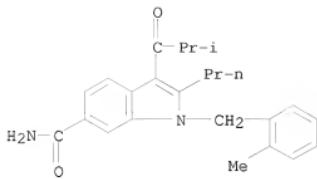
RN 184148-67-2 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-bromophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



RN 184148-68-3 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-iodophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

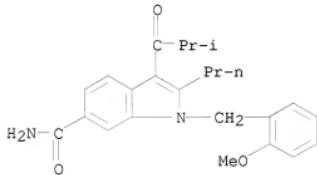


RN 184148-69-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-[(2-methylphenyl)methyl]-2-propyl- (CA INDEX NAME)



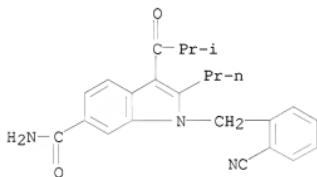
RN 184148-70-7 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-methoxyphenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



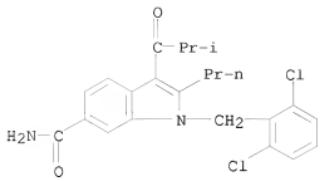
RN 184148-71-8 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-cyanophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

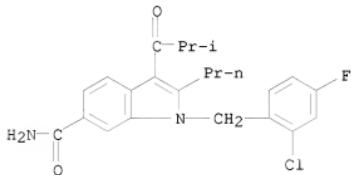


RN 184148-73-0 CAPLUS

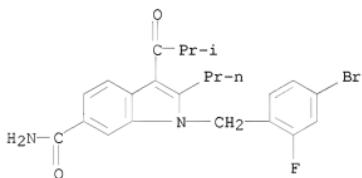
CN 1H-Indole-6-carboxamide, 1-[(2,6-dichlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



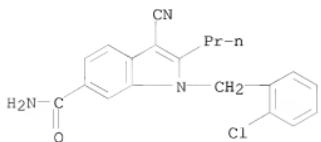
RN 184148-74-1 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



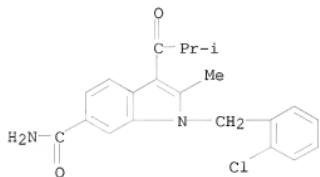
RN 184148-75-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-fluorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



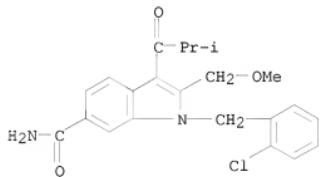
RN 184148-76-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-cyano-2-propyl- (CA INDEX NAME)



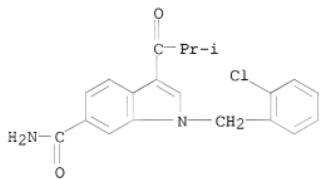
RN 184148-78-5 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-methyl-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



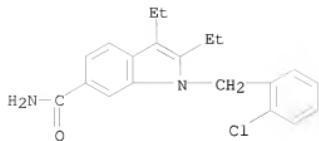
RN 184148-79-6 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(methoxymethyl)-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



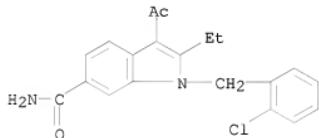
RN 184148-80-9 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



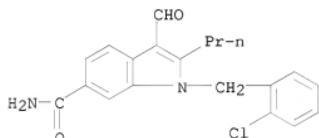
RN 184148-82-1 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2,3-diethyl- (CA INDEX NAME)



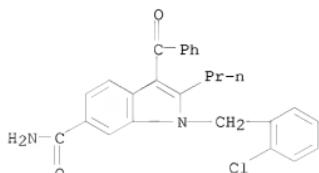
RN 184148-83-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-ethyl- (CA INDEX NAME)



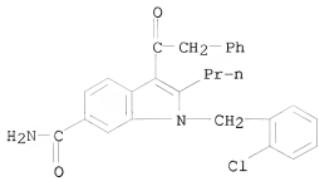
RN 184148-84-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-formyl-2-propyl- (CA INDEX NAME)



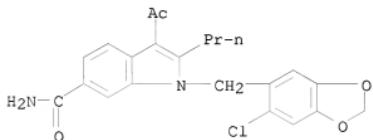
RN 184148-85-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-benzoyl-1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



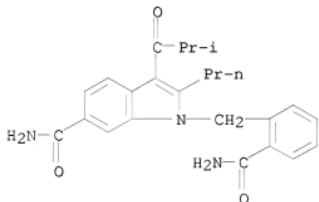
RN 184148-86-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-phenylacetyl)-2-propyl- (CA INDEX NAME)



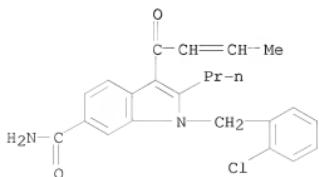
RN 184148-87-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-2-propyl- (CA INDEX NAME)



RN 184148-90-1 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-(aminocarbonyl)phenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

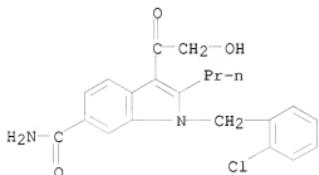


RN 184149-00-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)



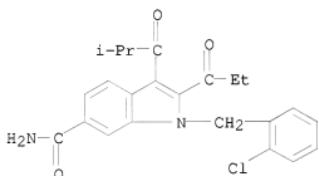
RN 184149-12-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-hydroxyacetyl)-2-propyl- (CA INDEX NAME)



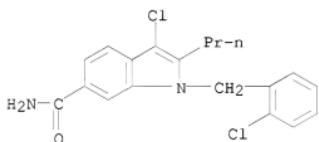
RN 184149-16-4 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-(1-oxopropyl)- (CA INDEX NAME)



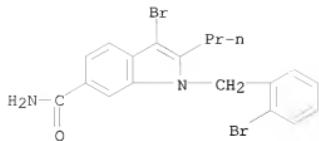
RN 184149-17-5 CAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)

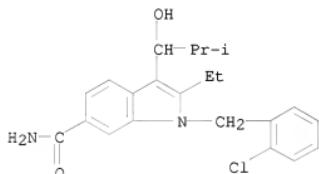


RN 184149-18-6 CAPLUS

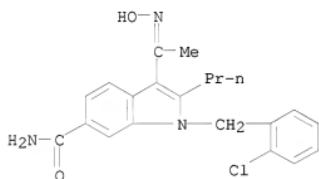
CN 1H-Indole-6-carboxamide, 3-bromo-1-[(2-bromophenyl)methyl]-2-propyl- (CA INDEX NAME)



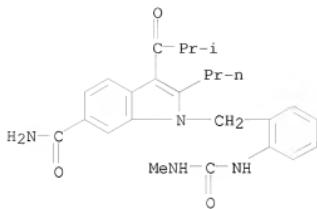
RN 184149-22-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-(1-hydroxy-2-methylpropyl)- (CA INDEX NAME)



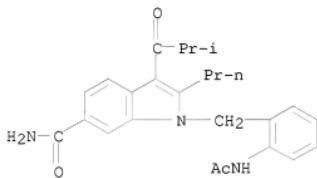
RN 184149-23-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-[1-(hydroxyimino)ethyl]-2-propyl- (CA INDEX NAME)



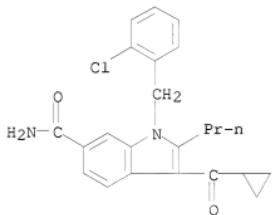
RN 184149-24-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-[(methylamino)carbonyl]amino)phenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



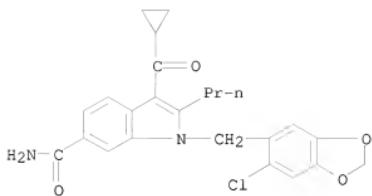
RN 184149-35-7 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-(acetylaminophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



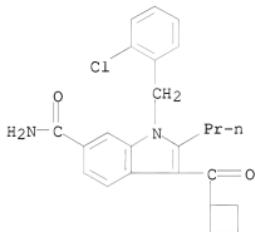
RN 184149-56-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclopropylcarbonyl)-2-propyl- (CA INDEX NAME)



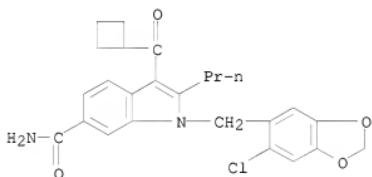
RN 184149-57-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclopropylcarbonyl)-2-propyl- (CA INDEX NAME)



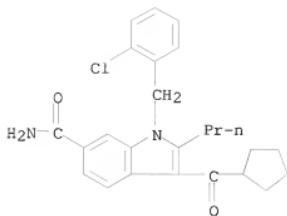
RN 184149-58-4 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclobutylcarbonyl)-2-propyl- (CA INDEX NAME)



RN 184149-59-5 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclobutylcarbonyl)-2-propyl- (CA INDEX NAME)

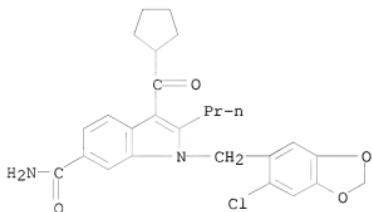


RN 184149-60-8 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclopentylcarbonyl)-2-propyl- (CA INDEX NAME)



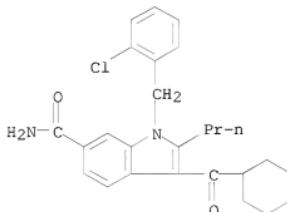
RN 184149-61-9 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclopentylcarbonyl)-2-propyl- (CA INDEX NAME)



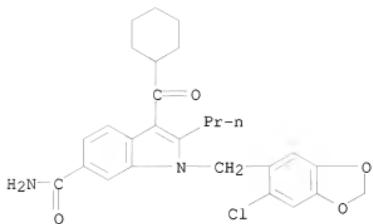
RN 184149-62-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(cyclohexylcarbonyl)-2-propyl- (CA INDEX NAME)

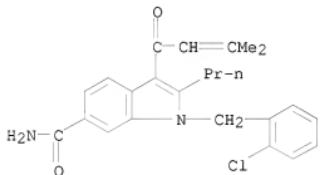


RN 184149-63-1 CAPLUS

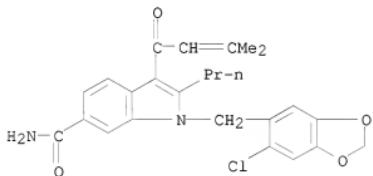
CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(cyclohexylcarbonyl)-2-propyl- (CA INDEX NAME)



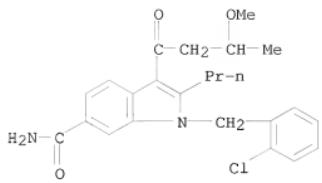
RN 184149-64-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-methyl-1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)



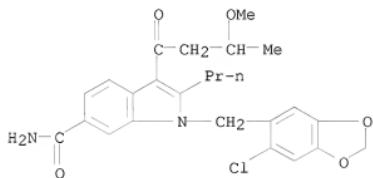
RN 184149-65-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(3-methyl-1-oxo-2-buten-1-yl)-2-propyl- (CA INDEX NAME)



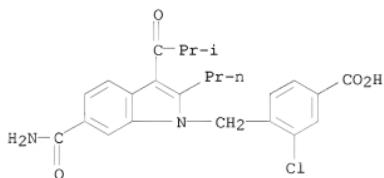
RN 184149-66-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-methoxy-1-oxobutyl)-2-propyl- (CA INDEX NAME)



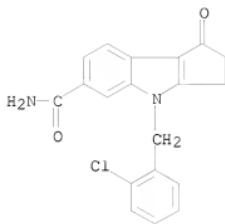
RN 184149-67-5 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(3-methoxy-1-oxobutyl)-2-propyl- (CA INDEX NAME)



RN 184150-10-5 CAPLUS
CN Benzoic acid, 4-[(6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl)methyl]-3-chloro- (CA INDEX NAME)

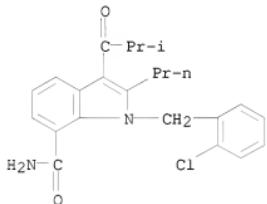


RN 184150-11-6 CAPLUS
CN Cyclopent[b]indole-6-carboxamide, 4-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-1-oxo- (CA INDEX NAME)



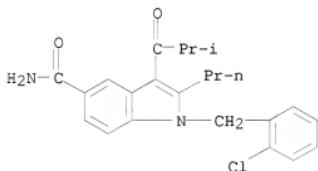
RN 184150-12-7 CAPLUS

CN 1H-Indole-7-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



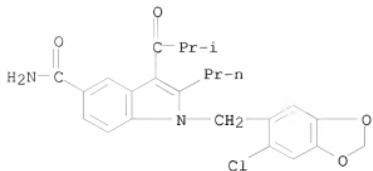
RN 184150-13-8 CAPLUS

CN 1H-Indole-5-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)

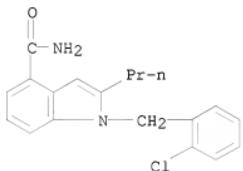


RN 184150-14-9 CAPLUS

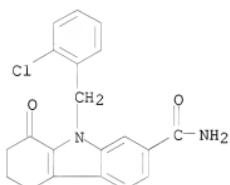
CN 1H-Indole-5-carboxamide, 1-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



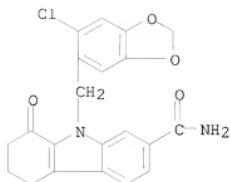
RN 184150-15-0 CAPLUS
 CN 1H-Indole-4-carboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



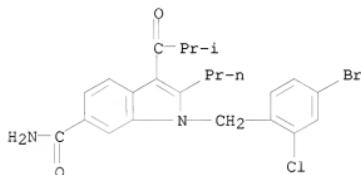
RN 184150-16-1 CAPLUS
 CN 1H-Carbazole-7-carboxamide, 9-[(2-chlorophenyl)methyl]-2,3,4,9-tetrahydro-1-oxo- (CA INDEX NAME)



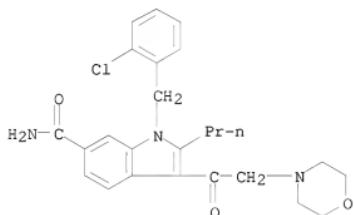
RN 184150-17-2 CAPLUS
 CN 1H-Carbazole-7-carboxamide, 9-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-2,3,4,9-tetrahydro-1-oxo- (CA INDEX NAME)



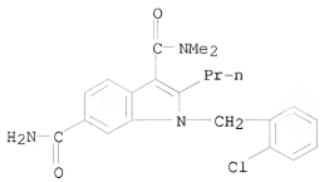
RN 184150-18-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



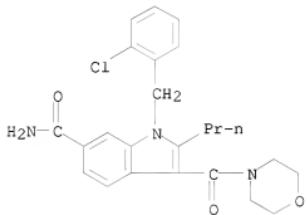
RN 184150-19-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-[2-(4-morpholinyl)acetyl]-2-propyl- (CA INDEX NAME)



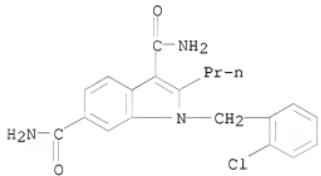
RN 184150-22-9 CAPLUS
 CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-N3,N3-dimethyl-2-propyl- (CA INDEX NAME)



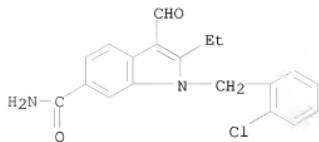
RN 184150-23-0 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(4-morpholinylcarbonyl)-2-propyl- (CA INDEX NAME)



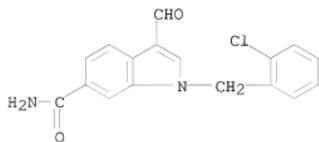
RN 184150-24-1 CAPLUS
 CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)



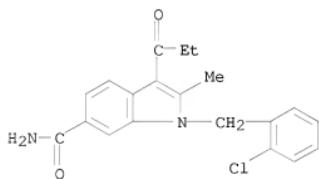
RN 184150-25-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-ethyl-3-formyl- (CA INDEX NAME)



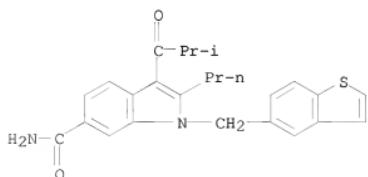
RN 184150-27-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-formyl- (CA INDEX NAME)



RN 184150-28-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-methyl-3-(1-oxopropyl)- (CA INDEX NAME)

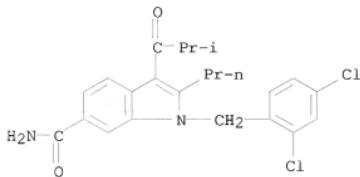


RN 184150-31-0 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-(benzo[b]thien-5-ylmethyl)-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



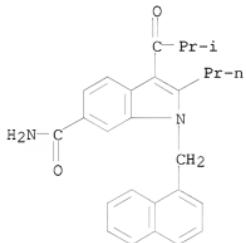
RN 184150-32-1 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2,4-dichlorophenyl)methyl]-3-(2-methyl-1-

oxopropyl)-2-propyl- (CA INDEX NAME)



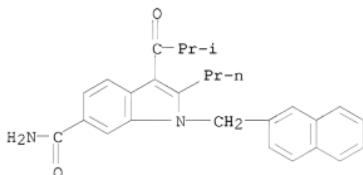
RN 184150-34-3 CAPLUS

CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(1-naphthalenylmethyl)-2-propyl- (CA INDEX NAME)



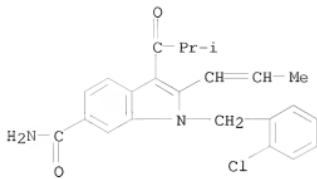
RN 184150-35-4 CAPLUS

CN 1H-Indole-6-carboxamide, 3-(2-methyl-1-oxopropyl)-1-(2-naphthalenylmethyl)-2-propyl- (CA INDEX NAME)

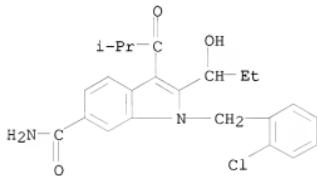


RN 184150-37-6 CAPLUS

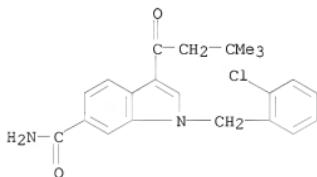
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-(1-propen-1-yl)- (CA INDEX NAME)



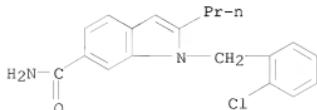
RN 184150-38-7 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(1-hydroxypropyl)-3-(2-methyl-1-oxopropyl)- (CA INDEX NAME)



RN 184150-39-8 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3,3-dimethyl-1-oxobutyl)- (CA INDEX NAME)

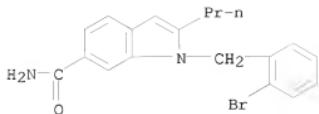


RN 184150-40-1 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (CA INDEX NAME)

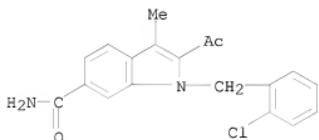


RN 184150-41-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-bromophenyl)methyl]-2-propyl- (CA INDEX NAME)

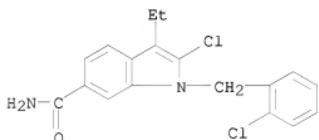
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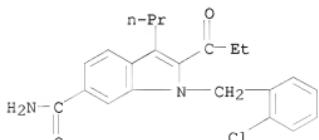
RN 184150-42-3 CAPLUS
CN 1H-Indole-6-carboxamide, 2-acetyl-1-[(2-chlorophenyl)methyl]-3-methyl-
(CA INDEX NAME)



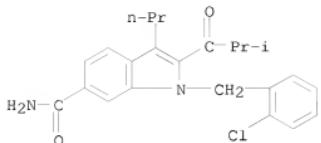
RN 184150-43-4 CAPLUS
CN 1H-Indole-6-carboxamide, 2-chloro-1-[(2-chlorophenyl)methyl]-3-ethyl-
(CA INDEX NAME)



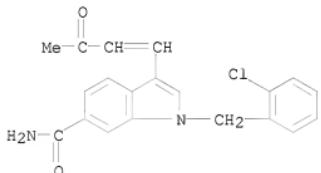
RN 184150-44-5 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(1-oxopropyl)-3-
propyl- (CA INDEX NAME)



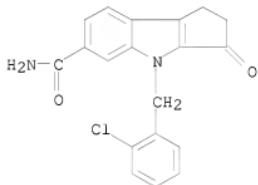
RN 184150-45-6 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-2-(2-methyl-1-
oxopropyl)-3-propyl- (CA INDEX NAME)



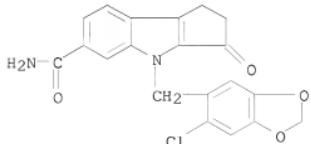
RN 184150-46-7 CAPLUS
 CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(3-oxo-1-buten-1-yl)-
 (CA INDEX NAME)



RN 184150-47-8 CAPLUS
 CN Cyclopent[b]indole-6-carboxamide, 4-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-3-oxo-
 (CA INDEX NAME)

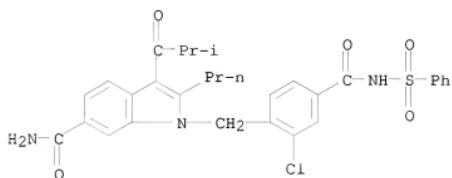


RN 184150-48-9 CAPLUS
 CN Cyclopent[b]indole-6-carboxamide, 4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-1,2,3,4-tetrahydro-3-oxo-
 (CA INDEX NAME)



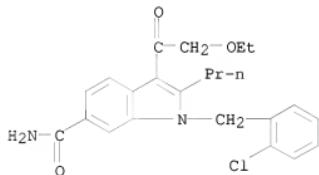
RN 184150-49-0 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-[(phenylsulfonyl)amino]carbonyl)phenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



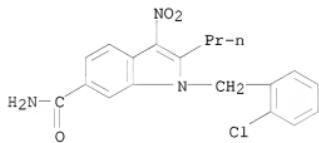
RN 184150-50-3 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-(2-ethoxyacetyl)-2-propyl- (CA INDEX NAME)



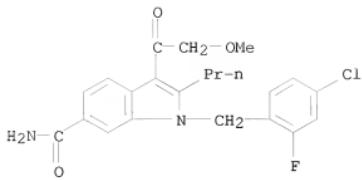
RN 184150-53-6 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chlorophenyl)methyl]-3-nitro-2-propyl- (CA INDEX NAME)

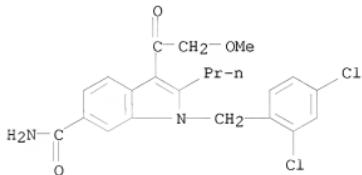


RN 184150-54-7 CAPLUS

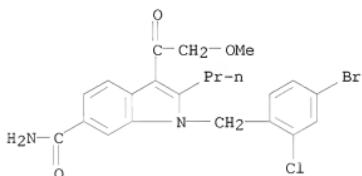
CN 1H-Indole-6-carboxamide, 1-[(4-chloro-2-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



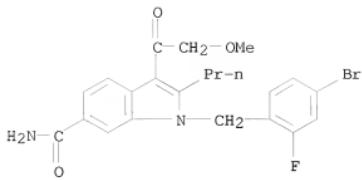
RN 184150-55-8 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2,4-dichlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



RN 184150-56-9 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-chlorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)

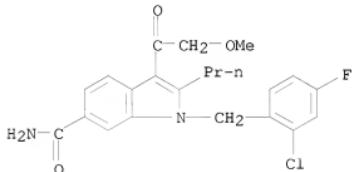


RN 184150-57-0 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(4-bromo-2-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



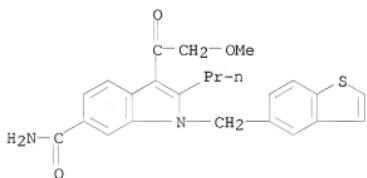
RN 184150-58-1 CAPLUS

CN 1H-Indole-6-carboxamide, 1-[(2-chloro-4-fluorophenyl)methyl]-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)



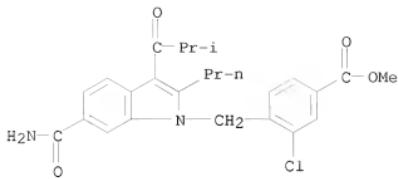
RN 184150-59-2 CAPLUS

CN 1H-Indole-6-carboxamide, 1-(benzo[b]thien-5-ylmethyl)-3-(2-methoxyacetyl)-2-propyl- (CA INDEX NAME)

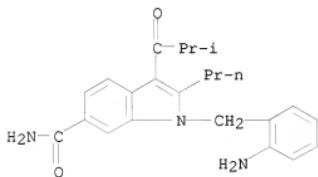


RN 184150-66-1 CAPLUS

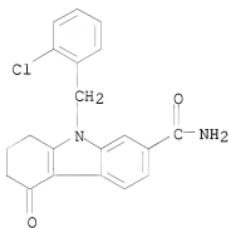
CN Benzoic acid, 4-[[6-(aminocarbonyl)-3-(2-methyl-1-oxopropyl)-2-propyl-1H-indol-1-yl]methyl]-3-chloro-, methyl ester (CA INDEX NAME)



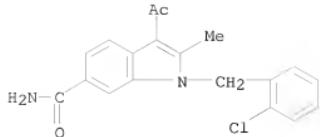
RN 184150-67-2 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[(2-aminophenyl)methyl]-3-(2-methyl-1-oxopropyl)-2-propyl- (CA INDEX NAME)



RN 184151-83-5 CAPLUS
CN 1H-Carbazole-7-carboxamide, 9-[(2-chlorophenyl)methyl]-2,3,4,9-tetrahydro-4-oxo- (CA INDEX NAME)

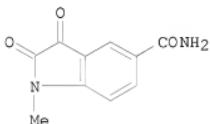


RN 184151-84-6 CAPLUS
CN 1H-Indole-6-carboxamide, 3-acetyl-1-[(2-chlorophenyl)methyl]-2-methyl- (CA INDEX NAME)

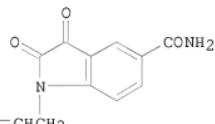


OS.CITING REF COUNT: 40 THERE ARE 40 CAPLUS RECORDS THAT CITE THIS RECORD (54 CITINGS)

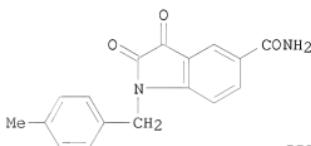
L12 ANSWER 52 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1996:712949 CAPLUS
DOCUMENT NUMBER: 126:54470
ORIGINAL REFERENCE NO.: 126:10586h,10587a
TITLE: Design, Synthesis, and Evaluation of Nonpeptidic Inhibitors of Human Rhinovirus 3C Protease
AUTHOR(S): Webber, Stephen E.; Tikhe, Jayashree; Worland, Stephen T.; Fuhrman, Shella A.; Hendrickson, Thomas F.; Matthews, David A.; Love, Robert A.; Patick, Amy K.; Meador, James W.; et al.
CORPORATE SOURCE: Agouron Pharmaceuticals, San Diego, CA, 92121, USA
SOURCE: Journal of Medicinal Chemistry (1996), 39(26), 5072-5082
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:54470
GI



1



11



111

AB The design, synthesis, and biol. evaluation of reversible, nonpeptidic inhibitors of human rhinovirus (HRV) 3C protease (3CP) are reported. A novel series of 2,3-dioxindoles (isatines) were designed that utilized a combination of protein structure-based drug design, mol. modeling, and structure-activity relationship (SAR). The C-2 carbonyl of isatin was envisioned to react in the active site of HRV 3CP with the cysteine

responsible for catalytic proteolysis, thus forming a stabilized transition state mimic. Mol.-modeling expts. using the apo crystal structure of human rhinovirus-serotype 14 (HRV-14) 3CP and a peptide substrate model allowed the authors to design recognition features into the P1 and P2 subsites, resp., from the 5- and 1-positions of isatin. Attempts to optimize recognition properties in the P1 subsite using SAR at the 5-position were performed. In addition, a series of ab initio calcns. were carried out on several 5-substituted isatins to investigate the stability of sulfide adducts at C-3. The inhibitors were prepared by general synthetic methods, starting with com. available 5-substituted isatins in nearly every case. All compds. were tested for inhibition of purified HRV-14 3CP. Compds. I, II, and III were found to have excellent selectivity for HRV-14 3CP compared to other proteolytic enzymes, including chymotrypsin and cathepsin B. Selected compds. were assayed for antiviral activity against HRV-14-infected HI-HeLa cells. A 2.8 Å cocrystal structure of derivative III covalently bound to human rhinovirus-serotype 2 (HRV-2) 3CP was solved and revealed that the isatin was situated in essentially the same conformation as modeled.

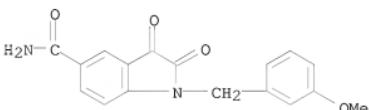
IT 184904-90-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(design, synthesis, and evaluation of nonpeptidic inhibitors of human rhinovirus 3C protease)

RN 184904-90-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-[(3-methoxyphenyl)methyl]-2,3-dioxo- (CA INDEX NAME)



IT 184904-79-8P 184904-80-1P 184904-81-2P

184904-82-3P 184904-86-7P 184904-88-9P

184904-92-5P 184904-94-7P 184904-95-8P

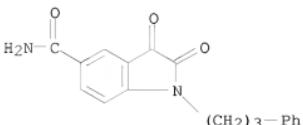
184904-96-9P 184904-97-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(design, synthesis, and evaluation of nonpeptidic inhibitors of human rhinovirus 3C protease)

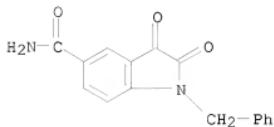
RN 184904-79-8 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-2,3-dioxo-1-(3-phenylpropyl)- (CA INDEX NAME)



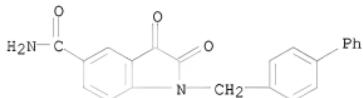
RN 184904-80-1 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-2,3-dioxo-1-(phenylmethyl)- (CA INDEX NAME)



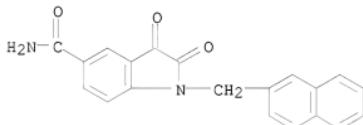
RN 184904-81-2 CAPLUS

CN 1H-Indole-5-carboxamide, 1-([1,1'-biphenyl]-4-ylmethyl)-2,3-dihydro-2,3-dioxo- (CA INDEX NAME)



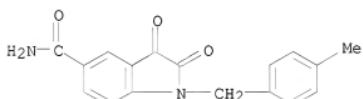
RN 184904-82-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-(2-naphthalenylmethyl)-2,3-dioxo- (CA INDEX NAME)



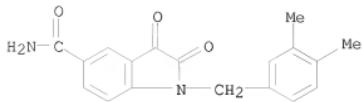
RN 184904-86-7 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-[(4-methylphenyl)methyl]-2,3-dioxo- (CA INDEX NAME)

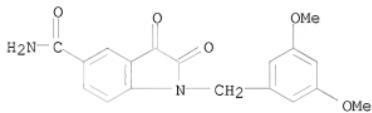


RN 184904-88-9 CAPLUS

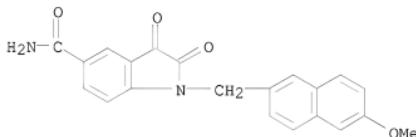
CN 1H-Indole-5-carboxamide, 1-[(3,4-dimethylphenyl)methyl]-2,3-dihydro-2,3-dioxo- (CA INDEX NAME)



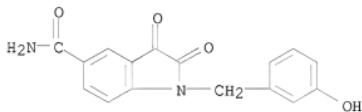
RN 184904-92-5 CAPLUS
CN 1H-Indole-5-carboxamide, 1-[(3,5-dimethoxyphenyl)methyl]-2,3-dihydro-2,3-dioxo- (CA INDEX NAME)



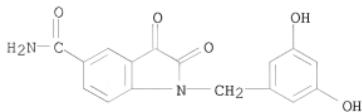
RN 184904-94-7 CAPLUS
CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-[(6-methoxy-2-naphthalenyl)methyl]-2,3-dioxo- (CA INDEX NAME)



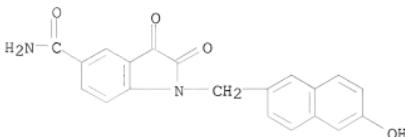
RN 184904-95-8 CAPLUS
CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-[(3-hydroxyphenyl)methyl]-2,3-dioxo- (CA INDEX NAME)



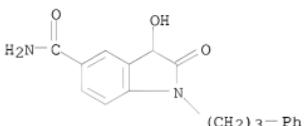
RN 184904-96-9 CAPLUS
CN 1H-Indole-5-carboxamide, 1-[(3,5-dihydroxyphenyl)methyl]-2,3-dihydro-2,3-dioxo- (CA INDEX NAME)



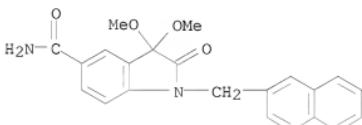
RN 184904-97-0 CAPLUS
CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-[(6-hydroxy-2-naphthalenyl)methyl]-
2,3-dioxo- (CA INDEX NAME)



IT 184905-09-7P
RL: BYP (Byproduct); PREP (Preparation)
(design, synthesis, and evaluation of nonpeptidic inhibitors of human
rhinovirus 3C protease)
RN 184905-09-7 CAPLUS
CN 1H-Indole-5-carboxamide, 2,3-dihydro-3-hydroxy-2-oxo-1-(3-phenylpropyl)-
(CA INDEX NAME)



IT 184905-07-5P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(design, synthesis, and evaluation of nonpeptidic inhibitors of human
rhinovirus 3C protease)
RN 184905-07-5 CAPLUS
CN 1H-Indole-5-carboxamide, 2,3-dihydro-3,3-dimethoxy-1-(2-
naphthalenylmethyl)-2-oxo- (CA INDEX NAME)



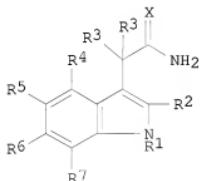
OS.CITING REF COUNT: 97 THERE ARE 97 CAPLUS RECORDS THAT CITE THIS
RECORD (98 CITINGS)
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 53 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1995:621499 CAPLUS
DOCUMENT NUMBER: 123:32954
ORIGINAL REFERENCE NO.: 123:6087a,6090a

TITLE: Preparation of 1H-indole-3-acetamides as sPLA₂ inhibitors.
INVENTOR(S): Bach, Nicholas James; Dillard, Robert Delane; Draheim, Susan Elizabeth; Hermann, Robert Bell; Schevitz, Richard Walter
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 123 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------------------------------------------------|------|----------|-----------------|-------------|
| EP 620215 | A1 | 19941019 | EP 1994-302666 | 19940414 |
| EP 620215 | B1 | 19990818 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| HU 70836 | A2 | 19951128 | HU 1994-1060 | 19940413 |
| CA 2121323 | A1 | 19941017 | CA 1994-2121323 | 19940414 |
| BR 9401482 | A | 19941018 | BR 1994-1482 | 19940414 |
| AT 183503 | T | 19990915 | AT 1994-302666 | 19940414 |
| ES 2138648 | T3 | 20000116 | ES 1994-302666 | 19940414 |
| CZ 289750 | B6 | 20020313 | CZ 1994-893 | 19940414 |
| FI 9401767 | A | 19941017 | FI 1994-1767 | 19940415 |
| NO 9401361 | A | 19941017 | NO 1994-1361 | 19940415 |
| AU 9459492 | A | 19941020 | AU 1994-59492 | 19940415 |
| AU 676684 | B2 | 19970327 | | |
| JP 07025850 | A | 19950127 | JP 1994-77650 | 19940415 |
| CN 1098715 | A | 19950215 | CN 1994-104434 | 19940415 |
| CN 1068588 | C | 20010718 | | |
| ZA 9402615 | A | 19951016 | ZA 1994-2615 | 19940415 |
| RU 2162463 | C2 | 20010127 | RU 1994-12930 | 19940415 |
| PL 181319 | B1 | 20010731 | PL 1994-303028 | 19940415 |
| US 5684034 | A | 19971104 | US 1995-435256 | 19950505 |
| US 6252084 | B1 | 20010626 | US 1997-962603 | 19971031 |
| GR 3031783 | T3 | 20000229 | GR 1999-402875 | 19991108 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 1993-48629 | A 19930416 |
| | | | US 1994-208721 | A 19940315 |
| | | | US 1995-435256 | A1 19950505 |

OTHER SOURCE(S): MARPAT 123:32954
GI



I

AB Title compds. [I; R1 = (cyclo)alkyl, alkenyl, aryl, alkylamino, etc.; R2 = H, halo, alkyl, alkoxy, etc.]; R3 = H, halo, Me; R4-R7 = H, (cyclo)alkyl, aryl(alkyl), alkoxy, etc.; X = O or S] were prepared. Thus, 1-(2-tert-butoxycarbonylamino-5-methoxyphenyl)-2-butanone (preparation from 4-methoxy-2-methylaniline given) was cyclized and the product alkylated by

BrCH₂CO₃Me to give, in 4 addnl. steps, I (R₁ = CH₂Ph, R₂ = Et, R₃ = R₄ = R₆ = R₇ = H, R₅ = OR, X = O) (II; R = H) which was condensed with Br(CH₂)₃P(O)(OMe)₂ to give, after saponification, II [R = (CH₂)₃P(O)(OH)₂].

The

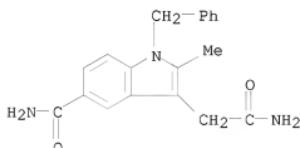
latter had IC₅₀ of 0.02 μM against human sPLA₂ in vitro.

IT 164084-35-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1H-indole-3-acetamides as sPLA₂ inhibitors.)

RN 164084-35-9 CAPLUS

CN 1H-Indole-3-acetamide, 5-(aminocarbonyl)-2-methyl-1-(phenylimethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (50 CITINGS)

L12 ANSWER 54 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1995:374622 CAPLUS

DOCUMENT NUMBER: 123:143924

ORIGINAL REFERENCE NO.: 123:25645a,25648a

TITLE: Preparation of indolylalkyl derivatives of pyrimidinylpiperazine for treating vascular headache
Smith, David W.; Yocca, Frank D.; Yevich, Joseph P.; Mattson, Ronald J.; Williams, Andrew; Ruediger, Edward H.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S., 27 pp. Cont.-in-part of U.S. Ser. No. 680,208, abandoned.

CODEN: USXXAM
DOCUMENT TYPE: Patent

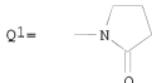
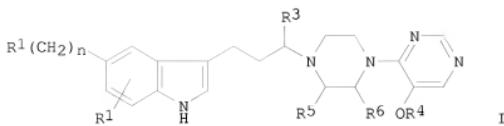
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 5300506 | A | 19940405 | US 1992-960063 | 19921013 |
| CA 2043709 | A1 | 19911230 | CA 1991-2043709 | 19910531 |
| CA 2043709 | C | 20020122 | | |
| ZA 9104804 | A | 19930224 | ZA 1991-4804 | 19910621 |
| ES 2066278 | T3 | 19950301 | ES 1991-110376 | 19910624 |
| FI 9103142 | A | 19911230 | FI 1991-3142 | 19910627 |
| FI 101224 | B1 | 19980515 | | |
| AU 9179416 | A | 19920102 | AU 1991-79416 | 19910627 |
| AU 643038 | B2 | 19931104 | | |
| JP 04230378 | A | 19920819 | JP 1991-183911 | 19910628 |
| PRIORITY APPLN. INFO.: | | | US 1990-546122 | B2 19900629 |
| | | | US 1991-680208 | B2 19910404 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 123:143924



AB Title compds. [I; R1 = H, halo, alkyl, alkoxy, (substituted) phenylalkoxy, amino, cyano, OH, OCH₂CN, CO₂R₉, Q₁, etc.; R2 = H, halo, alkyl, alkoxy, CO₂R₉; R3, R5, R6 = H, alkyl; R4 = alkyl; R9 = alkyl, (substituted) phenylalkyl], were prepared Thus, 1-[3-(5-benzyloxy-1H-indol-3-yl)propyl]-4-(5-methoxy-4-pyrimidinyl)piperazine (preparation given) was hydrogenolyzed in EtOH over Pd(OH)₂ to give 1-[3-(5-hydroxy-1H-indol-3-yl)propyl]-4-(5-methoxy-4-pyrimidinyl)piperazine. The latter showed a 5-HT_{1D} binding site affinity of 0.8 nM.

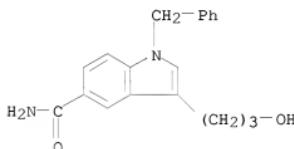
IT 161108-37-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of, as intermediate for pyrimidinylpiperazinylpropylindole serotonin 5-HT_{1D} agonist for treatment of vascular headache)

RN 161108-37-8 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(3-hydroxypropyl)-1-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 55 OF 55 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1980:532369 CAPLUS

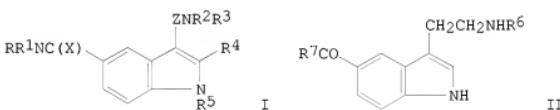
DOCUMENT NUMBER: 93:132369

ORIGINAL REFERENCE NO.: 93:21105a, 21108a

TITLE: Indole compounds and pharmaceutical compositions containing them

INVENTOR(S): Webb, Colin Frederick
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Ger. Offen., 102 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|------|----------|-----------------|------------|
| DE 2940687 | A1 | 19800430 | DE 1979-2940687 | 19791008 |
| DE 2940687 | C2 | 19910801 | | |
| ZA 7905239 | A | 19801126 | ZA 1979-5239 | 19791002 |
| FI 7903071 | A | 19800413 | FI 1979-3071 | 19791004 |
| DK 7904255 | A | 19800413 | DK 1979-4255 | 19791009 |
| AU 7951657 | A | 19800417 | AU 1979-51657 | 19791010 |
| AU 531783 | B2 | 19830908 | | |
| GB 2035310 | A | 19800618 | GB 1979-35208 | 19791010 |
| GB 2035310 | B | 19821222 | | |
| US 4252803 | A | 19810224 | US 1979-83343 | 19791010 |
| AT 7906605 | A | 19840815 | AT 1979-6605 | 19791010 |
| AT 377511 | B | 19850325 | | |
| SE 7908443 | A | 19800413 | SE 1979-8443 | 19791011 |
| SE 448628 | B | 19870309 | | |
| SE 448628 | C | 19870618 | | |
| CH 646151 | A5 | 19841115 | CH 1979-9194 | 19791011 |
| BE 879381 | A1 | 19800201 | BE 1979-197621 | 19791012 |
| NL 7907583 | A | 19800415 | NL 1979-7583 | 19791012 |
| FR 2438651 | A1 | 19800509 | FR 1979-25446 | 19791012 |
| FR 2438651 | B1 | 19830304 | | |
| JP 55062063 | A | 19800510 | JP 1979-130944 | 19791012 |
| JP 63058817 | B | 19881117 | | |
| CA 1146550 | A1 | 19830517 | CA 1979-337443 | 19791012 |
| PRIORITY APPN. INFO.: | | | GB 1978-40279 | A 19781012 |



AB The indole derivs. I (R, R₁, R₂, R₃ = H, (substituted) alkyl, cycloalkyl, aryl, or aralkyl; RR₁N, and R₂R₃N = ring; R₄ = H, C1-3 alkyl, aryl; R₅ = H, alkyl, aralkyl; Z = Cl-4 alkylene; X = O, S] and their salts were prepared for use in treatment of hypertension and migraines (no data). Thus, II (R₆ = CO₂CH₂Ph, R₇ = OH) reacted with PhCH₂NH₂ in the presence of 2-chloro-1-methylpyridinium iodide to give II (R₆ = CO₂CH₂Ph, R₇ = NHCH₂Ph), which was hydrogenated over Pd-C to give I (R₆ = H, R₇ = NHCH₂Ph), isolated as compound with creatinine sulfate.

RECORDED BY: W. H. MICHAEL
IT 74885-49-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

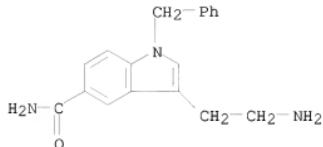
BN 74885-49-7 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(2-aminoethyl)-1-(phenylmethyl)-,
(2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 74885-48-6

CMF C18 H19 N3 O

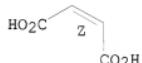


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (30 CITINGS)

| => log hold | | | |
|--------------------------------------------|--|------------------|---------------|
| COST IN U.S. DOLLARS | | SINCE FILE ENTRY | TOTAL SESSION |
| FULL ESTIMATED COST | | 337.40 | 988.64 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | | -48.72 | -57.42 |

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 10:06:58 ON 25 MAY 2011

Connecting via Winsock to STN

Welcome to STN International! Enter x::x

LOGINID:SSPTACDR1614

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * *
SESSION RESUMED IN FILE 'CAPLUS' AT 10:32:25 ON 25 MAY 2011
FILE 'CAPLUS' ENTERED AT 10:32:25 ON 25 MAY 2011
COPYRIGHT (C) 2011 AMERICAN CHEMICAL SOCIETY (ACS)

| | | |
|--------------------------------------------|------------------|---------------|
| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
| FULL ESTIMATED COST | 337.40 | 988.64 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -48.72 | -57.42 |
| => file reg | | |
| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
| FULL ESTIMATED COST | 337.92 | 989.16 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -48.72 | -57.42 |

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STRUCTURE FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6
 DICTIONARY FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6

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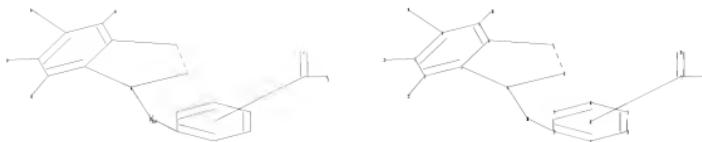
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ring nodes :
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ring bonds :
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15-16
exact/norm bonds :
1-9 4-19 6-7 7-8 8-9 23-24 23-25
exact bonds :
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normalized bonds :
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G1:OH,NH2

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 19:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 27:Atom

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L13 STRUCTURE UPLOADED

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=> d 113
L13 HAS NO ANSWERS
L13                    STR

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 30556 TO ITERATE

100.0% PROCESSED 30556 ITERATIONS 16 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 600658 TO 621582
PROJECTED ANSWERS: 80 TO 560

L14 16 SEA SSS SAM L13

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THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 196.35 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
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FULL SCREEN SEARCH COMPLETED - 615882 TO ITERATE

100.0% PROCESSED 615882 ITERATIONS 443 ANSWERS
SEARCH TIME: 00.00.02

L15 443 SEA SSS FUL L13

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COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE 0.00 -57.42

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FILE COVERS 1907 - 25 May 2011 VOL 154 ISS 22
FILE LAST UPDATED: 24 May 2011 (20110524/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2011
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2011

Cplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l15  
L16      97 L15  
  
=> d ibib abs hitstr 97
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L16 ANSWER 97 OF 97 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1965:488799 CAPLUS
DOCUMENT NUMBER: 63:88799
ORIGINAL REFERENCE NO.: 63:16308a-h,16309a-c
TITLE: Indolyl aliphatic acids
INVENTOR(S): Sarett, Lewis H.; Shen, Tsung Y.
PATENT ASSIGNEE(S): Merck & Co., Inc.
SOURCE: 23 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 3196162 | | 19650720 | US 1961-94995 | 19590903 |
| PRIORITY APPLN. INFO.: | | | US | 19590903 |

GI For diagram(s), see printed CA Issue.
AB The title compds. (Ia) are antiinflammatory and sunscreening agents, some of which have antipyretic action p-Methoxyphenyl-hydrazine-HCl (25 g.) and 20 g. Et α -methyllevulinate in 250 ml. 2N ethanolic HCl was refluxed to give Et α -(2-methyl-5-methoxy-3-indolyl)propionate (I), b.p.25 150-3° m. 53-5.5°. Et α -(2,5-dimethyl-3-indolyl)propionate, b1 150-170° (bath temperature), m. 88-8.5° (petroleum ether), was similarly prepared I was hydrolyzed to the free acid, m. 163-5° (aqueous ETOH). I (13 g.) in 75 ml. dimethylformamide (II) was added to a stirred suspension of 2.5 g. of a NaH-mineral oil dispersion (containing 52 weight-% NaH) in 100 ml. II. The mixture was stirred at room temperature for 1 hr., then 8 g. o-chlorobenzyl chloride was added slowly. The resulting mixture kept at room temperature 14 hrs. gave Et α -(1-o-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)propionate (III), 118-122°. III was saponified to give the free acid, m. 191-2° (benzene). In a similar manner, the following Ia (R1 = R6 = H, R2 = R3 = Me), were prepared (R, R4, R5, and m.p. given): H, OCH3, m-Cl, 191-2°; Et OCH3, o,p-di-Cl, 130°; H, OCH3, o,p-di-Cl 184-6°; Et CH3, p-Cl, 89-90°; H, CH3, p-Cl, 185-6°; H, OCH3, p-OCH3, 153-3.5°; H, OCH3, p-F, 164-5°; Et, OCH3, p-SCHF2, -, H, OCH3, p-SCHF2, 132-3°; Et, OCH3, p-OCHF2, -, H, OCH3, p-OCHF2, 144-6°; H, OCH3, p-Cl, 163-5°; H, OCH3, p-SCH3, 170-1°; H, OCH3, p-SCH2Ph, 150-3°; H, OCH3, p-SH, 161-4°; H, OCH3, p-SOCH3, 194-6°; H, OCH3, p-SOCH3, 98-101°; Et, CH3, p-SCH3, 111-13°; H, CH3, p-SCH3, 184-7°; H, OCH3, p-CF3, 176-80°; Et, OCH3, p-CN, 72°; H, OCH3, p-CN, 197-200°; H, OCH3, p-COOH, 230-4°; Et, OCH3, p-NO2, 102-3°; H, OCH3, p-NO2, 188-90°; H, OCH3, p-N(CH3)2, 193-4°; Et, OCH3, p-SO2N(CH3)2, 140°; H, OCH3, p-SO2N(CH3)2, 156.5-8.5°; H, OCH3, p-SEt, 126-33°. α -(1-p-Methylthiobenzyl-2-methyl-5-methoxy-3-indolyl)propionic acid (IV) (8.8 g.) and 14 g. urea was heated at 190-200° for 1.5 hrs. to

give the amide of IV m. 143-4°. IV (4.45 g.) was slurried in 12 ml. MeOH, 5.2 ml. 2.21N NaOCH₃ in MeOH was added under N and the solution was concentrated to a syrup to give the Na salt of IV. The Al salt of IV was also prepared in the preparation of α -(1-p-chlorobenzyl-2-methyl-5-methylthio-3-indolyl)propionic acid (V), N-p-chlorobenzylidene-4-mercaptoaniline (VI) was prepared from 53.3 g. p-aminothiophenol in 200 ml. EtOH and 60.2 g. p-chlorobenzaldehyde in 200 ml. EtOH. VI (58.2 g.) was treated with 11.52 g. NaH (52% in mineral oil) in 400 ml. II and 35 g. CH₃I in 100 ml. II to give N-p-chlorobenzylidene-4-methylthioaniline (VII). VII was treated with NaBH₄ to give N-p-chlorobenzyl-4-methylthioaniline. The corresponding nitroso derivative was prepared and reduced to give N'-p-chlorobenzyl-4-methylthiophenylhydrazine-HCl m. 140.5° (EtOH). Ring closure of the hydrazine with Et α -methyllevulinate gave the Et ester of V as a yellow syrup. The ester was saponified to V, m. 154-60° (acetonitrile). The following intermediates were also prepared: p-difluoromethylthiotoluene, b0.35 32-4°, n_{23D} 1.5092; p-difluoromethylthiobenzyl bromide, b0.3 74°, n_{22D} 1.5622; p-difluoromethoxytoluene, b. 165-7°; p-difluoromethoxybenzyl bromide, b0.2 50-2° n_{23D} 1.5170; p-methylthiobenzyl chloride b1 99%; p-trifluoromethylbenzaldehyde, b12 64°, n_{22D} 1.4633; p-trifluoromethylbenzyl chloride, b12 68°, n_{22D} 1.4622; p-trifluoromethylbenzyl alcohol, b12 85-8°, n_{22D} 1.4562; N'-(p-nitrobenzyl)-N-(p-methoxyphenyl)hydrazine-HCl, 147-150°; NN-dimethyl-p-bromomethylbenzenesulfonamide, 85-108°; p-ethylthiobenzyl chloride, b. 92-103°/250-400 μ u; phenylthiobenzyl chloride (39%, by analysis), b. 85-145°/50 μ u; N-(o,p-dimethoxybenzyl)-p-methoxyaniline, 126-7°; N'-(o,p-dimethoxybenzyl)-N-(p-methoxyphenyl)hydrazine-HCl, 136-9°. Also prepared were the following Ia (R₃ = R₆ = H) (R, R₁, R₂, R₄, R₅, and m.p. given): H, H, OCH₃, p-Cl, 144-8°; H, H, CF₃, OCH₃, p-SCH₃, 168-72°; H, H, CH₃, OCH₃, p-SCH₃, 155-6.5°; Et, H, CH₃, OCH₃, p-SCH₃, 94-5°; H, H, OCH₃, p-Cl, 146-8°; H, H, COOH, OCH₃, p-Cl, 213-18°; Et, H, COOH, OCH₃, p-Cl, 214-16°; H, H, OCH₃, p-Cl, 146-8°. The following intermediates were prepared: 2-ethyl-5-methylindole, 72-4°; 2-ethyl-5-gramine, m. 100-3°; α -(2-ethyl-5-methyl-3-indolyl)acetic acid, m. 137-8°; Et 2-methyl-5-chloro-3-indolylacetate, m. 85°.

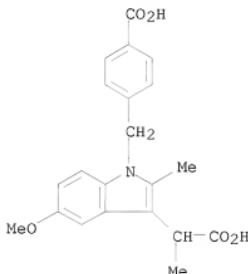
Oxalyl chloride (19 g.) in 25 ml. ether was added rapidly to an ice cold mixture of 35.7 g. 1-p-chlorobenzyl-2-methyl-5-methoxyindole in 900 ml. ether and the mixture stirred for 2 hrs.; the solid recovered was added to 660 ml. EtOH and treated with 0.12 moles NaCl. After being stirred 1 hr., the mixture was poured into an equal volume of H₂O containing 10 ml. acetic acid

to give Et α -(1-p-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)oxoacetate (VIII), m. 113°. VIII (38 g.) in 260 ml. benzene and 500 ml. dry ether was added to a mixture of 500 ml. dry ether, 36.02 g. triphenylphosphonium bromide, and 94.36 ml. 1.10N BuLi under N. After stirring 1 hr., the mixture was heated in a closed flask at 65-70° for 5 hrs. to give Et α -(1-p-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)acrylate (IX), m. 94-5°. The free acid m. 187-8° (EtOH). IX (1.8 g.) in 10 ml. dry tetrahydrofuran was added to 4 g. diiodomethane, 1.25 g. Zn-Cu couple, and 0.2 g. iodine in 20 ml. dry tetrahydrofuran. The mixture was refluxed to give Et α -(1-p-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)cyclopropanecarboxylate (X). X was hydrolyzed to the free acid, m. 220-4°. In addition, racemic and optically active forms were prepared:

(+)- α -(1-p-methylthiobenzyl-2-methyl-5-methoxy-3-indolyl)pr-opionic acid (+)- α -phenethylamine salt m. 170-2°, [α]₂₂ D 38.5° (c 1, MeOH); the free acid of the preceding salt, m. 118°, [α]₂₂ D 62.4° (c 0.94, EtOH);

(+)- α -(1-p-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)propionic acid (+)- α -phenethylamine salt, m. 148-9°, [α]₂₂ D

43° (c 1, MeOH); the free acid (XI) of the preceding salt m.
 156-7°, [α]22 D 60° (c 1, EtOH); the dl form of XI;
 the (-) form of XI, m. 153-4°, [α]23D -58° (c 1,
 EtOH); (-)- α -(1-p-chlorobenzyl-2-methyl-5-methoxy-3-
 indolyl)propionic acid (-)- α -phenethylamine salt. Racemic forms of
 α -[1-fluoro(and methoxy)benzyl-2-methyl-5-methoxy-3-
 indolyl]propionic acids and of 1-(1-p-methylthio-benzyl-2,5-dimethyl-3-
 indolyl)propionic acid were also prepared
 IT 3447-34-5P, Indole-3-acetic acid,
 1-(p-carboxybenzyl)-5-methoxy- α ,2-dimethyl-
 RL: PREP (Preparation)
 (preparation of)
 RN 3447-34-5 CAPLUS
 CN 1H-Indole-3-acetic acid, 1-[(4-carboxyphenyl)methyl]-5-methoxy- α ,2-
 dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)

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| => file reg | | | |
| COST IN U.S. DOLLARS | | SINCE FILE | TOTAL |
| FULL ESTIMATED COST | | ENTRY | SESSION |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | | SINCE FILE | TOTAL |
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STRUCTURE FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6
 DICTIONARY FILE UPDATES: 24 MAY 2011 HIGHEST RN 1299596-13-6

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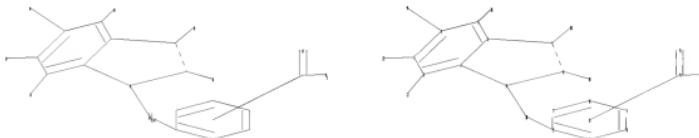
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10 19 20 21 22 23 24 25 28 29
ring nodes :
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chain bonds :
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ring bonds :
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15-16
exact/norm bonds :
1-9 4-19 6-7 7-8 8-9 23-24 23-25
exact bonds :
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G1:OH,NH2

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 19:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 27:Atom 28:CLASS 29:CLASS

L17 STRUCTURE uploaded

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L17 HAS NO ANSWERS

L17 STR

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FILE 'REGISTRY' ENTERED AT 09:53:16 ON 25 MAY 2011

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L3 18 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:53:51 ON 25 MAY 2011

L4 1 S L3

FILE 'REGISTRY' ENTERED AT 09:54:29 ON 25 MAY 2011

L5 STRUCTURE uploaded
L6 0 S L5 SSS SAM
L7 30 S L5 SSS FULL

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L8 9 S L7

FILE 'REGISTRY' ENTERED AT 09:57:41 ON 25 MAY 2011

FILE 'REGISTRY' ENTERED AT 10:02:11 ON 25 MAY 2011
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L10 24 S L9 SSS SAM
L11 446 S L9 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:02:39 ON 25 MAY 2011
L12 55 S L11

FILE 'REGISTRY' ENTERED AT 10:32:44 ON 25 MAY 2011
L13 STRUCTURE uploaded
L14 16 S L13 SSS SAM
L15 443 S L13 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:33:17 ON 25 MAY 2011
L16 97 S L15

FILE 'REGISTRY' ENTERED AT 10:33:42 ON 25 MAY 2011
L17 STRUCTURE uploaded

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SAMPLE SUBSET SCREEN SEARCH COMPLETED - 16 TO ITERATE

100.0% PROCESSED 16 ITERATIONS
SEARCH TIME: 00.00.01

6 ANSWERS

PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE **COMPLETE**
 PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET): 80 TO 560
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L18 6 SEA SUB=L15 SSS SAM L17

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THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 46.85 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N OR END:Y
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FULL SUBSET SCREEN SEARCH COMPLETED -          443 TO ITERATE
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100.0% PROCESSED 443 ITERATIONS 145 ANSWERS
SEARCH TIME: 00:00:01

T-19 145 SEA SUB=T-15 SSS FUL T-17

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                                                    ENTRY        SESSION
FULL ESTIMATED COST                           47.87       1240.37

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                                                    ENTRY        SESSION
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FILE COVERS 1907 - 25 May 2011 VOL 154 ISS 22
FILE LAST UPDATED: 24 May 2011 (20110524/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2011
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2011

CPlus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

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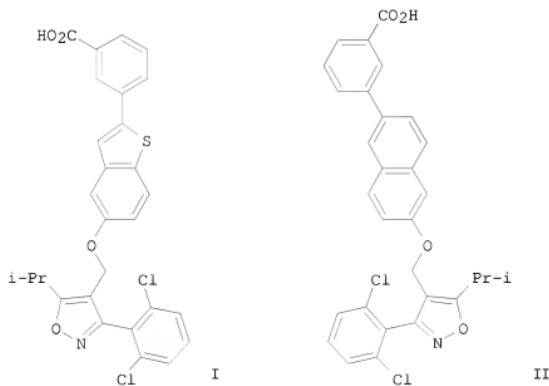
<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L20 23 L19

=> d ibib abs hitstr 1-23

L20 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2009:904814 CAPLUS
DOCUMENT NUMBER: 151:381220
TITLE: FXR agonist activity of conformationally constrained analogs of GW 4064
AUTHOR(S): Akwabi-Ameyaw, Adwoa; Bass, Jonathan Y.; Caldwell, Richard D.; Caraveila, Justin A.; Chen, Lihong; Creech, Katrina L.; Deaton, David N.; Madauss, Kevin P.; Marr, Harry B.; McFadyen, Robert B.; Miller, Aaron B.; Navas, Frank; Parks, Derek J.; Spearing, Paul K.; Todd, Dan; Williams, Shawna P.; Bruce Wisely, G.
CORPORATE SOURCE: Department of Medicinal Chemistry, GlaxoSmithKline, Research Triangle Park, NC, 27709, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2009), 19(16), 4733-4739
CODEN: BMCLB8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 151:381220
GI



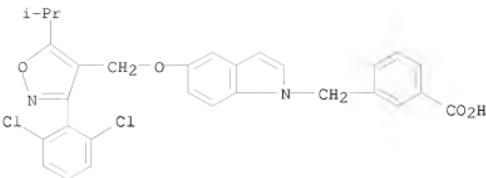
AB Two series of conformationally constrained analogs of the FXR agonist GW 4064 were prepared. Replacement of the metabolically labile stilbene with either benzothiophene or naphthalene rings led to the identification of potent full agonists I and II.

IT 1097778-44-3P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(FXR agonist activity of conformationally constrained analogs of GW
(SFA))

BN 1097778-44-3 CAPIJIS

RN 19577-84-3 CAplus
CN Benzoic acid, 3-[5-[3-(2,6-dichlorophenyl)-5-(1-methylethyl)-4-isoxazolyl]methyl]-1H-indol-1-yl]methyl- (CA INDEX NAME)

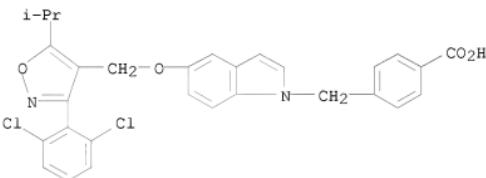


IT 1097776-81-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(FXR agonist activity of conformationally constrained analogs of GW 4064)

RN 1097776-81-2 CAPLUS

CN Benzoic acid, 4-[(5-[(3-(2,6-dichlorophenyl)-5-(1-methylethyl)-4-isoxazolyl)methoxy]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:793237 CAPLUS

DOCUMENT NUMBER: 151:123969

TITLE: Preparation of 1,2,3,4-tetrahydro-1H-pyrido[4,3-b]indoles and 1,2,3,4,5,6-hexahydroazepino[4,3-b]indoles as ligands for α -adrenoceptors and for dopamine, histamine, imidazoline and serotonin receptors and their use in treatment of CNS diseases

INVENTOR(S): Ivashchenko, Andrey Alexandrovich; Ivashchenko, Alexander Vasilievich; Lavrovsky, Yan Vadimovich; Mitkin, Oleg Dmitrievich; Savchuk, Nikolay Filippovich; Tkachenko, Sergey Yevgenievich; Okun, Ilya Matusovich

PATENT ASSIGNEE(S): Alla Chem, LLC, USA
SOURCE: PCT Int. Appl., 151pp.

DOCUMENT TYPE: Patent
LANGUAGE: Russian

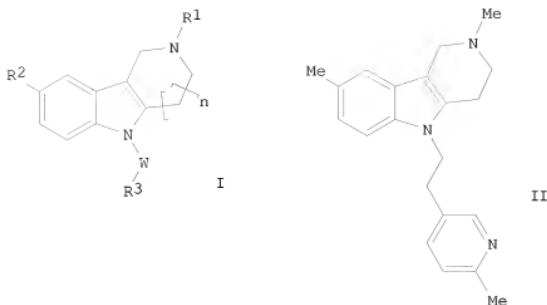
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2009082268 | A2 | 20090702 | WO 2008-RU780 | 20081219 |
| WO 2009082268 | A3 | 20090820 | | |
| W: | AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
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| EP 2236511 | A2 | 20101006 | EP 2008-864305 | 20081219 |
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| RU 2407744 | C2 | 20101227 | RU 2008-150397 | 20081219 |
| JP 2011507835 | T | 201010310 | JP 2010-539344 | 20081219 |
| US 20110039825 | A1 | 20110217 | US 2010-810013 | 20100621 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | RU 2007-147347 | A 20071221 |
| | | | RU 2007-147349 | A 20071221 |
| | | | RU 2007-147351 | A 20071221 |
| | | | RU 2007-147352 | A 20071221 |
| | | | RU 2007-147355 | A 20071221 |
| | | | RU 2007-147356 | A 20071221 |
| | | | RU 2007-147358 | A 20071221 |
| | | | RU 2007-147361 | A 20071221 |
| | | | RU 2007-147363 | A 20071221 |
| | | | RU 2007-147365 | A 20071221 |
| | | | RU 2007-147367 | A 20071221 |
| | | | RU 2007-147368 | A 20071221 |
| | | | RU 2007-147370 | A 20071221 |
| | | | RU 2007-147371 | A 20071221 |
| | | | RU 2007-147372 | A 20071221 |
| | | | RU 2007-147374 | A 20071221 |
| | | | RU 2007-147375 | A 20071221 |
| | | | RU 2007-147376 | A 20071221 |
| | | | RU 2008-137937 | A 20080924 |
| | | | WO 2008-RU780 | W 20081219 |

OTHER SOURCE(S) :
GI

MARPAT 151:123969



AB Ligands [I; R1 = H, (un)substituted C1-4 alkyl, acyl, heterocyclyl, alkoxy carbonyl substituted sulfonyl; R2 = H, halo, (un)substituted C1-4 alkyl, CF₃ CN, alkoxy, alkoxy carbonyl, carboxyl, heterocyclyl, substituted sulfonyl; R3 = (un)substituted aryl, possibly annulated with heterocyclyl or (un)substituted heterocyclyl; W = (un)substituted (CH₂)_m, (un)substituted CH:CH, (un)substituted CH₂CH:CH, (un)substituted C_npbond.C, SO₂; n = 1, 2; m = 1-3; the continuous line together with a dotted line represents a single or a double bond] as free bases, geometric isomers, racemic mixts. or individual optical isomers and also as pharmaceutically acceptable salts and/or hydrates, the broad spectrum of which simultaneously comprises α -adrenoceptors, dopamine receptors, histamine receptors, imidazoline receptors and serotonin receptors, including 5-HT₇ serotonin receptors, are claimed, as are processes for their preparation Medicinal substances, pharmaceutical compns. containing ligands

I as medicinal substances, novel medicinal agents which were used for treating diseases and states of the central nervous system of human beings and warm-blooded animals, are also claimed. E.g., II.2HCl (preparation given) gave 100% inhibition of histamine H1 receptors, and 98% inhibition of histamine H2 receptors.

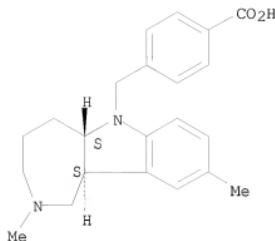
IT 1009632-22-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of tetrahydro-1H-pyrido[4,3-b]indoles and hexahydroazepino[4,3-b]indoles as ligands for α -adrenoceptors and other receptors for treatment of CNS diseases)

RN 1009632-22-7 CAPLUS

CN Benzoic acid, 4-[(5aR,10bR)-2,3,4,5,5a,10b-hexahydro-2,9-dimethylazepino[4,3-b]indol-6(1H)-yl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



IT 1166848-57-2P

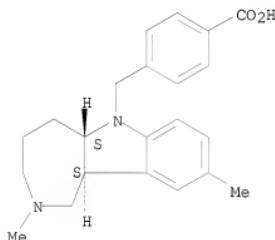
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydro-1H-pyrido[4,3-b]indoles and hexahydroazepino[4,3-b]indoles as ligands for α -adrenoceptors and other receptors for treatment of CNS diseases)

RN 1166848-57-2 CAPLUS

CN Benzoic acid, 4-[(5aR,10bR)-2,3,4,5,5a,10b-hexahydro-2,9-dimethylazepino[4,3-b]indol-6(1H)-yl)methyl]-, hydrochloride (1:?), rel-(CA INDEX NAME)

Relative stereochemistry.



● x HCl

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L20 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:20122 CAPLUS

DOCUMENT NUMBER: 150:121632

TITLE: Preparation of isoxazoles as farnesoid X receptor agonists

INVENTOR(S): Akwabi-Ameyaw, Adwoa A.; Deaton, David Norman;

McFadyen, Robert Blount; Navas, Frank, III

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 299pp.

CODEN: PIXXD2

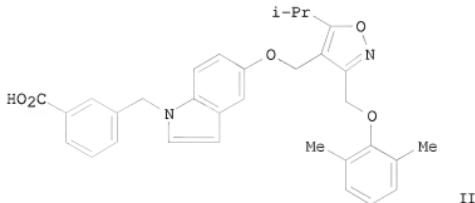
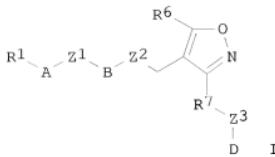
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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| WO 2009005998 | A1 | 20090108 | WO 2008-US66817 | 20080613 |
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| AU 2008270784 | A1 | 20090108 | AU 2008-270784 | 20080613 |
| CA 2690406 | A1 | 20090108 | CA 2008-2690406 | 20080613 |
| EP 2173174 | A1 | 20100414 | EP 2008-770928 | 20080613 |
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SK, TR, AL, BA, MK, RS | | | | |
| KR 2010044810 | A | 20100430 | KR 2010-7002455 | 20080613 |
| JP 2010532363 | T | 20101007 | JP 2010-514955 | 20080613 |
| CN 101877966 | A | 20101103 | CN 2008-80104790 | 20080613 |
| MX 200913946 | A | 20100310 | MX 2009-13946 | 20091217 |
| IN 2009KN04405 | A | 20100521 | IN 2009-KN4405 | 20091218 |
| US 20110034507 | A1 | 20110210 | US 2010-665772 | 20101021 |
| PRIORITY APPLN. INFO.: | | | US 2007-947548P | P 20070702 |
| | | | WO 2008-US66817 | W 20080613 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 150:121632; MARPAT 150:121632

GI



AB The invention is related to isoxazoles I [A = (un)substituted Ph, 5-6 membered heteroaryl containing 1-3 heteroatoms selected from N, O and S; R1 = CO2H, CONH2, alkoxy carbonyl, CH2CH2CO2H, CH2CH2CO2alkyl, NHCOCH3, NHSO2CF3, etc.; Z1' = (Z1')a; Z1' = CH2, CO, NH, S, SO, SO2; a = 0-1; B = 3-oxo-4-dihydro-2(1H)-3,6-isoxazolinylene, 2,6-benzothiazolylene, 2,5-1H-indolylene, etc.; Z2 = O, S, CH2, NR5, R5 = H, alkyl; R6 = alkyl, 2,2,2-trifluoroethyl, cycloalkyl, alkenyl, cycloalkenyl and fluoro-substituted cycloalkyl; R7 = (R7')d; R7' = alkylene; Z3 = (Z3')e; Z3' = O, S(O)0-2, NH; d, e = both 0, or d = 1 and e = 0-1; D = cycloalkyl, (un)substituted Ph, pyridin-4-yl, 1H-imidazol-2-yl, etc.] and their pharmaceutically acceptable salts as farnesoid x receptor (FXR) agonists, and their pharmaceutical compns. useful for treating a condition mediated by decreased FXR activity, such as obesity, diabetes, cholestatic liver disease, liver fibrosis, and metabolic syndrome. Thus, oxidation of ethylene glycol tert-Bu ether, oximation of the aldehyde (no data) with NH2OH-HCl, cyclization of the oxime with Me isobutanoyleacetate, reduction of Me 3-[(1,1-dimethyl ethyl)oxy]methyl]-5-(1-methyl ethyl)isoxazole-4-carboxylate, chlorination of the alc. with thionyl chloride, treatment with Me 3-[(5-hydroxy-1H-indol-1-yl)methyl]benzoate, cleavage of the tert-Bu group, reaction of the alc. with 2,6-dimethylphenol and saponification of the Me ester gave acid II. In an FXR cofactor binding assay, II showed FXR agonistic activity with a PEC50 in the range of 6 to 6.99.

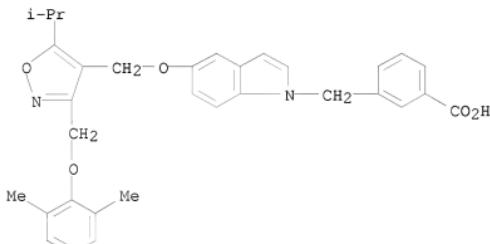
IT 1097776-13-0P, 3-[(5-[(3-[(2,6-Dimethylphenyl)oxy]methyl]-5-(1-methyl ethyl)-4-isoxazolyl)methyl]oxy]-1H-indol-1-yl)methyl]benzoic acid
 1097776-31-2P, 3-[(5-[(5-(1-Methyl ethyl)-3-[(2,4,6-trifluorophenyl)oxy]methyl)-4-isoxazolyl)methyl]oxy]-1H-indol-1-yl)methyl]benzoic acid 1097776-37-8P,
 3-[(5-[(5-(1-Methyl ethyl)-3-[(2,4,6-trichlorophenyl)oxy]methyl)-4-isoxazolyl)methyl]oxy]-1H-indol-1-yl)methyl]benzoic acid
 1097776-40-3P, 3-[(5-[(3-[(2,6-Dichlorophenyl)amino]methyl)-5-(1-methyl ethyl)-4-isoxazolyl)methyl]oxy]-1H-indol-1-yl)methyl]benzoic acid
 1097776-44-7P, 3-[(5-[(3-[(2,6-Dibromophenyl)oxy]methyl)-5-(1-methyl ethyl)-4-isoxazolyl)methyl]oxy]-1H-indol-1-yl)methyl]benzoic acid
 1097776-46-9P, 3-[(5-[(5-(1-Methyl ethyl)-3-[(1,3-thiazol-2-yl)thio]methyl)-4-isoxazolyl)methyl]oxy]-1H-indol-1-yl)methyl]benzoic acid

1097776-49-2P, 3-[[5-((1-Methylethyl)-3-[2-
 [(trifluoromethyl)oxy]phenyl]-4-isoxazolyl)methyl]oxy]-1H-indol-1-
 yl]methanol acid 1097776-81-2P,
 4-[[5-((3-(2,6-Dichlorophenyl)-5-(1-methylethyl)-4-isoxazolyl)methyl]oxy]-
 1H-indol-1-yl]methanol acid 1097776-83-4P,
 3-[[5-((3-(2,6-Dichloro-4-fluorophenyl)oxy)methyl)-5-(1-methylethyl)-4-
 isoxazolyl)methyl]oxy]-1H-indol-1-yl]methanol benzoic acid
 1097776-85-6P, 3-[[5-((3-(2,6-Dichlorophenyl)oxy)methyl)-5-(1-
 methylethyl)-4-isoxazolyl)methyl]oxy]-1H-indol-1-yl]methanol benzoic acid
 1097778-00-1P, 5-[[5-((3-(2,6-Dichlorophenyl)-5-(1-methylethyl)-4-
 isoxazolyl)methyl]oxy]-1H-indol-1-yl]methanol-2-methylbenzoic acid
 1097778-44-3P, 3-[[5-((3-(2,6-Dichlorophenyl)-5-(1-methylethyl)-4-
 isoxazolyl)methyl]oxy]-1H-indol-1-yl]methanol benzoic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug candidate; preparation of isoxazoles as farnesoid x receptor agonists)

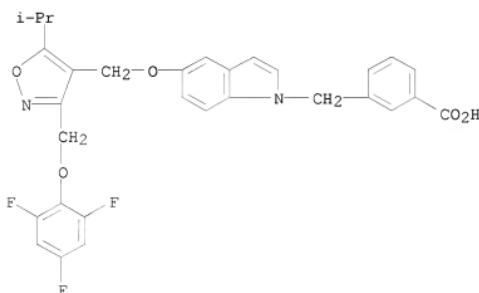
RN 1097776-13-0 CAPLUS

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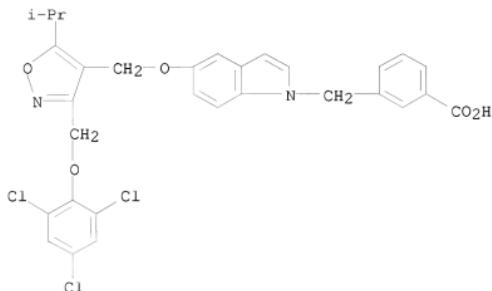
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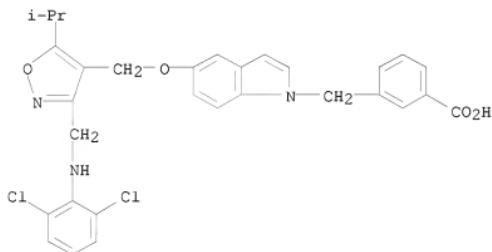
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CN Benzoic acid, 3-[[5-[(5-(1-methylethyl)-3-[(2,4,6-trichlorophenoxy)methyl]-4-isoxazolyl)methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



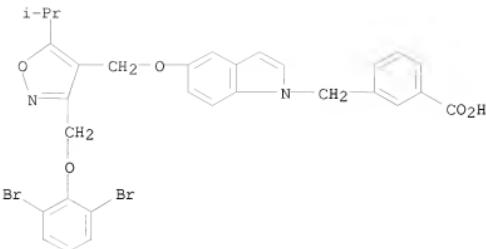
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CN Benzoic acid, 3-[[5-[(3-[(2,6-dichlorophenyl)amino]methyl)-5-(1-methylethyl)-4-isoxazolyl)methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)

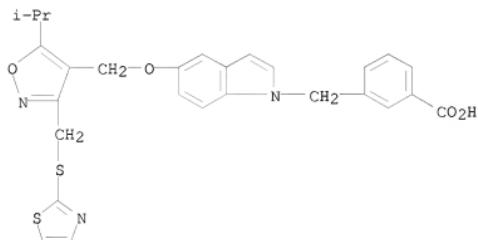


RN 1097776-44-7 CAPLUS

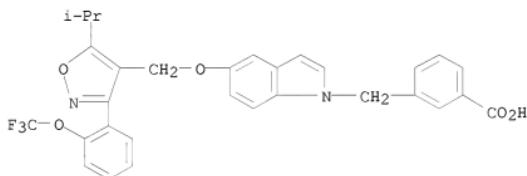
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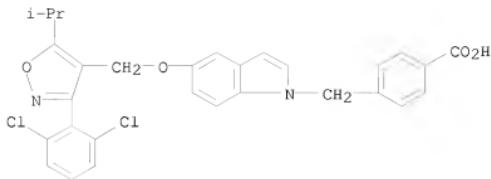
RN 1097776-46-9 CAPLUS
CN Benzoic acid, 3-[[5-[(5-(1-methylethyl)-3-[(2-thiazolylthio)methyl]-4-isoxazolyl)methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 1097776-49-2 CAPLUS
CN Benzoic acid, 3-[[5-[(5-(1-methylethyl)-3-[2-(trifluoromethoxy)phenyl]-4-isoxazolyl)methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)

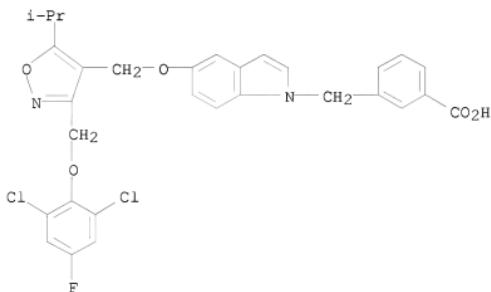


RN 1097776-81-2 CAPLUS
CN Benzoic acid, 4-[[5-[(3-(2,6-dichlorophenyl)-5-(1-methylethyl)-4-isoxazolyl)methoxy]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



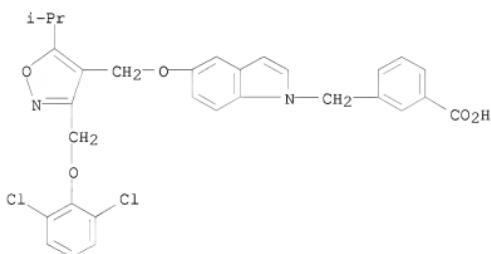
RN 1097776-83-4 CAPLUS

CN Benzoic acid, 3-[{5-[{3-[{(2,6-dichlorophenoxy)methyl]-5-(1-methylethyl)-4-isoxazolyl}methoxy]-1H-indol-1-yl}methyl]- (CA INDEX NAME)



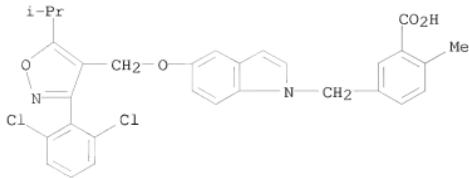
RN 1097776-85-6 CAPLUS

CN Benzoic acid, 3-[{5-[{3-[{(2,6-dichlorophenoxy)methyl]-5-(1-methylethyl)-4-isoxazolyl}methoxy]-1H-indol-1-yl}methyl]- (CA INDEX NAME)

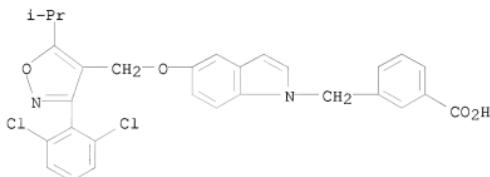


RN 1097778-00-1 CAPLUS

CN Benzoic acid, 5-[{5-[{3-[{(2,6-dichlorophenyl)-5-(1-methylethyl)-4-isoxazolyl}methoxy]-1H-indol-1-yl}methyl]-2-methyl- (CA INDEX NAME)



RN 1097778-44-3 CAPLUS
 CN Benzoic acid, 3-[(5-[(3-(2,6-dichlorophenyl)-5-(1-methylethyl)-4-isoxazolyl)methoxy]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
 (3 CITINGS)
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 20081609524 CAPLUS

DOCUMENT NUMBER: 148:561890

TITLE: Preparation of derivatives of pyrrolo[4,3-b]indoles,
 γ -carbolines and azepino[4,3-b]indoles as
 ligands of 5-HT₆ receptors for treating CNS diseases
 and pharmaceutical compositions containing them

INVENTOR(S): Ivashchenko, Andrey Alexandrovich; Ivashchenko,
 Alexander Vasilievich; Tkachenko, Sergey Yevgenievich;
 Okun, Ilya Matusovich; Savchuk, Nikolay Filippovich

PATENT ASSIGNEE(S): Alla Chem, LLC, USA

SOURCE: PCT Int. Appl., 66pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Russian

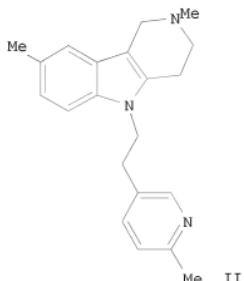
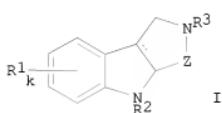
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PATENT INFORMATION:

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| WO 2008060190 | A3 | 20080724 | | |
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| BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | | | | |
| RU 2329044 C1 20080720 RU 2006-140353 20061116 | | | | | | | |
| EP 2184064 A2 20100512 EP 2007-861047 20071115 | | | | | | | |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, | | | | | | | |
| IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, | | | | | | | |
| US 20110046368 A1 20110224 US 2010-741006 20101018 | | | | | | | |
| PRIORITY APPLN. INFO.: RU 2006-140353 A 20061116 | | | | | | | |
| | | | | | | | |
| | | | | | | | |
| | | | | | | | |

OTHER SOURCE(S): CASREACT 148:561890; MARPAT 148:561890
GI



A8 Azaheterocycles that are derivs. of pyrrolo[4,3-*b*]indoles, *y*-carbolines or azepino[4,3-*b*]indoles [I; Z = (CH₂)_n, n = 1-3; k = 1-3, R₁ = H, (un)substituted Cl-5 alkyl, Cl-5 alkoxy, Cl-5 alkenyl, halo, CF₃, CN, (un)substituted aryl, (un)substituted heterocyclyl, substituted sulfonyl, (un)substituted carboxyl; R₂, R₃ = H, substituted carbonyl, substituted aminocarbonyl, substituted aminothiocarbonyl, substituted sulfonyl, Cl-5 alkyl, (un)substituted C₆-10 aryl, (un)substituted heterocyclyl, C₆-10 (arylamino)carbonyl, C₆-10 (arylamino)thiocarbonyl, C₅-10 azaheteroaryl, (un)substituted carboxyl, CN, (un)substituted aryl; the dotted line next to the solid line represents a single or a double bond; I or their racemates or optical or geometric isomers or pharmaceutically acceptable salts and/or hydrates are claimed as ligands for 5-HT₆ receptors, as are pharmaceutical compns. containing them for treating diseases and conditions of the central nervous system in humans, in the pathogenesis of which neurotransmitter systems modulated by 5-HT₆ receptors play a substantial role. A focused chemical library containing 353

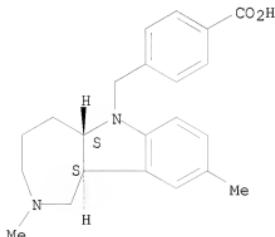
I, their geometric isomers and pharmaceutically acceptable salts were tested for ligand activity toward 5-HT₆ receptors. Thus, tetrahydro- γ -carboline derivative II was 100% effective in binding with 5-HT₆ receptors, with an IC₅₀ = 0.074 μ M.

IT 5-HIA receptors, with an IC₅₀ = 0.074 µM.
1009632-22-7
BL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

FAC (pharmacologic activity), Inc (therapeutic use), BIOC (biological study); USES (Uses) (preparation of azaheterocycles, derivs. of pyrrolo[4,3-b]indoles, π -carbolines and azepino[4,3-b]indoles, as ligands of 5-HT₆

receptors for treating CNS diseases)
 RN 1009632-22-7 CAPLUS
 CN Benzoic acid, 4-[(5aR,10bR)-2,3,4,5,5a,10b-hexahydro-2,9-dimethylazepino[4,3-b]indol-6(1H)-yl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)

L20 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2008:249120 CAPLUS

DOCUMENT NUMBER: 148:308318

TITLE: Preparation of hydrogenated, substituted azepino[4,3-b]indoles for treatment of neurodegenerative or autoimmune diseases and allergies by reduction of azepino[4,3-b]indol-1-ones and subsequent reaction with electrophiles

INVENTOR(S): Ivashchenko, Andrei Alexandrovich; Frolov, Yevgeniy Borisovich; Tkachenko, Sergey Yevgenievich; Khvat, Alexander Viktorovich; Malyarchuk, Sergey Viktorovich; Mitkin, Oleg Dmitrievich; Okun, Ilya Matusovich; Kyselev, Aleksandr Sergeevich; Savchuk, Nikolay Filippovich; Ivashchenko, Aleksandr Vasilievich

PATENT ASSIGNEE(S): Alla Chem, LLC, USA
SOURCE: PCT Int. Appl., 91pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: Russian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2008024029 | A1 | 20080228 | WO 2007-RU436 | 20070808 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

RU 2317989 C1 20080227 RU 2006-130505 20060824
 EP 2062895 A1 20090527 EP 2007-834964 20070808
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,
 AL, BA, HR, MK, RS
 PRIORITY APPLN. INFO.: RU 2006-130505 A 20060824
 WO 2007-RU436 W 20070808
 OTHER SOURCE(S): CASREACT 148:308318; MARPAT 148:308318
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

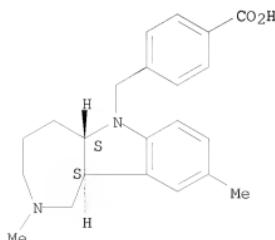
AB Hydrogenated, substituted azepino[4,3-b]indoles [I; the dotted line with a solid line associated with it = a single or double bond; R1, R2 = H, (un)substituted C1-8 alkyl, possibly substituted by aryl, 5-6-membered azaheterocyclyl; C1-8 alkoxy carbonyl; (un)substituted Ph; (un)substituted carbonylamino or thiocarbonylamino; substituted acyl, C1-8 alkylsulfonyl, (un)substituted arylsulfonyl; substituents on R1, R2 are selected from C1-8 alkyl, halo, nitro, carboxy, alkoxy, aryl; Rin = ≥ 1 substituents selected from H, C1-8 alkyl, C6-10 aryl, halo, 5-6-membered azaheterocyclyl] and their racemates, optical and geometric isomers and pharmaceutically acceptable salts and/or hydrates are claimed. Synthesis of compds. I as novel physiol. active substances, lead compds., mol. tools and drug candidates produced by screening combinatorial and focused libraries of compds., a pharmaceutical composition and methods for their production and use are also claimed. I are prepared by reduction of the corresponding azepino[4,3-b]indol-1-ones with LiAlH₄, BH₃ or other borane compds. and subsequent reaction with electrophiles such as aldehydes, alkyl halides, alkenes, iso(thio)cyanates, etc. I are biol. active in treatment of neurodegenerative or autoimmune diseases and allergies. E.g., II (preparation given) showed memory-enhancing activity in doses of 1 mg/kg and 5 mg/kg.

IT 1009632-22-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of hydrogenated, substituted azepino[4,3-b]indoles for treatment of neurodegenerative or autoimmune diseases and allergies)

RN 1009632-22-7 CAPLUS

CN Benzoic acid, 4-[(5aR,10bR)-2,3,4,5,5a,10b-hexahydro-2,9-dimethylazepino[4,3-b]indol-6(1H)-yl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2007:619459 CAPLUS
DOCUMENT NUMBER: 147:52913
TITLE: Fused pyrimidines as growth factor receptor tyrosine kinase inhibitors, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Ishikawa, Tomoyasu; Miwa, Kazuhiro; Seto, Masaki; Banno, Hiroshi; Kawakita, Youichi
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 643pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

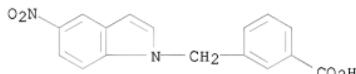
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|------------------|------------|
| WO 2007064045 | A1 | 20070607 | WO 2006-JP324499 | 20061201 |
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| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KB, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2006319787 | A1 | 20070607 | AU 2006-319787 | 20061201 |
| CA 2631066 | A1 | 20070607 | CA 2006-2631066 | 20061201 |
| AR 57961 | A1 | 20071226 | AR 2006-105330 | 20061201 |
| EP 1957495 | A1 | 20080820 | EP 2006-834254 | 20061201 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS | | | | |
| JP 2009517333 | T | 20090430 | JP 2008-520459 | 20061201 |
| ZA 2008005009 | A | 20091028 | ZA 2008-5009 | 20061201 |
| MX 2008007019 | A | 20080618 | MX 2008-7019 | 20080530 |
| US 20100216788 | A1 | 20100826 | US 2008-95543 | 20080530 |
| IN 2008KN02251 | A | 20090116 | IN 2008-KN2251 | 20080604 |
| NO 2008002870 | A | 20080901 | NO 2008-2870 | 20080624 |
| KR 2008084823 | A | 20080919 | KR 2008-7016193 | 20080702 |
| CN 101370812 | A | 20090218 | CN 2006-80052319 | 20080804 |
| PRIORITY APPLN. INFO.: | | | JP 2005-349858 | A 20051202 |
| | | | JP 2006-606468 | A 20060307 |
| | | | WO 2006-JP324499 | W 20061201 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 147:52913; MARPAT 147:52913

GI

AB The invention relates to pyrrolo[3,2-d]pyrimidines represented by formula I and related derivs., which are inhibitors of growth factor receptor tyrosine kinase. In compds. I, R1 is H; R2 is carbonylamino-substituted C1-6 alkyl; R3 is H or C1-6 alkyl; R4 and R5 are independently halo or C1-6 alkyl; and X is H or halo; including salts and prodrugs thereof; with several compds. excluded. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I, a related compound or a salt or prodrug thereof, as well as to the use of the compns. for the prophylaxis or treatment of cancer. Coupling of the dihydrochloride of amine II with 2-methyl-2-(methylsulfonyl)propanoic acid gave pyrrolopyrimidine III. The compds. of the invention are inhibitors of growth factor receptor tyrosine kinases, e.g., compound III expressed 98% inhibition of HER2 kinase at 1 μ M and IC₅₀ value below 100 nM in an assay for inhibition of breast cancer cell proliferation.
IT 940308-58-7P, 3-[(5-Nitro-1H-indol-1-yl)methyl]benzoic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of fused pyrimidines as growth factor receptor tyrosine kinase inhibitors)
RN 940308-58-7 CAPLUS
CN Benzoic acid, 3-[(5-nitro-1H-indol-1-yl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

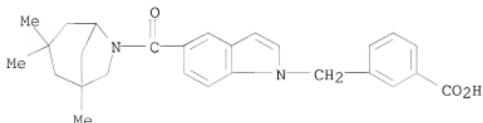
L20 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2007:512060 CAPLUS
DOCUMENT NUMBER: 146:501049
TITLE: Preparation of benzimidazolyl and indolyl amide derivatives as modulators of 11 β -hydroxysteroid dehydrogenase type 1
INVENTOR(S): Kilburn, John Paul; Andersen, Henrik Sune; Kampen, Gita Camilla Tejlgaard; Ebdrup, Soeren
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2007051811 | A2 | 20070510 | WO 2006-EP68017 | 20061101 |
| WO 2007051811 | A3 | 20080124 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, | | | | |

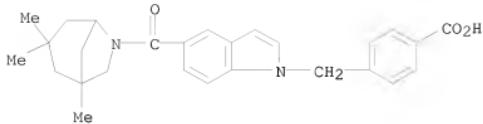
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 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
 AU 2006310519 A1 20070510 AU 2006-310519 20061101
 CA 2627307 A1 20070510 CA 2006-2627307 20061101
 EP 1945207 A2 20080723 EP 2006-807711 20061101
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
 BA, HR, MK, RS
 JP 2009513611 T 20090402 JP 2008-537122 20061101
 MX 2008005653 A 20080722 MX 2008-5653 20080430
 KR 2008069189 A 20080725 KR 2008-7011701 20080516
 IN 2008DN04561 A 20080815 IN 2008-DN4561 20080528
 CN 101355938 A 20090128 CN 2006-80050238 20080701
 US 20090118259 A1 20090507 US 2008-92223 20081023
 PRIORITY APPLN. INFO.: EP 2005-110226 A 20051101
 WO 2006-EP68017 W 20061101
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 146:501049; MARPAT 146:501049
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = substituted alkyl; R2 = H, halo, alkyl, etc.; X = N or CR3, wherein R3 = H, CN, alkyl, etc.; if R4 is absent, A and N together form an (un)substituted and saturated heterobicyclic or heterotricyclic ring; if R4 = H or alkyl, A = (un)substituted adamantyl], and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of 11 β -hydroxysteroid dehydrogenase type 1 (11 β HSD1). Thus, e.g., II was prepared by acylation of trifluoroacetate salt of III with 2-furoic acid. Details for bioassays are described (no data). As modulators of 11 β HSD1, I should prove useful for the treatment and prevention of medical disorders where a decreased intracellular concentration of active glucocorticoid is desirable.
 IT 936348-04-8P 936348-06-0P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzimidazolyl and indolyl amide derivs. as modulators of 11 β -hydroxysteroid dehydrogenase type 1)
 RN 936348-04-8 CAPLUS
 CN Benzoic acid, 3-[5-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)carbonyl]-1H-indol-1-yl]methyl- (CA INDEX NAME)



RN 936348-06-0 CAPLUS
 CN Benzoic acid, 4-[(5-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)carbonyl]-1H-indol-1-yl)methyl- (CA INDEX NAME)



L20 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007284092 CAPLUS

DOCUMENT NUMBER: 146:341023

TITLE: Photoelectric conversion material, semiconductor electrode, and photoelectric converter thereof

INVENTOR(S): Torizuka, Koichi

PATENT ASSIGNEE(S): Mitsubishi Paper Mills, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 31pp.

CODEN: JKXXAF

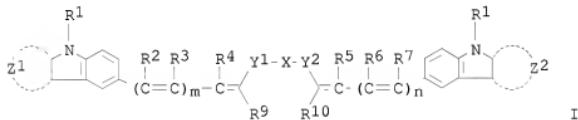
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

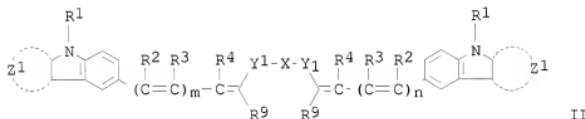
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|------------|-----------------|----------|
| JP 2007066689 | A | 20070315 | JP 2005-251019 | 20050831 |
| PRIORITY APPLN. INFO.: | | | JP 2005-251019 | 20050831 |
| OTHER SOURCE(S): | MARPAT | 146:341023 | | |



I



II

AB The photoelec. conversion material uses a compound represented by I [R1, R8 = (substituted) alkyl, aralkyl, alkenyl, aryl, or heterocyclic group; R2-7 = H, halo, lower alkyl, or lower alkoxy group; R9-10 = H, (substituted) alkyl, aralkyl, aryl, acyl, cyano, carboxyl, carboxy alkyl, carbamoyl, sulfamoyl, or heterocyclic group; X = single bond or divalent connecting group; Y1, Y2 = divalent group selected from ketone, amide, sulfone, sulfoxide, or ester; Z1, Z2 = residue forming five-membered, six-membered, or heterocyclic ring by connecting 2 Carbon of N-containing heterocyclic ring; m, n = 0 or 1; and ≥ 1 of R1, R8, R9, and R10 contains carboxyl group], or II (R1-4, R9, X, Y1, Z1, and m are same as I). The semiconductor electrode has a semiconductor layer coated on a

surface-conductive substrate, and a pigment using the above photoelec. conversion material and adsorbed on the semiconductor layer. The photoelec. converter uses the above semiconductor electrode.

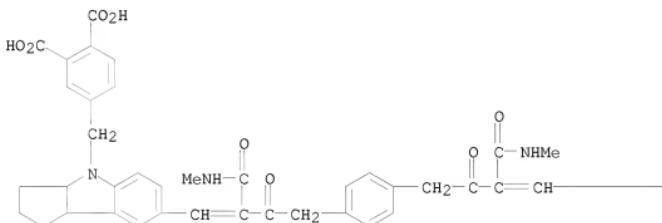
IT 929519-25-5 929519-31-3

RL: TEM (Technical or engineered material use); USES (Uses) (compns. of pigments for semiconductor electrodes in photoelec. converters)

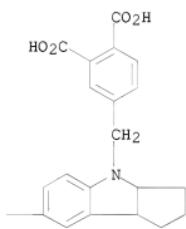
RN 929519-25-5 CAPLUS

CN 1,2-Benzenedicarboxylic acid, 4,4'-(1,4-phenylenebis[(2-[(methylamino)carbonyl]-3-oxo-1-butene-4,1-diyl)(2,3,3a,8b-tetrahydrocyclopent[b]indole-7,4(1H)-diyl)methylene])bis- (CA INDEX NAME)

PAGE 1-A

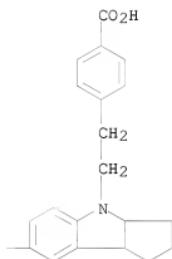
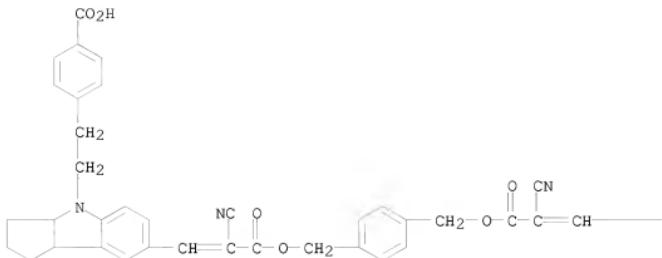


PAGE 1-B



RN 929519-31-3 CAPLUS

CN Benzoic acid, 4,4'-(1,4-phenylenebis[methoxy(2-cyano-3-oxo-1-propene-3,1-diyl)(2,3,3a,8b-tetrahydrocyclopent[b]indol-7,4(1H)-diyl)-2,1-ethanediyll]bis- (CA INDEX NAME)



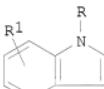
L20 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2007:197836 CAPLUS
 DOCUMENT NUMBER: 1461252104
 TITLE: Preparation of substituted indoles and their use as PAI-1 inhibitors
 INVENTOR(S): Hu, Baihua; Jetter, James W.
 PATENT ASSIGNEE(S): Wyeth, USA
 SOURCE: PCT Int. Appl., 54 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2007022321 | A2 | 20070222 | WO 2006-US32066 | 20060816 |
| WO 2007022321 | A3 | 20070510 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,
 KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN,
 MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS,
 RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA,
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 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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 US 20070043101 A1 20070222 US 2006-505527 20060816
 US 7683091 B2 20100323
 EP 1919866 A2 20080514 EP 2006-801683 20060816
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 JP 2009504762 T 20090205 JP 2008-527122 20060816
 BR 2006014340 A2 20110412 BR 2006-14340 20060816
 IN 2008DN01072 A 20080620 IN 2008-DN1072 20080207
 MX 2008002117 A 20080926 MX 2008-2117 20080213
 CN 101263115 A 20080910 CN 2006-80029894 20080215
 US 20100137363 A1 20100603 US 2010-696648 20100129
 PRIORITY APPLN. INFO.:
 US 2005-708834P P 20050817
 US 2006-505527 A1 20060816
 WO 2006-US32066 W 20060816

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 146:252104; MARPAT 146:252104

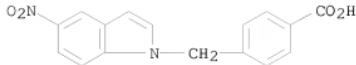
GI



I

AB The invention relates to indole derivs. I [R is p-R₂C₆H₄(CH₂)₁₋₄, where R₂ is alkyl, and R₁ is a sulfonylamino or ureido group; or R is R₃C₆H₄(CH₂)₀₋₄CHR₄, where R₃ is H, a carboxyalkoxy, carbamoyl, or carbonyl-amino acid group and R₄ is H, CO₂H, or CONHNH₂ and R₁ is a sulfonylamino group; or R is R₅CO(CH₂)₁₋₄, where R₅ is OH, alkoxy, or an amino acid residue and R₁ is a sulfonylamino group] for use as PAI-1 inhibitors. Thus, N-[{[1-(4-tert-butylbenzyl)-1H-indol-5-yl]amino}carbonyl]-L-phenylalanine was prepared by treating 1-(4-tert-butylbenzyl)-1H-indol-5-amine (preparation given) with 2-isocyanato-3-phenylpropionic acid Et ester.
 926025-13-0P

IT RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of substituted indoles and their use as PAI-1 inhibitors)
 RN 926025-13-0 CAPLUS
 CN Benzoic acid, 4-[(5-nitro-1H-indol-1-yl)methyl]- (CA INDEX NAME)

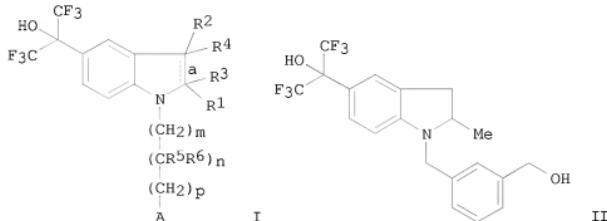


L20 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2005:1176261 CAPLUS
 DOCUMENT NUMBER: 143:440259
 TITLE: Preparation of indolyl hexafluoropropanols as
 Live-X-Receptor (LXR) modulators for the treatment of
 diabetes and related diseases
 INVENTOR(S): Dehmlow, Henrietta; Kuhn, Bernd; Panday, Narendra;
 Ratni, Hasane; Schulz-Gasch, Tanja; Wright, Matthew
 Blake
 PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 45 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|------------------|----------|
| US 20050245515 | A1 | 20051103 | US 2005-115942 | 20050427 |
| US 7173048 | B2 | 20070206 | | |
| AU 2005238176 | A1 | 20051110 | AU 2005-238176 | 20050426 |
| CA 2564563 | A1 | 20051110 | CA 2005-2564563 | 20050426 |
| WO 2005105791 | A1 | 20051110 | WO 2005-EP4454 | 20050426 |
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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,
SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG | | | | |
| EP 1756096 | A1 | 20070228 | EP 2005-751959 | 20050426 |
| EP 1756096 | B1 | 20090812 | | |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV | | | | |
| CN 1950365 | A | 20070418 | CN 2005-80014206 | 20050426 |
| BR 2005010599 | A | 20071120 | BR 2005-10599 | 20050426 |
| JP 2007536300 | T | 20071213 | JP 2007-511949 | 20050426 |
| JP 4682192 | B2 | 20110511 | | |
| AT 439357 | T | 20090815 | AT 2005-751959 | 20050426 |
| RU 2368612 | C2 | 20090927 | RU 2006-142746 | 20050426 |
| PT 1756096 | E | 20091016 | PT 2005-751959 | 20050426 |
| ES 2329489 | T3 | 20091126 | ES 2005-751959 | 20050426 |
| NZ 550447 | A | 20100625 | NZ 2005-550447 | 20050426 |
| AR 49497 | A1 | 20060809 | AR 2005-101717 | 20050429 |
| TW 287537 | B | 20071001 | TW 2005-113966 | 20050429 |
| ZA 2006008886 | A | 20080625 | ZA 2006-8886 | 20061025 |
| MX 2006012683 | A | 20070116 | MX 2006-12683 | 20061101 |
| KR 2007008678 | A | 20070117 | KR 2006-7023014 | 20061102 |

| | | | | |
|------------------------|----|----------|----------------|--------------|
| KR 893449 | B1 | 20090417 | | |
| IN 2006DN06980 | A | 20070615 | IN 2006-DN6980 | 20061122 |
| NO 2006005503 | A | 20070124 | NO 2006-5503 | 20061129 |
| US 2007009916 | A1 | 20070503 | US 2006-636925 | 20061211 |
| US 7485652 | B2 | 20090203 | | |
| PRIORITY APPLN. INFO.: | | | EP 2004-101889 | A 20040503 |
| | | | WO 2005-EP4454 | W 20050426 |
| | | | US 2005-115942 | A3 20050427' |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 143:440259; MARPAT 143:440259
 GI



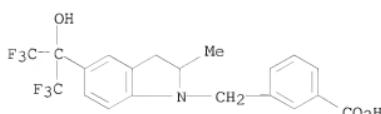
AB The invention relates to compds. I [wherein R1 - R6 = H, alkyl, etc.; A = (un)substituted aryl or heterocycl; m, p = 0-3; n = 0 or 1; R3 and R4 are absent when a is a double bond, with limitations, and pharmaceutically acceptable salts and esters thereof], their pharmaceutical compns., processes for their preps., and their use in the treatment and prophylaxis of diseases modulated by LXR α and/or LXR β agonists, such as diabetes. For instance, II, which showed IC₅₀ values of 0.02 μ M and 0.006 μ M against LXRx and LXRB, resp., in the binding assay, was synthesized in multiple steps from 2-methyl-1,3-dihydro-1H-indole, hexafluoroacetone sesquihydrate and Me 3-(chloromethyl)benzoate.

IT 868750-83-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (modulator; preparation of indolyl hexafluoropropanols as Live-X-Receptor (LXR) modulators)

RN 868750-83-8 CAPPLUS

CN Benzoic acid, 3-[{2,3-dihydro-2-methyl-5-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]-1H-indol-1-yl}methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
 REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

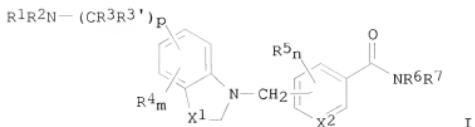
L20 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2005:1042216 CAPLUS
 DOCUMENT NUMBER: 143:347050
 TITLE: Preparation of
 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide
 derivatives as opioid receptor antagonists for the
 treatment of obesity
 INVENTOR(S): Benesh, Dana Rae; Blanco-Pillado, Maria-Jesus
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 52 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 2005090303 | A1 | 20050929 | WO 2005-US7702 | 20050309 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG | | | | |
| CA 2558030 | A1 | 20050929 | CA 2005-2558030 | 20050309 |
| EP 1751103 | A1 | 20070214 | EP 2005-725070 | 20050309 |
| EP 1751103 | B1 | 20090114 | | |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| JP 2007529523 | T | 20071025 | JP 2007-503959 | 20050309 |
| AT 420858 | T | 20090115 | AT 2005-725070 | 20050309 |
| ES 2318472 | T3 | 20090501 | ES 2005-725070 | 20050309 |
| US 20070155793 | A1 | 20070705 | US 2006-598281 | 20060823 |
| PRIORITY APPLN. INFO.: | | | US 2004-553176P | P 20040315 |
| | | | WO 2005-US7702 | W 20050309 |

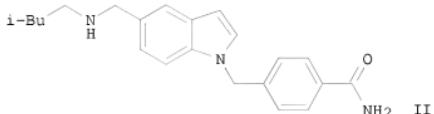
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:347050; MARPAT 143:347050

GI



I



II

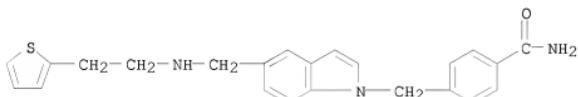
AB Title compds. represented by the formula I [wherein X1 = CH2, CH or N; X2 = CH or N; R1, R2 = independently H, alkyl(aryl), alkenyl, etc.; R3, R3' = independently H, alkyl, alkynyl, etc.; R4, R5 = independently H, (halo)alkyl, aryl, etc.; m = 0-2; n = 0-2; p = 0-2; and pharmaceutically acceptable salts, solvates, prodrugs, enantiomers, racemates, diastereomers and diastereomeric mixture thereof] were prepared as opioid receptor antagonists. For example, II was provided in a multi-step synthesis starting from the reaction of 5-formylindole with 4-bromomethylbenzonitrile. I were tested for antagonistic activity of mu-, gamma- and delta-opioid receptor in SPA-based GTPgammaS binding assay, and their pharmaceutical formulations were also presented. Thus, I and their pharmaceutical compns. are useful as opioid receptor antagonists for the treatment of obesity (no data).

IT 865542-83-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

RN 865542-83-2 CAPLUS

CN Benzamide, 4-((5-((2-(2-thienyl)ethyl)amino)methyl)-1H-indol-1-yl)methyl]- (CA INDEX NAME)



IT 865542-80-9P 865542-82-1P 865542-84-3P

865542-85-4P 865542-86-5P 865542-87-6P

865542-88-7P 865542-89-8P 865542-90-1P

865542-91-2P 865542-92-3P 865542-93-4P

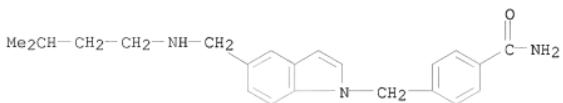
865542-94-5P 865542-95-6P 865542-96-7P

865542-97-8P 865542-98-9P 865542-99-0P

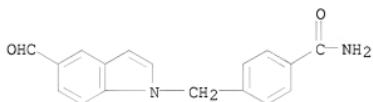
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

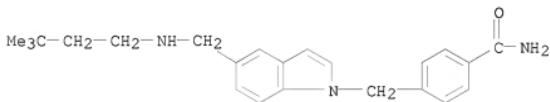
RN 865542-80-9 CAPLUS
CN Benzamide, 4-[(5-[(3-methylbutyl)amino]methyl]-1H-indol-1-yl)methyl]-
(CA INDEX NAME)



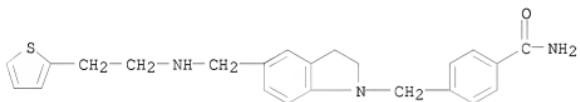
RN 865542-82-1 CAPLUS
CN Benzamide, 4-[(5-formyl-1H-indol-1-yl)methyl]- (CA INDEX NAME)



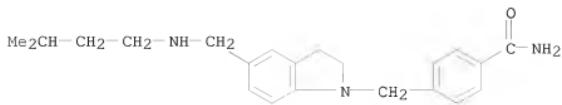
RN 865542-84-3 CAPLUS
CN Benzamide, 4-[(5-[(3,3-dimethylbutyl)amino]methyl)-1H-indol-1-yl)methyl]-
(CA INDEX NAME)



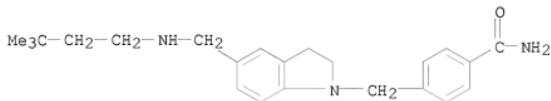
RN 865542-85-4 CAPLUS
CN Benzamide, 4-[(2,3-dihydro-5-[[2-(2-thienyl)ethyl]amino]methyl)-1H-indol-1-yl)methyl]- (CA INDEX NAME)



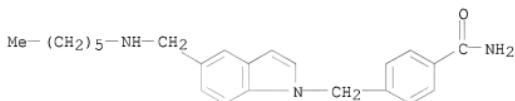
RN 865542-86-5 CAPLUS
CN Benzamide, 4-[(2,3-dihydro-5-[(3-methylbutyl)amino]methyl)-1H-indol-1-yl)methyl]- (CA INDEX NAME)



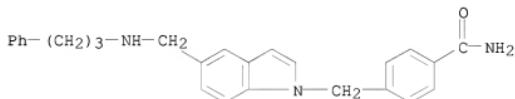
RN 865542-87-6 CAPLUS
 CN Benzamide, 4-[(5-[(3,3-dimethylbutyl)amino]methyl)-2,3-dihydro-1H-indol-1-yl]methanamine (CA INDEX NAME)



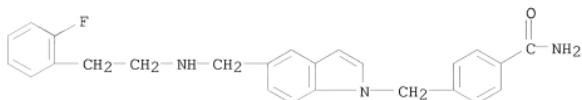
RN 865542-88-7 CAPLUS
 CN Benzamide, 4-[(5-[(hexylamino)methyl]-1H-indol-1-yl)methyl]benzyl amide (CA INDEX NAME)



RN 865542-89-8 CAPLUS
 CN Benzamide, 4-[(5-[(3-phenylpropyl)amino]methyl)-1H-indol-1-yl]methanamine (CA INDEX NAME)

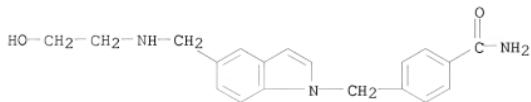


RN 865542-90-1 CAPLUS
 CN Benzamide, 4-[(5-[(2-(2-fluorophenyl)ethyl)amino]methyl)-1H-indol-1-yl]methanamine (CA INDEX NAME)

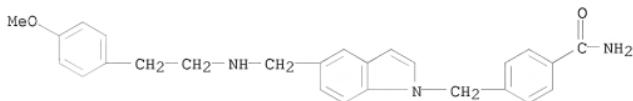


RN 865542-91-2 CAPLUS

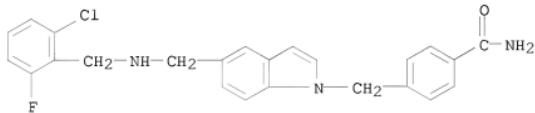
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(CA INDEX NAME)



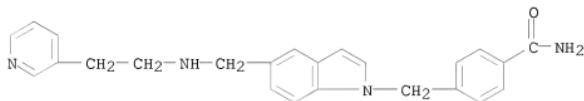
RN 865542-92-3 CAPLUS
CN Benzamide, 4-[(5-[(2-(4-methoxyphenyl)ethyl)amino]methyl)-1H-indol-1-yl)methyl]-
(CA INDEX NAME)



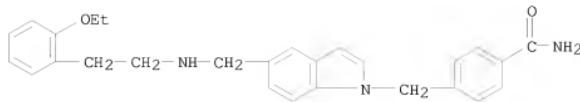
RN 865542-93-4 CAPLUS
CN Benzamide, 4-[(5-[(2-chloro-6-fluorophenyl)methyl]amino)methyl]-1H-indol-1-yl)methyl]-
(CA INDEX NAME)



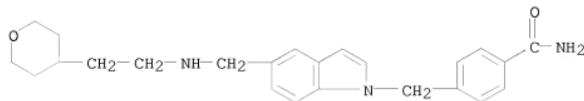
RN 865542-94-5 CAPLUS
CN Benzamide, 4-[(5-[(2-(3-pyridinyl)ethyl)amino]methyl)-1H-indol-1-yl)methyl]-
(CA INDEX NAME)



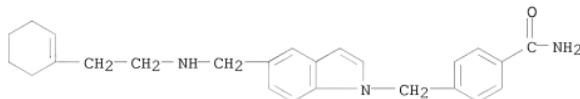
RN 865542-95-6 CAPLUS
CN Benzamide, 4-[(5-[(2-(2-ethoxyphenyl)ethyl)amino]methyl)-1H-indol-1-yl)methyl]-
(CA INDEX NAME)



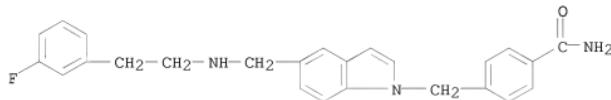
RN 865542-96-7 CAPLUS
CN Benzamide, 4-[(5-[(2-(tetrahydro-2H-pyran-4-yl)ethyl)amino]methyl)-1H-indol-1-yl]methyl- (CA INDEX NAME)



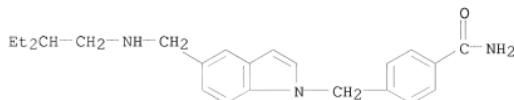
RN 865542-97-8 CAPLUS
CN Benzamide, 4-[(5-[(2-(1-cyclohexen-1-yl)ethyl)amino]methyl)-1H-indol-1-yl]methyl- (CA INDEX NAME)



RN 865542-98-9 CAPLUS
CN Benzamide, 4-[(5-[(2-(3-fluorophenyl)ethyl)amino]methyl)-1H-indol-1-yl]methyl- (CA INDEX NAME)



RN 865542-99-0 CAPLUS
CN Benzamide, 4-[(5-[(2-ethylbutyl)amino]methyl)-1H-indol-1-yl]methyl- (CA INDEX NAME)

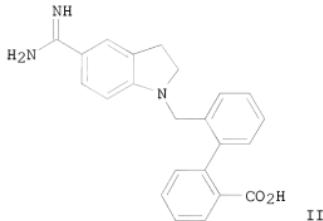
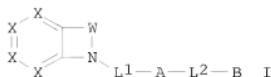


RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 2004:927166 CAPLUS
 DOCUMENT NUMBER: 141:395428
 TITLE: Biaryl methyl indolines, indoles, and tetrahydroquinolines, useful as serine protease inhibitors, and particularly as anticoagulants, and their preparation, pharmaceutical compositions, and use.
 INVENTOR(S): Smallheer, Joanne M.; Quan, Mimi L.; Wang, Shuaige; Bisacchi, Gregory S.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 153 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2004094372 | A2 | 20041104 | WO 2004-US11856 | 20040415 |
| WO 2004094372 | A3 | 20050602 | | |
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| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20040220206 | A1 | 20041104 | US 2004-824025 | 20040414 |
| US 7129264 | B2 | 20061031 | | |
| EP 1633716 | A2 | 20060315 | EP 2004-750251 | 20040415 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| JP 2006523716 | T | 20061019 | JP 2006-513080 | 20040415 |
| PRIORITY APPLN. INFO.: | | | | |
| US 2003-463452P | | | | |
| US 2004-824025 | | | | |
| WO 2004-US11856 | | | | |

OTHER SOURCE(S): MARPAT 141:395428
 GI



AB The invention provides compds. I or stereoisomers, pharmaceutically acceptable salts or hydrates, or prodrugs thereof [wherein: W = (un)substituted CH_2CH_2 , $\text{CH}:\text{CH}$, $\text{CH}:\text{N}$, or $\text{CH}_2\text{CH}_2\text{CH}_2$; L1 = CH_2 , CH_2CH_2 , $\text{CH}_2\text{S}(\text{O})\text{O}-2$, or $\text{CH}_2\text{C}(\text{O})$; L2 = bond, (un)substituted CH_2 , CH_2CH_2 , O, NH, C(O), S(O)O-2, $\text{CH}_2\text{C}(\text{O})$, C(O)CH₂, CH₂O, OC₂H, CH₂NH, NHCH₂, $\text{CH}_2\text{S}(\text{O})\text{O}-2$, S(O)O-2CH₂, C(O)O, OC(O), C(O)NH, NHC(O), S(O)NH, S(O)2NH, NHS(O), or NHS(O)2; A = (un)substituted C3-10 carbocycle or 5- to 12-membered heterocycle with 1-4 N/O/S(O)O-2 heteroatoms; B = (un)substituted alk(en/yn)yl, C3-10 carbocycle, or 5- to 12-membered heterocycle with 1-4 N/O/S(O)O-2 heteroatoms; X = (independently) (un)substituted CH or NJ]. I are useful as selective inhibitors of serine protease enzymes of the coagulation cascade and/or contact activation system; for example thrombin, factor Xa, factor XIa, factor IXa, factor VIIa and/or plasma kallikrein. In particular, the invention relates to compds. that are selective factor XIa inhibitors. This invention also relates to pharmaceutical compns. comprising I, and methods of treating thromboembolic and/or inflammatory disorders using I. I had Ki values of $\leq 15 \mu\text{M}$ in assays for Factor XIa and plasma kallikrein, thereby confirming their utility as effective inhibitors of these entities. Approx. 115 compds. I and various intermediates were prepared. For instance, 5-cyanoindole was reduced to 5-cyanoindoline with NaBH3CN (40%) or with Et3SiH (77%). Then, Suzuki coupling of 2-IC6H4CO2Me with 2-OCHC6H4B(OH)2 gave 83% 2-OCHC6H4-C6H4CO2Me-2, which underwent reductive alkylation with 5-cyanoindoline (86%). The obtained 1-substituted 5-cyanoindoline was converted to the corresponding 5-amidoxime, which was reduced by Zn in AcOH to give the 5-amidine (18.5%). Alkaline saponification of the ester moiety gave invention compound II, isolated as the bis(trifluoroacetate) salt.

IT 787630-52-8P, 2-(Benzylxylo)-5-(5-carbamimidoyl-2,3-dihydroindol-1-ylmethyl)benzoic acid 787630-53-9P, 2-(Benzylxylo)-3-(5-carbamimidoyl-2,3-dihydroindol-1-ylmethyl)benzoic acid 787630-69-7P, 6'-(5-Carbamimidoyl-2,3-dihydroindol-1-ylmethyl)-4-methoxybiphenyl-2,3'-dicarboxylic acid

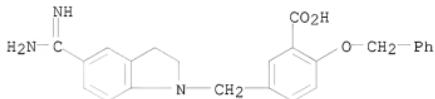
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(drug candidate; preparation of biaryl methyl indolines, indoles, and tetrahydroquinolines as serine protease inhibitors and anticoagulants)

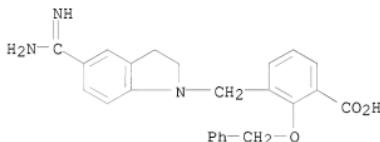
RN 787630-52-8 CAPLUS

CN Benzoic acid, 5-[(5-(aminoiminomethyl)-2,3-dihydro-1H-indol-1-yl)methyl]-2-(phenylmethoxy)- (CA INDEX NAME)



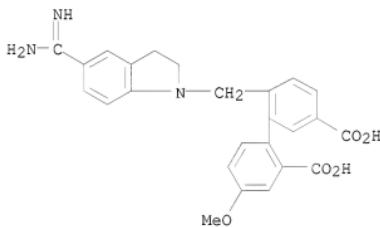
RN 787630-53-9 CAPLUS

CN Benzoic acid, 3-[(5-(aminoiminomethyl)-2,3-dihydro-1H-indol-1-yl)methyl]-2-(phenylmethoxy)- (CA INDEX NAME)



RN 787630-69-7 CAPLUS

CN [1,1'-Biphenyl]-2,3'-dicarboxylic acid,
6'-(5-(aminoiminomethyl)-2,3-dihydro-1H-indol-1-yl)methyl]-4-methoxy-
(CA INDEX NAME)



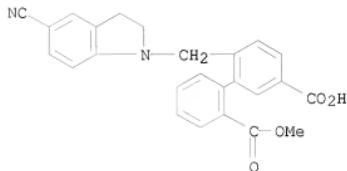
IT 787631-72-5P, 2'-(5-Cyano-2,3-dihydroindol-1-ylmethyl)-5'-carboxybiphenyl-2-carboxylic acid methyl ester 787631-85-0P,
3-[2-(Benzylxy)carbonyl]-4-methylphenyl]-4-[(5-cyano-2,3-dihydro-1-indolyl)methyl]benzoic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of biaryl methyl indolines, indoles, and tetrahydroquinolines as serine protease inhibitors and anticoagulants)

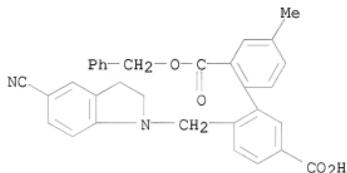
RN 787631-72-5 CAPLUS

CN [1,1'-Biphenyl]-2,3'-dicarboxylic acid,

6'-(5-cyano-2,3-dihydro-1H-indol-1-yl)methyl]-, 2-methyl ester (CA INDEX NAME)



RN 787631-85-0 CAPLUS
CN [1,1'-Biphenyl]-2,3'-dicarboxylic acid,
6'-(5-cyano-2,3-dihydro-1H-indol-1-yl)methyl]-4-methyl-, 2-(phenylmethyl)
ester (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
(7 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2003:784629 CAPLUS
DOCUMENT NUMBER: 139:292147
TITLE: Preparation of indole derivatives as phospholipase
enzyme inhibitors
INVENTOR(S): Seehra, Jasbir S.; Kaila, Neelu; McKew, John C.;
Bemis, Jean E.; Xiang, Yibin; Chen, Lihren
PATENT ASSIGNEE(S): Genetics Institute LLC, USA
SOURCE: U.S., 81 pp., Cont.-in-part of U.S. Ser. No. 30,102.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 6630496 | B1 | 20031007 | US 2000-645042 | 20000824 |
| BR 9909242 | A | 20001114 | BR 1999-9242 | 19990217 |
| PRIORITY APPLN. INFO.: | | | US 1997-918400 | B2 19970826 |
| | | | US 1998-30102 | B2 19980225 |
| | | | WO 1999-IS3388 | W 19990217 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

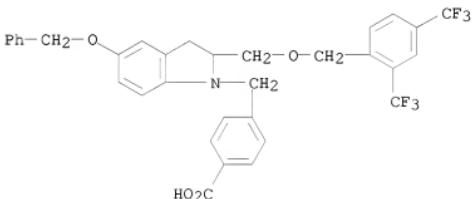
AB The indole derivs. (I), (II), and (III) [where A = CH₂ or CH₂CH₂; B = (CH₂)_n, (CH₂O)_n, (CH₂S)_n, (OCH₂)_n, (SCH₂)_n, (CH=CH)_n, (C_ntplbond.C)_n, CONR₆, NR₆CO, O, S, or NR₆; R₁ = H, OH, halo, etc.; R₂, R₃ = H, CO₂H, alkyl, aryl, etc.; R₄, R₅ = H, OH, CN, CO₂H, etc.; n = 0-4] and pharmaceutically acceptable salts thereof, were prepared. Thus, 2,4-thiazolidinedione and K₂CO₃ followed by NaOH were added to 5-(benzoyloxy)-1-(4-[3,5-bis(trifluoromethyl)phenoxy]methyl)benzyl)-1H-indole-2-carboxaldehyde in EtOH to form the 2,4-thiazolidinedion-4-ylidene derivative. The ylidene was dissolved in a solution of DMF and NaH, reacted with an alkyl ester of 4-(bromomethyl)benzoic acid, and deesterified with HF to yield the acid, (E)-(IV). The title compds. are useful as phospholipase enzyme inhibitors, especially cytosolic phospholipase A2 (cPLA₂), for treatment of inflammatory conditions and pain, particularly where inhibition of production of prostaglandins, leukotrienes, and PAF are all desired. Eighty-seven compds. of the invention were tested for phospholipase enzyme inhibiting activity in the LysoPC and/or Coumarine assay. IC₅₀ values ranged from 0.081 μM to >50 μM for the LysoPC assay and from 2.5 μM to >64 μM for the Coumarine assay. Selected compds. were tested for in vivo activity in the carrageenan-induced rat paw edema test, and showed 4.2% to 34.2% inhibition. Forty-eight compds. of the invention were tested for cPLA₂ enzyme activity, and exhibited 25% to 95% inhibition at concns. of 3 μM to 100 μM. Pharmaceutical composition comprising the compound I was claimed.

IT 204017-06-1P 204017-07-2P 204017-08-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole derivs. as phospholipase enzyme inhibitors for treatment of inflammatory conditions)

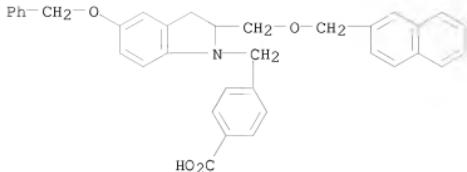
RN 204017-06-1 CAPLUS

CN Benzoic acid, 4-[(2,4-bis(trifluoromethyl)phenyl)methoxy]methyl]-2,3-dihydro-5-(phenylmethoxy)-1H-indol-1-yl)methyl]- (CA INDEX NAME)



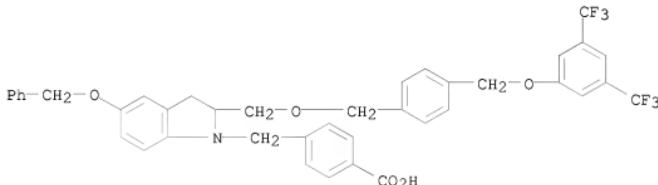
RN 204017-07-2 CAPLUS

CN Benzoic acid, 4-[(2,3-dihydro-2-[(2-naphthalenylmethoxy)methyl]-5-(phenylmethoxy)-1H-indol-1-yl)methyl]- (CA INDEX NAME)



RN 204017-08-3 CAPLUS

CN Benzoic acid, 4-[{2-[{[4-[{3,5-bis(trifluoromethyl)phenoxy]methyl}phenyl]methoxy]methyl}-2,3-dihydro-5-(phenylmethoxy)-1H-indol-1-yl]methyl]-(CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)

REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2001:137021 CAPLUS

DOCUMENT NUMBER: 134:193347

TITLE: Preparation of indol-1-yl(or quinolin-1-yl)methyl benzoic acids as peroxisome proliferator activated receptor (PPAR) agonists

INVENTOR(S): Hargreaves, Rodney Brian; Whittamore, Paul Robert Owen

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

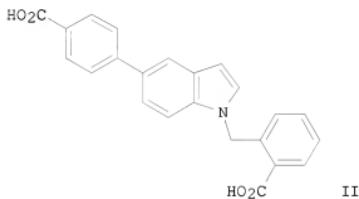
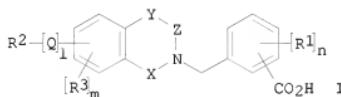
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2001012187 | A2 | 20010222 | WO 2000-GB3140 | 20000814 |
| WO 2001012187 | A3 | 20010607 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, | | | | |

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 CA 2380775 A1 20010222 CA 2000-2380775 20000814
 BR 2000013368 A 20020507 BR 2000-13368 20000814
 EP 1210343 A2 20020605 EP 2000-953320 20000814
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL
 JP 2003507327 T 20030225 JP 2001-516533 20000814
 NZ 517059 A 20040528 NZ 2000-517059 20000814
 ZA 2002000669 A 20030424 ZA 2002-669 20020124
 MX 2002001598 A 20020702 MX 2002-1598 20020214
 NO 2002000765 A 20020417 NO 2002-765 20020215
 PRIORITY APPLN. INFO.: GB 1999-19411 A 19990818
 OTHER SOURCE(S): MARPAT 134:193347 WO 2000-GB3140 20000814
 GI



AB The title compds. [I; X, Y, Z = a bond, atom or groups of atoms such that X, Y and Z together with the nitrogen atom = 5-6 membered (non)aromatic ring; R1 = alkyl, halo, haloalkyl, etc.; n = 0-2; R2 = (un)substituted hydrocarbyl, halo, CN, etc.; 1 = 0-1; Q = a bond, alkylene, alkenylene; R3 = alkyl, halo, haloalkyl, etc.; m = 0-2] which act as peroxisome proliferator activated receptor (PPAR) agonists, in particular gamma receptors (PPAR γ) (data given), and so are useful in the treatment of states of insulin resistance, including type 2 diabetes mellitus, were prepared. E.g., a multi-step synthesis of II was given.

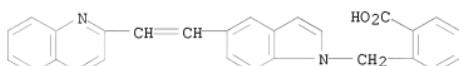
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| IT | 327043-57-2P | 327043-61-8P | 327043-63-0P |
| | 327043-65-2P | 327043-68-5P | 327043-70-9P |
| | 327043-71-0P | 327043-72-1P | 327043-73-2P |
| | 327043-74-3P | 327043-77-6P | 327043-79-8P |
| | 327043-80-1P | 327043-81-2P | 327043-82-3P |
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| | 327043-94-7P | 327043-95-8P | 327043-96-9P |
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| 327044-19-9P | 327044-20-2P | 327044-21-3P |
| 327044-22-4P | 327044-23-5P | 327044-24-6P |
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| 327044-40-6P | 327044-41-7P | 327044-42-8P |
| 327044-43-9P | 327044-44-0P | 327044-45-1P |
| 327044-46-2P | | |

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of indol-1-yl (or quinolin-1-yl)methyl benzoic acids as peroxisome proliferator activated receptor (PPAR) agonists)

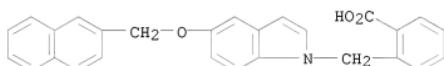
RN 327043-57-2 CAPLUS

CN Benzoic acid, 2-[{5-[2-(2-quinolinyl)ethenyl]-1H-indol-1-yl}methyl]- (CA INDEX NAME)



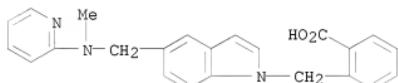
RN 327043-61-8 CAPLUS

CN Benzoic acid, 2-[{5-(2-naphthalenylmethoxy)-1H-indol-1-yl}methyl]- (CA INDEX NAME)



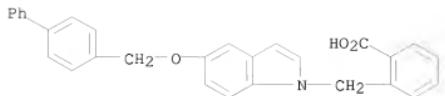
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CN Benzoic acid, 2-[{5-[(methyl-2-pyridinylamino)methyl]-1H-indol-1-yl}methyl]- (CA INDEX NAME)

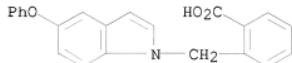


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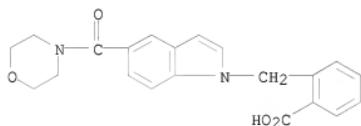
CN Benzoic acid, 2-[{5-[(1,1'-biphenyl)-4-ylmethoxy)-1H-indol-1-yl]methyl}- (CA INDEX NAME)



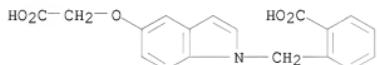
RN 327043-68-5 CAPLUS
CN Benzoic acid, 2-[(5-phenoxy-1H-indol-1-yl)methyl]- (CA INDEX NAME)



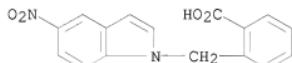
RN 327043-70-9 CAPLUS
CN Benzoic acid, 2-[(5-(4-morpholinylcarbonyl)-1H-indol-1-yl)methyl]- (CA INDEX NAME)



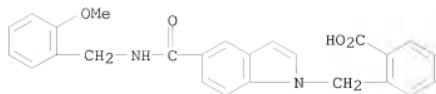
RN 327043-71-0 CAPLUS
CN Benzoic acid, 2-[(5-(carboxymethoxy)-1H-indol-1-yl)methyl]- (CA INDEX NAME)



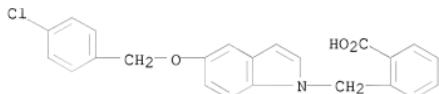
RN 327043-72-1 CAPLUS
CN Benzoic acid, 2-[(5-nitro-1H-indol-1-yl)methyl]- (CA INDEX NAME)



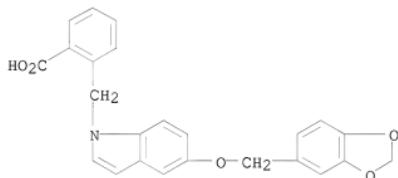
RN 327043-73-2 CAPLUS
CN Benzoic acid, 2-[(5-[(2-methoxyphenyl)methyl]amino]carbonyl)-1H-indol-1-yl)methyl]- (CA INDEX NAME)



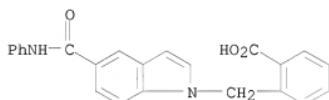
RN 327043-74-3 CAPLUS
CN Benzoic acid, 2-[(5-[(4-chlorophenyl)methoxy]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



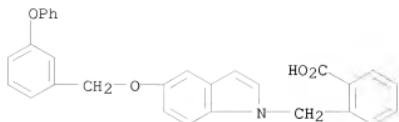
RN 327043-77-6 CAPLUS
CN Benzoic acid, 2-[(5-(1,3-benzodioxol-5-ylmethoxy)-1H-indol-1-yl)methyl]- (CA INDEX NAME)



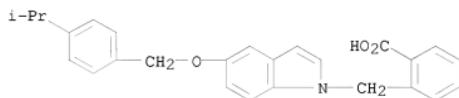
RN 327043-79-8 CAPLUS
CN Benzoic acid, 2-[(5-[(phenylamino)carbonyl]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



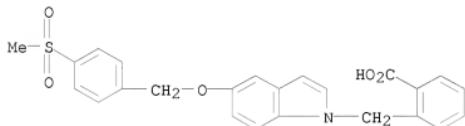
RN 327043-80-1 CAPLUS
CN Benzoic acid, 2-[(5-[(3-phenoxyphenyl)methoxy]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



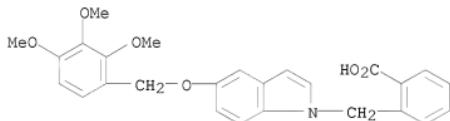
RN 327043-81-2 CAPLUS
CN Benzoic acid, 2-[(5-[(4-(1-methylethyl)phenyl)methoxy]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



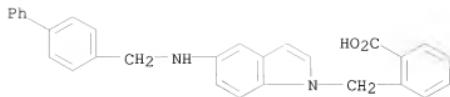
RN 327043-82-3 CAPLUS
CN Benzoic acid, 2-[(5-[(4-(methylsulfonyl)phenyl)methoxy]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



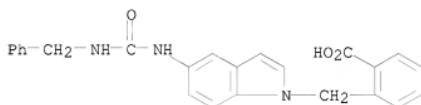
RN 327043-83-4 CAPLUS
CN Benzoic acid, 2-[(5-[(2,3,4-trimethoxyphenyl)methoxy]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



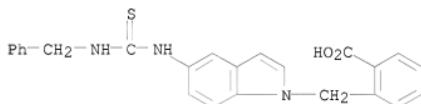
RN 327043-84-5 CAPLUS
CN Benzoic acid, 2-[(5-[(1,1'-biphenyl)-4-ylmethyl]amino]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



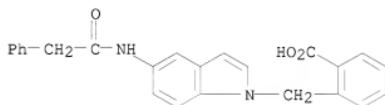
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 CN Benzoic acid, 2-[[5-[(phenylmethyl)amino]carbonyl]amino]-1H-indol-1-yl)methyl - (CA INDEX NAME)



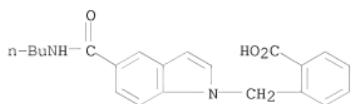
RN 327043-86-7 CAPLUS
 CN Benzoic acid, 2-[[5-[(phenylmethyl)amino]thioxomethyl]amino]-1H-indol-1-yl)methyl - (CA INDEX NAME)



RN 327043-87-8 CAPLUS
 CN Benzoic acid, 2-[[5-[(2-phenylacetyl)amino]-1H-indol-1-yl]methyl] - (CA INDEX NAME)

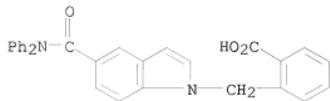


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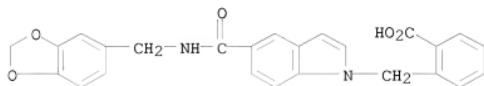


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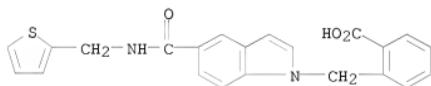
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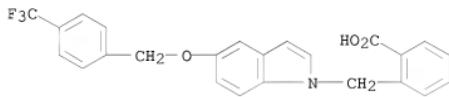
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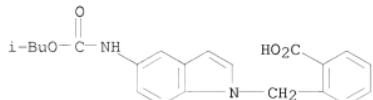
RN 327043-91-4 CAPLUS
CN Benzoic acid, 2-[(5-[(2-thienylmethyl)amino]carbonyl)-1H-indol-1-yl)methyl]- (CA INDEX NAME)



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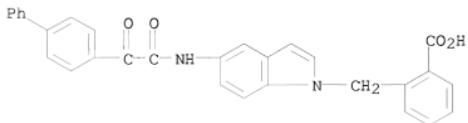


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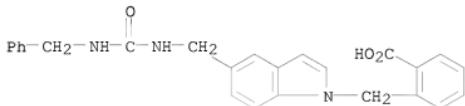
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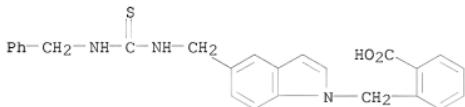
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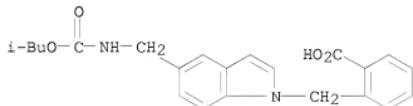
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CN Benzoic acid, 2-[(5-[(phenylmethyl)amino]thioxomethyl)amino]methyl]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



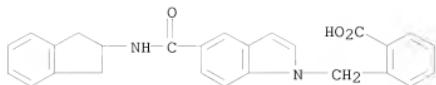
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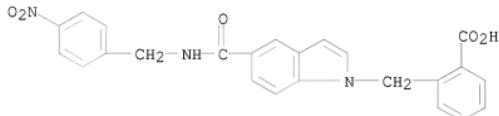


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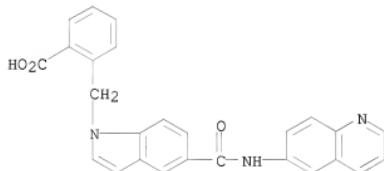
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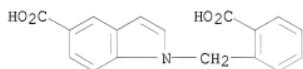
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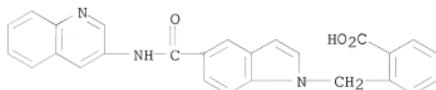
RN 327044-09-7 CAPLUS
CN Benzoic acid, 2-[(5-[(6-quinolinylamino)carbonyl]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



RN 327044-10-0 CAPLUS
CN 1H-Indole-5-carboxylic acid, 1-[(2-carboxyphenyl)methyl]- (CA INDEX NAME)

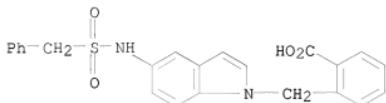


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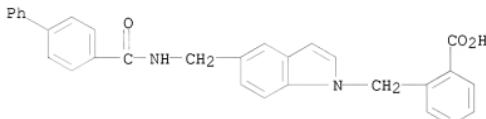


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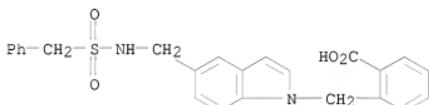
CN Benzoic acid, 2-[{5-[(phenylmethyl)sulfonyl]amino}-1H-indol-1-yl]methyl]-
(CA INDEX NAME)



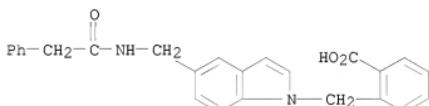
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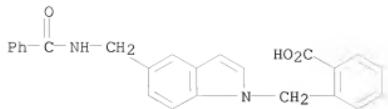
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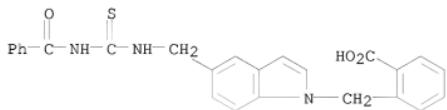
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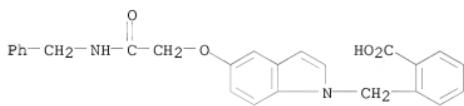
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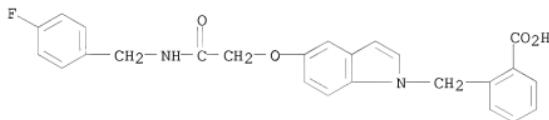
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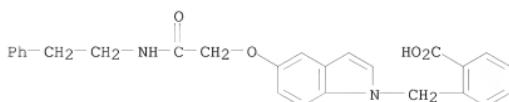
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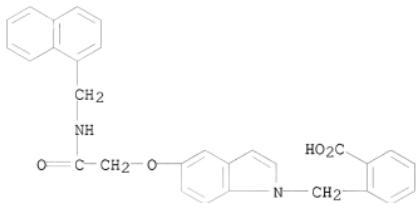
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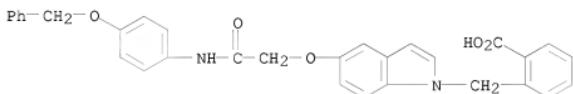
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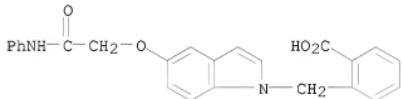
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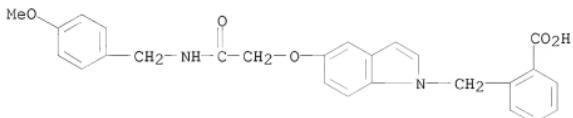
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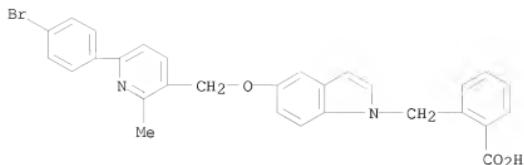
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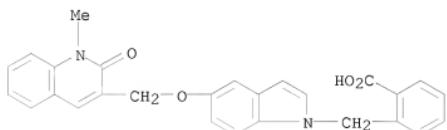


RN 327044-25-7 CAPLUS
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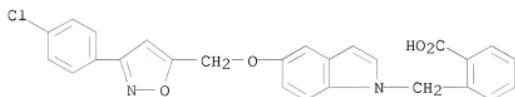
RN 327044-26-8 CAPLUS

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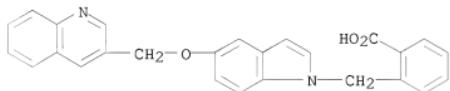
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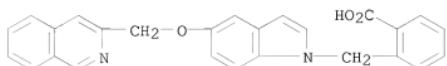
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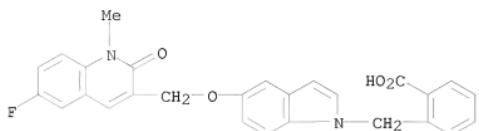


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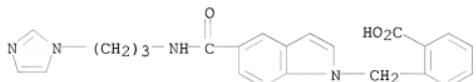
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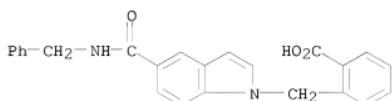
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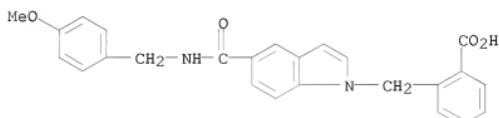
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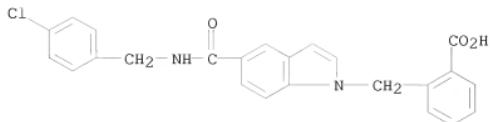
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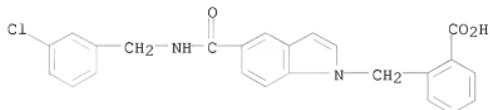
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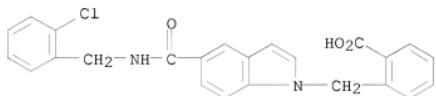
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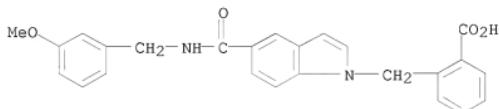
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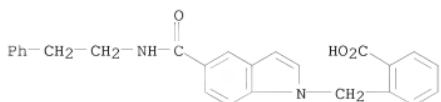
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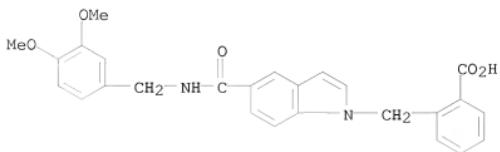
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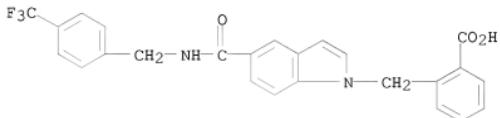
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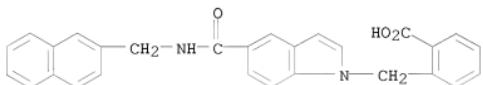
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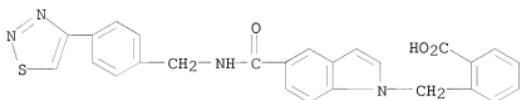
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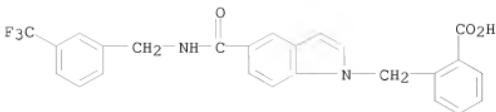
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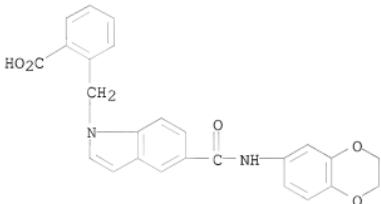
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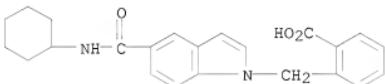
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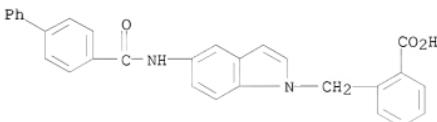
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RN 327044-45-1 CAPLUS
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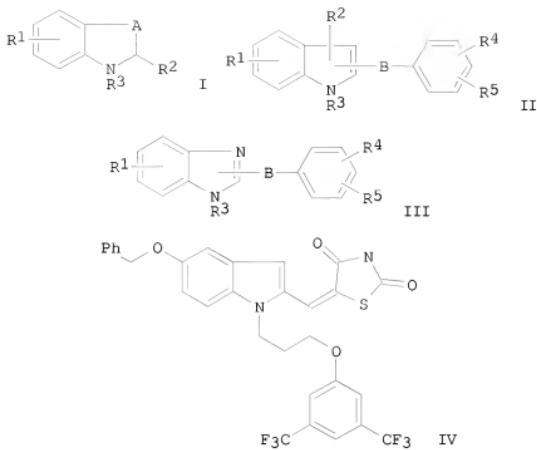
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 ACCESSION NUMBER: 1999:566043 CAPLUS
 DOCUMENT NUMBER: 131:199620

TITLE: Preparation of indole derivatives as phospholipase
 enzyme inhibitors
 INVENTOR(S): Seehra, Jasbir S.; Xiang, Yibin; Bemis, Jean; McKew,
 John; Kaila, Neelu; Chen, Lihren
 PATENT ASSIGNEE(S): Genetics Institute, Inc., USA
 SOURCE: PCT Int. Appl., 225 pp.
 CODEN: PIXDZ
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|------------------------------------------------------------------------------------|----------|
| WO 9943672 | A1 | 19990902 | WO 1999-US3388 | 19990217 |
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DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
UA, UG, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
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CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2322163 | A1 | 19990902 | CA 1999-2322163 | 19990217 |
| AU 9932970 | A | 19990915 | AU 1999-32970 | 19990217 |
| BR 9909242 | A | 20001114 | BR 1999-9242 | 19990217 |
| TR 2000002445 | T2 | 20001221 | TR 2000-2445 | 19990217 |
| EP 1062216 | A1 | 20001227 | EP 1999-936073 | 19990217 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
HU 2001000156 A2 20010730 HU 2001-156 19990217
JP 2002504551 T 20020212 JP 2000-533428 19990217
EE 2000000522 A 20020215 EE 2000-522 19990217
HR 2000000513 A2 20011231 HR 2000-513 20000731
NO 2000004217 A 20001023 NO 2000-4217 20000823
MX 2000008294 A 20020327 MX 2000-8294 20000824
BG 104781 A 20011031 BG 2000-104781 20000919 | | | | |
| PRIORITY APPLN. INFO.: | | | US 1998-30102 A 19980225
WO 1999-IS3388 W 19990217
WO 1999-US3388 W 19990217 | |

OTHER SOURCE(S): MARPAT 131:199620
 GI



AB Indole derivs. (I), (II), and (III) [where A = CH₂ or CH₂CH₂; B = (CH₂)_n, (CH₂O)_n, (CH₂S)_n, (OCH₂)_n, (SCH₂)_n, (CH=CH)_n, (C.tplbnd.C)_n, CON(R₆), N(R₆)CO, O, S, or N(R₆); R₁ and R₅ = independently H, OH, halogen, CN, NO₂, C₁-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl, etc.; R₂ and R₃ = independently H, CO₂H, COR₅, CONR₅R₆, (CH₂)_nW(CH₂)_mZR₅, (CH₂)_nWR₅, ZR₅, C₁-10 alkyl, alkenyl, alkynyl, or substituted aryl; R₄ = H, OH, OR₆, SR₆, CN, COR₆, NHR₆, CO₂H, COR₆R₇, NO₂, (un)substituted sulfamidocarbonyl, C₁-5 alkyl, alkenyl, or substituted aryl; R₆, R₇ = H, C₁-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl; W = O, S, CH₂, CH=CH, C.tplbnd.C, or N(R₆); X = O, S, N(R₆); Z = CH₂, O, S, N(R₆), CO, CON(R₆), N(R₆)CO; m and n = independently 0-4] and pharmaceutically acceptable salts thereof, were prepared. Thus, 2,4-thiazolidinedione and K₂CO₃ followed by NaOH were added to 5-(benzylxoy)-1-(4-{[3,5-bis(trifluoromethyl)phenoxy]methyl}benzyl)-1H-indole-2-carboxaldehyde in EtOH to form the 2,4-thiazolidinedion-4-ylidene derivative. The ylidene was dissolved in a solution of DMF and NaH, reacted

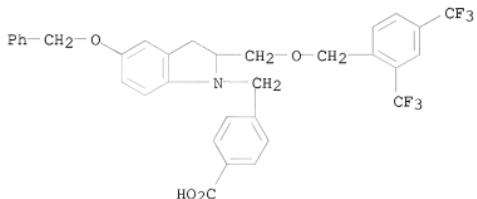
with

an alkyl ester of 4-(bromomethyl)benzoic acid, and deesterified with HF to yield the acid, (E)-(IV). The title compds. are useful as phospholipase enzyme inhibitors, especially cytosolic phospholipase A₂ (cPLA₂), for treatment of inflammatory conditions, particularly where inhibition of production of prostaglandins, leukotrienes, and PAF are all desired. Eighty-seven compds. of the invention were tested for phospholipase enzyme inhibiting activity in the LysoPC and/or Coumarine assay. IC₅₀ values ranged from 0.081 μM to >50 μM for the LysoPC assay and from 2.5 μM to >64 μM for the Coumarine assay. Selected compds. were tested for in vivo activity in the carrageenan-induced rat paw edema test, and showed 4.2% to 34.2% inhibition. Forty-eight compds. of the invention were tested for cPLA₂ enzyme activity, and exhibited 25% to 95% inhibition at concns. of 3 μM to 100 μM.

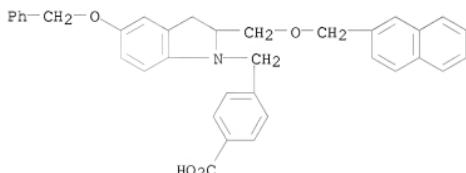
IT 204017-06-1P 204017-07-2P 204017-08-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

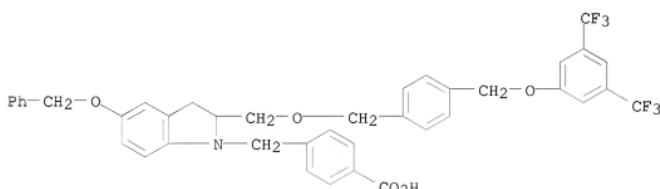
(preparation of indole derivs. as phospholipase enzyme inhibitors for treatment of inflammatory conditions)
 RN 204017-06-1 CAPLUS
 CN Benzoic acid, 4-[{2-[{2,4-bis(trifluoromethyl)phenyl]methoxy}methyl]-2,3-dihydro-5-(phenylmethoxy)-1H-indol-1-yl]methyl] - (CA INDEX NAME)



RN 204017-07-2 CAPLUS
 CN Benzoic acid, 4-[{2,3-dihydro-2-[(2-naphthalenylmethoxy)methyl]-5-(phenylmethoxy)-1H-indol-1-yl]methyl] - (CA INDEX NAME)



RN 204017-08-3 CAPLUS
 CN Benzoic acid, 4-[{2-[{4-[{3,5-bis(trifluoromethyl)phenoxy}methyl]phenyl]methoxy}methyl]-2,3-dihydro-5-(phenylmethoxy)-1H-indol-1-yl]methyl] - (CA INDEX NAME)

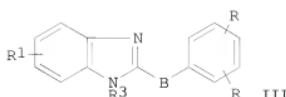
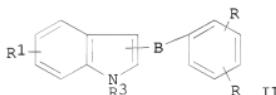
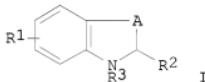


OS.CITING REF COUNT: 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (31 CITINGS)
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 1998:163566 CAPLUS
 DOCUMENT NUMBER: 128:204806
 ORIGINAL REFERENCE NO.: 128:40503a,40506a
 TITLE: Preparation of indole derivatives as phospholipase
 enzyme inhibitors
 INVENTOR(S): Xiang, Yibin; Bemis, Jean; McKew, John; Kaila, Neelu
 PATENT ASSIGNEE(S): Genetics Institute, Inc., USA
 SOURCE: PCT Int. Appl., 115 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 9808818 | A1 | 19980305 | WO 1997-US14943 | 19970826 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JE, KE, KG, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ,
VN, YU, ZW,
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2264020 | A1 | 19980305 | CA 1997-2264020 | 19970826 |
| AU 9740882 | A | 19980319 | AU 1997-40882 | 19970826 |
| AU 717430 | B2 | 20000323 | | |
| EP 922028 | A1 | 19990616 | EP 1997-938589 | 19970826 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LT, LU, NL, SE, MC, PT,
IE, FI | | | | |
| JP 2000516958 | T | 20001219 | JP 1998-511798 | 19970826 |
| PRIORITY APPLN. INFO.: | | | US 1996-703115 | A 19960826 |
| | | | WO 1997-US14943 | W 19970826 |

OTHER SOURCE(S): MARPAT 128:204806
 GI

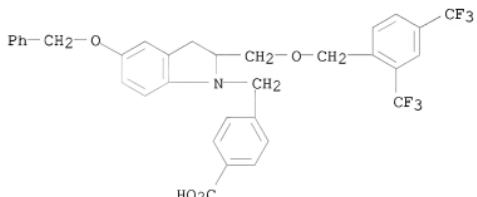


AB Title compds. I, II, III (A is independent of any other group and is selected from the group consisting of -CH₂- and -CH₂-CH₂-; B is independent of any other group and is selected from the group consisting of -(CH₂)_n-, -(CH₂O)_n-, -(CH₂S)_n-, -(OCH₂)_n-, -(SCH₂)_n-, -(CH=CH)n-, -(C.tpbond.C)n-, -CON(R₆)-, -N(R₆)CO-, -O-, -S- and -N(R₆)-; R₂ is independent of any other R group and is selected from the group consisting of -H, -COOH, -COR₅, -CONR₅R₆, -(CH₂)_n-W-(CH₂)_m-Z-R₅, -(CH₂)_n-W-R₅, -Z-R₅, C₁-C₁₀ alkyl, alkenyl and substituted aryl; R₃ is independent of any other R group and is selected from the group consisting of -H, -COOH, -COR₅, -CONR₅R₆, -(CH₂)_n-W-(CH₂)_m-Z-R₅, -(CH₂)_n-W-R₅, -Z-R₅ wherein; C₁-C₁₀ alkyl, alkenyl and substituted aryl) and a pharmaceutically acceptable salt thereof; which inhibit the activity of phospholipase enzymes, particularly cytosolic phospholipase A₂ were prepared Pharmaceutical compns. comprising such compds. and methods of treatment using such compns. are also disclosed.

IT 204017-06-1P 204017-07-2P 204017-08-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of indole derivs. as phospholipase enzyme inhibitors)

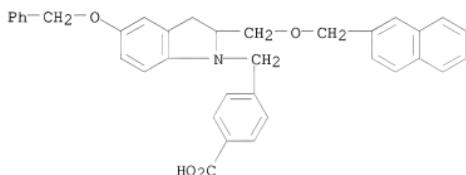
RN 204017-06-1 CAPLUS

CN Benzoic acid, 4-[{2-[{(2,4-bis(trifluoromethyl)phenyl)methoxy]methyl}-2,3-dihydro-5-(phenylmethoxy)-1H-indol-1-yl]methyl]- (CA INDEX NAME)



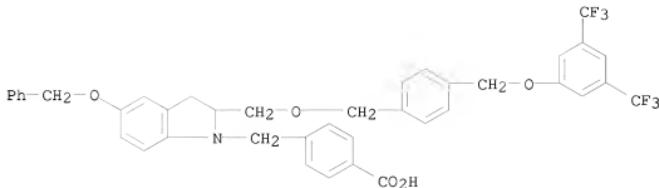
RN 204017-07-2 CAPLUS

CN Benzoic acid, 4-[{2-[{(2-naphthalenylmethoxy)methyl}-5-(phenylmethoxy)-1H-indol-1-yl]methyl}- (CA INDEX NAME)



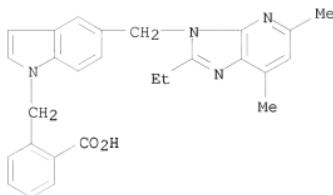
RN 204017-08-3 CAPLUS

CN Benzoic acid, 4-[{2-[{4-[{3,5-bis(trifluoromethyl)phenoxy]methyl}phenyl)methoxy]methyl}-2,3-dihydro-5-(phenylmethoxy)-1H-indol-1-yl]methyl]- (CA INDEX NAME)



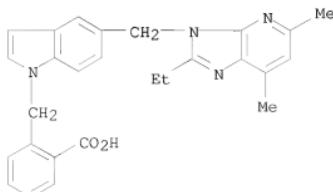
OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (33 CITINGS)
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 1995:230091 CAPLUS
 DOCUMENT NUMBER: 122:23227
 ORIGINAL REFERENCE NO.: 122:4397a,4400a
 TITLE: Derivation of a 3D pharmacophore model for the angiotensin-II site one receptor
 AUTHOR(S): Prendergast, Kristine; Adams, Kym; Greenlee, William J.; Nachbar, Robert B.; Patchett, Arthur A.; Underwood, Dennis J.
 CORPORATE SOURCE: Mol. Systems Dep., Merck Res. Lab., Rahway, NJ, 07065, USA
 SOURCE: Journal of Computer-Aided Molecular Design (1994), 8(5), 491-512
 CODEN: JCDAEQ; ISSN: 0920-654X
 PUBLISHER: ESCOM
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A systematic search has been used to derive a hypothesis for the receptor-bound conformation of A-II antagonists at the AT1 receptor. The validity of the pharmacophore hypothesis has been tested using CoMFA, which included 50 diverse A-II antagonists, spanning four orders of magnitude in activity. The resulting cross-validated R2 or 0.64 (conventional R2 of 0.76) is indicative of a good predictive model of activity, and has been used to estimate potency for a variety of non-peptidyl antagonists. The structural model for the non-peptide has been compared with respect to the natural substrate, A-II, by generating peptide to non-peptide overlays.
 IT 145303-68-0
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (derivation of a 3D pharmacophore model for the angiotensin-II site one receptor)
 RN 145303-68-0 CAPLUS
 CN Benzoic acid, 2-[(1-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl)-1H-indol-1-yl]methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 35 THERE ARE 35 CAPLUS RECORDS THAT CITE THIS RECORD (35 CITINGS)

L20 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 1995:67127 CAPLUS
 DOCUMENT NUMBER: 122:23206
 ORIGINAL REFERENCE NO.: 122:4393a,4396a
 TITLE: Nonpeptide angiotensin II (AII) receptor antagonists:
 N-substituted indole, dihydroindole,
 phenylaminophenylacetic acid and acylsulfonamide-based
 AII receptor antagonists
 AUTHOR(S): Dhanoa, D. S.; Bagley, S. W.; Chang, R. S. L.; Lotti,
 V. J.; Chen, T.; Kivilighn, S. D.; Zingaro, G.; Siegl,
 P. K. S.; Greenlee, W. J.
 CORPORATE SOURCE: Merck Res. Lab., Rahway, NJ, 07065, USA
 SOURCE: Pept.: Chem., Struct. Biol., Proc. Am. Pept. Symp.,
 13th (1994), Meeting Date 1993, 296-8. Editor(s):
 Hodges, Robert S.; Smith, John A. ESCOM: Leiden,
 Neth.
 DOCUMENT TYPE: CODEN: 60LXAW
 Conference
 LANGUAGE: English
 AB The design and biol. activity of new series of angiotensin II receptor
 antagonists derived from N-substituted indole, dihydroindole,
 phenylaminophenylacetic acid and acylsulfonamide are presented.
 IT 145303-68-0
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); PRP (Properties); BIOL (Biological study)
 (structure-activity relationships of nonpeptide angiotensin II receptor
 antagonists)
 RN 145303-68-0 CAPLUS
 CN Benzoic acid, 2-[(5-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-
 yl)methyl]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



L20 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1994:217425 CAPLUS

DOCUMENT NUMBER: 120:217425

ORIGINAL REFERENCE NO.: 120:38604h,38605a

TITLE: Non-peptide angiotensin II receptor antagonists. 1.
Design, synthesis, and biological activity of
N-substituted indoles and dihydroindoles

AUTHOR(S): Dhanoa, Daljit S.; Bagley, Scott W.; Chang, Raymond S.
L.; Lotti, Victor J.; Chen, Tsing Bau; Kivilighn, Salah
D.; Zingaro, Gloria J.; Siegl, Peter K. S.; Patchett,
Arthur A.; Greenlee, William J.

CORPORATE SOURCE: Merck Res. Lab., Rahway, NJ, 07065, USA

SOURCE: Journal of Medicinal Chemistry (1993), 36(26), 4230-8
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:217425

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A series of N-acylated indoles, N-alkylated indoles, N-acylated dihydroindoles, and N-alkylated dihydroindoles were synthesized and evaluated in the *in vitro* AT1 (rabbit aorta) and AT2 (rat midbrain) binding assay. The carboxylic acid 3-[N-(2-carboxy-3,6-dichlorobenzoyl)-5-indolyl]methyl]-5,7-dimethyl-2-ethyl-3H-imidazo[4,5-b]pyridine (I, R = 3,6-Cl₂, R₁ = CO₂H) was found to be the most potent AT1 (IC₅₀ = 0.8 nM) antagonist in the N-acylated indole series and displayed a 25-fold higher potency than the parent unsubstituted derivative I (R = H, R₁ = CO₂H) (AT1 IC₅₀ = 20 nM) and a 22-fold greater potency than the corresponding dihydroindole analog II (AT1 IC₅₀ = 18 nM). Replacement of the terminal carboxyl (COOH) of I (R = H, R₁ = CO₂H) with the bioisostere tetrazole I (R = H, R₁ = tetrazol-5-yl) (AT1 IC₅₀ = 5 nM, AT2 IC₅₀ = 130 nM) not only improved the AT1 potency by 4-fold but also resulted in a 50-fold increase in AT2 activity. In the N-alkylated indole series, the tetrazole 3-[N-(2-tetrazol-5-yl-6-chlorobenzyl)-5-indolyl]methyl]-5,7-dimethyl-2-ethyl-3H-imidazo[4,5-b]pyridine (III, R₁ = tetrazol-5-yl) exhibited the highest AT1 (IC₅₀ = 1 nM) activity, revealing a 230-fold increase in AT1 activity as a result of the incorporation of the isosteric tetrazole for the carboxyl (COOH) of and a nearly 9-fold increase over the corresponding deschloro analog (AT1 IC₅₀ = 8.7 nM). Tetrazole IV (R₁ = tetrazol-5-yl) was identified as the most potent (AT1 IC₅₀ = 18 nM) AT1 receptor antagonist in a structurally distinct series of compds. derived from N-alkylation of the corresponding dihydroindole. A new class of highly potent [I (R = 3,6-Cl₂, R₁ = CO₂H), AT1 IC₅₀ = 0.8 nM; III (R₁ = tetrazol-5-yl), AT1 IC₅₀ = 1 nM] AT1-selective non-peptide AII receptor antagonists derived from N-substituted indoles and dihydroindoles is disclosed. Tetrazole III (R₁ = tetrazol-5-yl) of the N-alkylated indole series displayed good *in vivo* activity by blocking the AII-induced pressor response for 5.5 h after i.v. administration in conscious normotensive rats at a 1.0 mg/kg dose level.

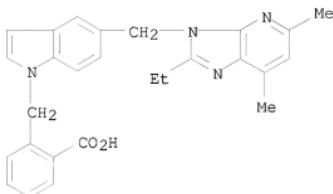
IT 145303-68-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as angiotensin II receptor antagonist)

RN 145303-68-0 CAPLUS

CN Benzoic acid, 2-[(5-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

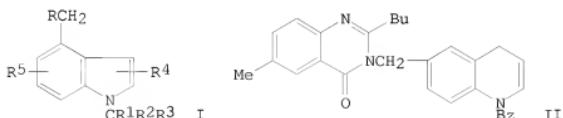
L20 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1993:449404 CAPLUS
DOCUMENT NUMBER: 119:49404
ORIGINAL REFERENCE NO.: 119:8969a, 8972a
TITLE: Angiotensin II antagonists incorporating a substituted indole or dihydroindole
INVENTOR(S): Bagley, Scott; Greenlee, William J.; Dhanoa, Daljit S.; Patchett, Arthur A.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 104 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------------|----------------|----------|-----------------|----------|
| EP 517357 | A1 | 19921209 | EP 1992-303080 | 19920407 |
| R: CH, DE, FR,
US 5175164 | GB, IT, LI, NL | | | |
| | A | 19921229 | US 1991-710413 | 19910605 |
| CA 2065078 | A1 | 19921206 | CA 1992-2065078 | 19920403 |
| JP 05247030 | A | 19930924 | JP 1992-133093 | 19920408 |
| JP 08026015 | B | 19960313 | | |

PRIORITY APPLN. INFO.: US 1991-710413 A 19910605
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 119:49404

GI



AB Title compds. I (R = N heterocyclic; R1R2 = O, S, H2; R1 = H, R2 = CO₂H, alkoxy carbonyl, cyano, tetrazolyl, sulfonylaminocarbonyl; R3 = Ph,

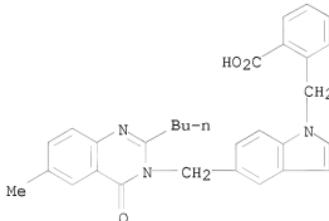
substituted Ph; R4, R5 = H, halo, nitro, alkyl etc.) and their 2,3-dihydro analogs were prepared as angiotensin II inhibitors and for the treatment of ocular hypertension (no data). Thus, 5-methylindole was N-benzoylated, brominated and treated with 2-butyl-6-methyl-4(1H)-quinazolinone to give the product II.

IT 148029-19-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 148029-19-0 CAPLUS

CN Benzoic acid, 2-[(5-[(2-butyl-6-methyl-4-oxo-3(4H)-quinazolinyl)methyl]-1H-indol-1-yl)methyl]-(CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
(9 CITINGS)

L20 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1993:80938 CAPLUS

DOCUMENT NUMBER: 118:80938

ORIGINAL REFERENCE NO.: 118:14245a,14248a

TITLE: Preparation of 3-[(N-benzoylindol-5-yl)methyl]-3H-imidazo[4,6-b]pyridines and analogs as angiotensin II antagonists

INVENTOR(S): Bagley, Scott; Greenlee, William J.; Dhanoa, Daljit S.; Patchett, Arthur A.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 35 pp.

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

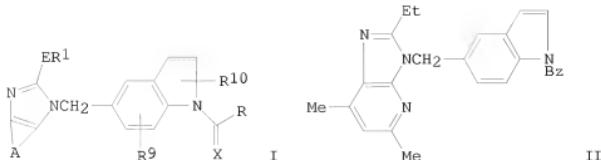
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------------|------|----------|-----------------|----------|
| US 5151435 | A | 19920929 | US 1991-681793 | 19910408 |
| CA 2065049 | A1 | 19921009 | CA 1992-2065049 | 19920403 |
| EP 508723 | A1 | 19921014 | EP 1992-303073 | 19920407 |
| R: CH, DE, FR, GB, IT, LI, NL | | | | |
| JP 05247031 | A | 19930924 | JP 1992-133094 | 19920408 |
| JP 07039414 | B | 19950501 | | |

PRIORITY APPLN. INFO.: US 1991-681793 A 19910408

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 118:80938

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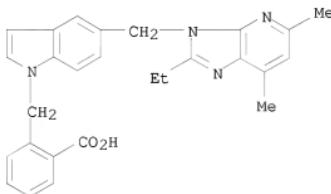
AB Title compds. [I; A = atoms to complete a (substituted) (N-containing) aromatic ring, dioxopiperazine ring, etc.; E = bond, O, SO_n(CH₂)_s; R = (substituted) Ph; R1 = (cyclo)alkyl, alkenyl, Ph, heteroaryl, perfluoroalkyl, etc.; R9, R10 = H, halo, (cyclo)alkyl, alkoxy, aryl, etc.; X = O, H, 2H, and 1 of CO₂H, cyano, alkoxycarbonyl, tetrazolyl, etc.; n = 0-2; s = 0-5; dashed line = optional bond] were prepared as angiotensin II antagonists (no data). Thus, 2-amino-4,6-dimethylpyridine was converted in 4 steps to 5,7-dimethyl-2-ethylimidazo[4,5-b]pyridine which was condensed with N-benzoyl-5-(bromomethyl)indole (preparation given) to give title compound II.

IT 145303-68-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as angiotensin II antagonist)

RN 145303-68-0 CAPLUS

CN Benzoic acid, 2-[(5-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-1H-indol-1-yl)methyl] (CA INDEX NAME)



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1992:469879 CAPLUS

DOCUMENT NUMBER: 117:69879

ORIGINAL REFERENCE NO.: 117:12299a,12302a

TITLE: Preparation of 5-(heterocyclylmethoxy)indoles as lipoxygenase inhibitors

INVENTOR(S): Stevens, Rodney William; Morita, Hiromasa; Nakane, Masami

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

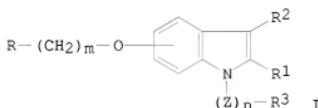
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 9206088 | A1 | 19920416 | WO 1991-US7045 | 19911001 |
| W: CA, FI, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE | | | | |
| JP 04145079 | A | 19920519 | JP 1990-265687 | 19901003 |
| JP 07064841 | B | 19950712 | | |
| CA 2092404 | A1 | 19920404 | CA 1991-2092404 | 19911001 |
| EP 544821 | A1 | 19930609 | EP 1991-917500 | 19911001 |
| EP 544821 | B1 | 19950111 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| ES 2067248 | T3 | 19950316 | ES 1991-917500 | 19911001 |
| US 5290788 | A | 19940301 | US 1992-848941 | 19920421 |
| PRIORITY APPLN. INFO.: | | | JP 1990-265687 | A 19901003 |
| | | | WO 1991-US7045 | W 19911001 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 117:69879

GI



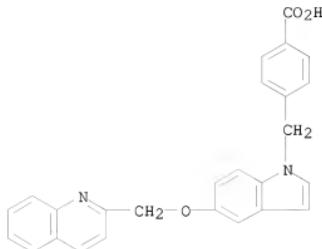
AB The title compds. [I; R = naphthyl, quinolyl, pyridyl, etc.; R₁ = H, C₁-4 alkyl; R₂ = H, Cl-4 alkyl, pyridylvinylene, (un)substituted benzoyl, (un)substituted benzyl; R₃ = H, HO, C₁-3 alkyl, pyridyl, thienyl, carboxy, amino, (un)substituted Ph, etc.; Z = CH₂, CO; m = 1, 2; n = 0-3; with a proviso], antiallergics and antinflammatories (no data for specific I given), useful for the prevention and treatment of bronchial asthma, arthritis, thrombosis, etc., were prepared Stirring of 5-hydroxyindole 5.0, 2-(chloromethyl)quinoline 7.0, and Na₂CO₃ 10.0 g in DMF for 4 h at 80° gave 5.0 g of the appropriate (quinolylmethoxy)indole which (2.5 g) in DMF was added to a suspension of NaH in DMF at 0°. The mixture was treated by 1.54 g 4-C₁C₆H₄CH₂Cl in DMF and the whole stirred 30 min at that temperature for 30 min to give 3.0 g title compound [I; R(CH₂)_mO = 5-(2-quinolylmethoxy), R₁ = R₂ = H, R₃ = 4-C₁C₆H₄, Z = CH₂, n = 1]. Preferred (unspecified) I had IC₅₀ of 0.1-30 μM in a lipoxygenase inhibition test.

IT 142403-22-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as lipoxygenase inhibitor)

RN 142403-22-3 CAPLUS

CN Benzoic acid, 4-[(5-(2-quinolinylmethoxy)-1H-indol-1-yl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD
 (9 CITINGS)
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

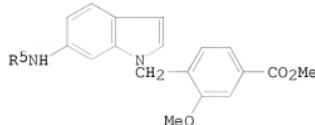
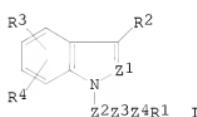
L20 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2011 ACS on STN
 ACCESSION NUMBER: 1986:626346 CAPLUS
 DOCUMENT NUMBER: 105:226346
 ORIGINAL REFERENCE NO.: 105:36543a,36546a
 TITLE: Heterocyclic amides
 INVENTOR(S): Brown, Frederick Jeffrey; Bernstein, Peter Robert;
 Yee, Ying Kwong
 PATENT ASSIGNEE(S): ICI Americas, Inc., USA
 SOURCE: Eur. Pat. Appl., 137 pp.
 CODEN: EPXWDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------------------|------|----------|-----------------|----------|
| EP 179619 | A1 | 19860430 | EP 1985-307498 | 19851017 |
| EP 179619 | B1 | 19900905 | | |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE | | | | |
| FI 8504024 | A | 19860420 | FI 1985-4024 | 19851016 |
| ZA 8507952 | A | 19860528 | ZA 1985-7952 | 19851016 |
| HU 38905 | A2 | 19860728 | HU 1985-4007 | 19851016 |
| HU 194163 | B | 19880128 | | |
| AU 8548814 | A | 19860424 | AU 1985-48814 | 19851017 |
| AU 583062 | B2 | 19890420 | | |
| DD 253618 | A5 | 19880127 | DD 1985-281838 | 19851017 |
| SU 1545940 | A3 | 19900223 | SU 1985-3970050 | 19851017 |
| AT 56205 | T | 19900915 | AT 1985-307498 | 19851017 |
| DK 8504793 | A | 19860420 | DK 1985-4793 | 19851018 |
| DK 169541 | B1 | 19941128 | | |
| NO 8504163 | A | 19860421 | NO 1985-4163 | 19851018 |
| JP 61178963 | A | 19860811 | JP 1985-231457 | 19851018 |
| JP 07045466 | B | 19950517 | | |
| IL 76756 | A | 19890515 | IL 1985-76756 | 19851018 |
| CA 1273934 | A1 | 19900911 | CA 1985-493372 | 19851018 |
| US 4997844 | A | 19910305 | US 1985-788807 | 19851018 |
| CN 85108623 | A | 19860730 | CN 1985-108623 | 19851019 |
| ES 554579 | A5 | 19880714 | ES 1986-554579 | 19860430 |
| SU 1595338 | A3 | 19900923 | SU 1987-4202434 | 19870424 |

| | | | | |
|------------------------|---|----------|----------------|-------------|
| US 5234942 | A | 19930810 | US 1990-628787 | 19901217 |
| PRIORITY APPLN. INFO.: | | | GB 1984-26474 | A 19841019 |
| | | | GB 1985-7305 | A 19850321 |
| | | | GB 1985-7861 | A 19850326 |
| | | | GB 1985-7862 | A 19850326 |
| | | | EP 1985-307498 | A 19851017 |
| | | | US 1985-788807 | A3 19851018 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 105:226346
GI



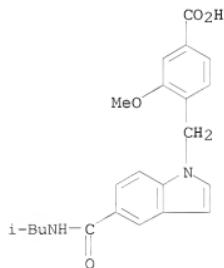
AB Title compds. I [Z1 = CH, N; Z2 = alkylene, alkenylene; Z3 = bond, O, S, phenylene, etc.; Z4 = CH₂, CH:CH, bond; R1 = CO₂H, 5-tetrazolyl, N-(organosulfonyl)carbamoyl, etc.; R2 = H, Me, halo, alkanoyl, etc.; R3 = H, halo, alkyl, alkoxy; R4 = acylamino, esterified NHCO₂H, substituted ureido, H₂NCO, etc.] were prepared for treatment of allergic and inflammatory diseases. Indolamine II (R5 = H) was treated with hexanoyl chloride and Et₃N to give II (R5 = hexanoyl). Selected I showed leukotriene antagonism in guinea-pigs at 5-50 mg orally. Capsules were prepared containing I 10, lactose 488.5, and Mg stearate 1.5 mg.

IT 104448-20-6P 104448-22-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as a drug)

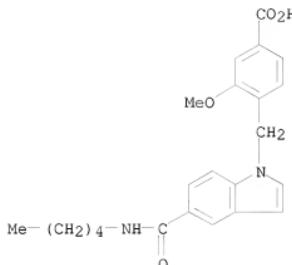
RN 104448-20-6 CAPLUS

CN Benzoic acid, 3-methoxy-4-[(5-[(2-methylpropyl)amino]carbonyl)-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 104448-22-8 CAPLUS

CN Benzoic acid, 3-methoxy-4-[(5-[(pentylamino)carbonyl]-1H-indol-1-yl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD
(7 CITINGS)

| => log hold | | | |
|--------------------------------------------|--|------------|---------|
| COST IN U.S. DOLLARS | | SINCE FILE | TOTAL |
| FULL ESTIMATED COST | | ENTRY | SESSION |
| | | 137.60 | 1377.97 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | | SINCE FILE | TOTAL |
| | | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | | -20.01 | -78.30 |

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 10:36:03 ON 25 MAY 2011